

**Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT<sub>6</sub> receptor affinity**

The present invention relates to an active substance combination comprising at least one compound with neuropeptide Y-receptor affinity, preferably neuropeptide Y<sub>5</sub>-receptor affinity, and at least one compound with 5-HT<sub>6</sub> receptor affinity, a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament.

The superfamily of serotonin receptors (5-HT) includes 7 classes (5-HT<sub>1</sub>-5-HT<sub>7</sub>) encompassing 14 human subclasses [D. Hoyer, et al., *Neuropharmacology*, 1997, 36, 419]. The 5-HT<sub>6</sub> receptor is the latest serotonin receptor identified by molecular cloning both in rats [F.J. Monsma, et al., *Mol. Pharmacol.*, 1993, 43, 320; M. Ruat, et al., *Biochem. Biophys. Res. Commun.*, 1993, 193, 268] and in humans [R. Kohen, et al., *J. Neurochem.*, 1996, 66, 47]. Compounds with 5-HT<sub>6</sub> receptor affinity are useful for the treatment of various disorders of the Central Nervous System and of the gastrointestinal tract, such as irritable intestine syndrome. Compounds with 5-HT<sub>6</sub> receptor affinity are also useful in the treatment of anxiety, depression and cognitive memory disorders [M. Yoshioka, et al., *Ann. NY Acad. Sci.*, 1998, 861, 244; A. Bourson, et al., *Br. J. Pharmacol.*, 1998, 125, 1562; D.C. Rogers, et al., *Br. J. Pharmacol. Suppl.*, 1999, 127, 22P; A. Bourson, et al., *J. Pharmacol. Exp. Ther.*, 1995, 274, 173; A.J. Sleight, et al., *Behav. Brain Res.*, 1996, 73, 245; T.A. Branchek, et al., *Annu. Rev. Pharmacol. Toxicol.*, 2000, 40, 319; C. Routledge, et al., *Br. J. Pharmacol.*, 2000, 130, 1606]. It has been shown that typical and atypical antipsychotic drugs for treating schizophrenia have a high affinity for 5-HT<sub>6</sub> receptors [B.L. Roth, et al., *J. Pharmacol. Exp. Ther.*, 1994, 268, 1403; C.E. Glatt, et al., *Mol. Med.*, 1995, 1, 398; F.J. Mosma, et al., *Mol. Pharmacol.*, 1993, 43, 320; T. Shinkai, et al., *Am. J. Med. Genet.*, 1999, 88, 120]. Compounds with 5-HT<sub>6</sub> receptor affinity are useful for treating infant hyperkinesia (ADHD, attention deficit / hyperactivity disorder) [W.D. Hirst, et al., *Br. J. Pharmacol.*, 2000, 130, 1597; C. Gérard, et al., *Brain Research*, 1997, 746, 207; M.R. Pranzatelli, *Drugs of Today*, 1997, 33, 379].

Moreover, it has been shown that the 5-HT<sub>6</sub> receptor also plays a role in food ingestion [Neuropharmacology, 41, 2001, 210-219].

Food ingestion disorders, particularly obesity, are a serious, fast growing threat to the health of humans of all age groups, since they increase the risk of developing other serious, even life-threatening diseases such as diabetes or coronary diseases.

Neuropeptide Y (NPY), first isolated in porcine brain extracts (Tatemoto et. al. Nature 1982, 296, 659), is a 36-aminoacid peptide belonging to the family of pancreatic polypeptides, and is one of the most abundant peptides in the brain and in the central nervous system. In addition, NPY is also distributed in several parts of the peripheral nervous system.

Several studies suggest a significant role of NPY in food ingestion regulation and particularly in food dysfunctions like obesity, anorexia and bulimia. Specifically, NPY is a powerful stimulant of food ingestion. Thus, appetite is significantly increased when NPY is injected directly into the CNS of satiated mice (Clark J. T. et. al. Endocrinology 1984, 115, 427; Levine A. S. et. al. Peptides 1984, 5, 1025; Stanley B. G. et. al. Life Sci. 1984, 35, 2635; Stanley B. G. et. al. Proc. Nat. Acad. Sci. USA 1985, 82, 3940). On the other hand, NPY may play a role in cognitive function regulation, e. g. memory (Flood J. F. et. al. Brain Res. 1987, 421, 280; Redrobe J. P. et. Al. Brain Res. 1999, 848, 153), and be active in anxiety (Heilig M. et. al. Reg. Peptides 1992, 41, 61) and depression (Heilig M. et. al. Eur. J. Pharmacol. 1988, 147, 465) processes.

NPY is also distributed in the peripheral system. Some studies suggest that it might be involved in hypertensive (Michel M. C: et. al. J. Hypertens. 1995, 13, 385), and analgesic (Gehlert D. R. Life Sci. 1994, 55, 551) processes, among others.

The endogenous proteins that constitute NPY-binding receptors have been widely studied. Several have been cloned and expressed. At present, six different receptor subtypes, named Y1 to Y6, are recognized (Hisplkind P. A. et. al. Annu. Rep. Med. Chem. 1996, 31, 1; Grundemar L. et. al. TIPS Reviews., 15, 153, 1994). Each NPY receptor subtype is generally associated to a different biological activity. For

example, Y2 receptor is involved in the induction of convulsions in rats (Dumont Y. et. al. Brit. J. Pharmacol. 2000, 129, 1075).

The most recently identified receptor is Y5 (Hu et. al. J. Biol. Chem. 1996, 271, 26315). There is evidence that Y5 receptor has a unique pharmacological profile related to food ingestion as compared to the other receptor subtypes. The fact that [D-Trp<sup>32</sup>]NPY peptide, a selective Y5-receptor agonist with no affinity for Y1 receptor, stimulates food ingestion in rats (Gerald C. et. al. Nature, 1996, 382, 168), supports the hypothesis that Y5 receptor is related to exaggerated food consumption. Consequently, compounds having an affinity to the Y5 receptor should be effective to inhibit food ingestion and very useful to control diseases like obesity or other disorders of food ingestion (food intake), such as anorexia, bulimia, cachexia or type II diabetes. Moreover, it has been suggested that such compounds are useful to control diseases such as arthritis or epilepsy.

Whereas known compounds with NPY-receptor affinity and known compounds with 5-HT<sub>6</sub> receptor affinity are generally effective for treating disorders related to NPY-receptors and to 5-HT<sub>6</sub> receptors respectively, in some instances they show undesirable side effects.

It was therefore an object of the present invention to provide a medicament suitable for the prophylaxis and/or treatment of disorders related to NPY-receptors, preferably NPY5-receptors, and to 5-HT<sub>6</sub> receptors, which preferably does not show the undesired side effects of the conventional compounds with NPY-receptor affinity or 5-HT<sub>6</sub> receptor affinity, or at least less frequent and/or less pronounced.

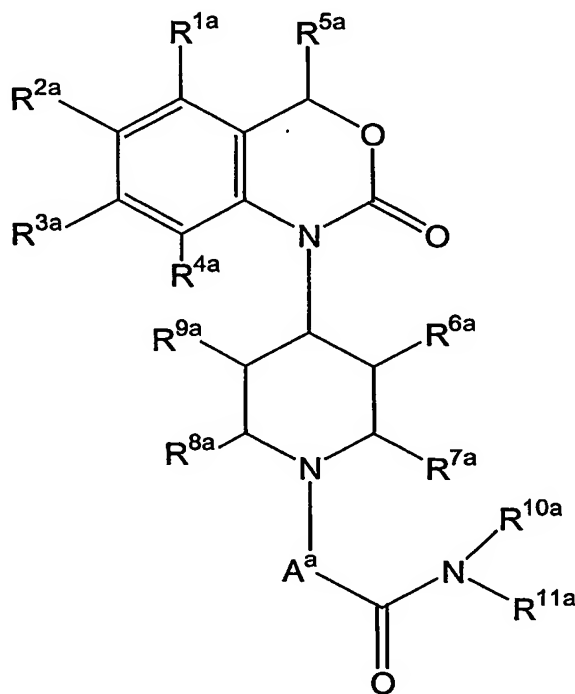
Said object was achieved by providing an active substance combination comprising

- (A) at least one compound with neuropeptide Y (NPY)-receptor affinity and
- (B) at least one compound with 5-HT<sub>6</sub> receptor affinity

It has surprisingly been found that the compounds with NPY-receptor affinity and the compounds with 5-HT<sub>6</sub> receptor affinity show a synergic effect in their pharmacological activities. Consequently, the dose of the corresponding compounds may be reduced in comparison to the dose necessary for an individual administration of said compounds.

Preferably, the active substance combination of the present invention may comprise as a component (A) at least one compound with neuropeptide Y5 (NPY5)-receptor affinity.

Preferably, the active substance combination of the present invention may comprise as a component (A) at least one compound with neuropeptide Y (NPY)-receptor affinity, preferably with neuropeptide Y5 (NPY5)-receptor affinity, which is selected from the group consisting of the 1,4-disubstituted piperidine compounds of general formula (Ia),



(I)

wherein

$R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$ ,  $R^{4a}$  are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano,  $-OR^{12a}$ ,  $-OC(=O)R^{13a}$ ,  $-SR^{14a}$ ,  $-SOR^{14a}$ ,  $-SO_2R^{14a}$ ,  $-NH-SO_2R^{14a}$ ,  $-SO_2NH_2$  and  $-NR^{15a}R^{16a}$  moiety,

$R^{5a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical,

$R^{6a}$ ,  $R^{7a}$ ,  $R^{8a}$ ,  $R^{9a}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano and a  $-COOR^{17a}$  moiety,

A represents a bridge member  $-CHR^{18a}-$  or  $-CHR^{18a}-CH_2-$ ,

$R^{10a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally

at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{11a}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or

$R^{10a}$  and  $R^{11a}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated, unsaturated or aromatic heterocyclic ring that may contain at least one further heteroatom as a ring member and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem,

$R^{12a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{13a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least

mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{14a}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{15a}$  and  $R^{16a}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or  $R^{15a}$  and  $R^{16a}$  together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as ring member,

$R^{17a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-

substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>18a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

A mono- or polycyclic ring-system according to the present invention means a mono- or polycyclic hydrocarbon ring-system that may be saturated, unsaturated or aromatic. If the ring system is polycyclic, each of its different rings may show a different degree of saturation, i.e. it may be saturated, unsaturated or aromatic. Optionally each of the rings of the mono- or polycyclic ring system may contain one or more heteroatoms as ring members, which may be identical or different and which can preferably be selected from the group consisting of N, O, S and P, more preferably be selected from the group consisting of N, O and S. Preferably the polycyclic ring-system may comprise two rings that are condensed. The rings of the mono- or polycyclic ring-system are preferably 5- or 6-membered.

Those skilled in the art understand that the term "condensed" indicates that the condensed rings share more than one atom. The terms "annulated" or "fused" may also be used for this type of bonding.

If one or more of the residues  $R^{1a}$ - $R^{18a}$  represents an aliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein the  $C_{1-4}$ -alkyl may in each case be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy,  $CF_3$  and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}$ - $R^{18a}$  represents or comprises a cycloaliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, phenoxy, benzoyl, cyclohexyl, branched or unbranched  $C_{1-4}$ -perfluoroalkyl,  $-NR^{Aa}R^{Ba}$  wherein  $R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-CO-OC_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may in each case be branched or unbranched, unsubstituted or at least mono-substituted phenyl or naphthyl and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, benzoyl, phenoxy, cyclohexyl,  $-CF_3$ ,  $-CO-CH_3$ ,  $-CO-OCH_3$ ,  $-NR^{Aa}R^{Ba}$  wherein  $R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said

substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}$ - $R^{4a}$  and  $R^{10a}$ - $R^{18a}$  comprises an alkylene group, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy,  $CF_3$  and unsubstituted phenyl. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}$ - $R^{4a}$  and  $R^{10a}$ - $R^{18a}$  comprises a mono- or polycyclic ringsystem, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, keto, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl, more preferably from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy,  $CF_3$ , keto, cyano and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}$ - $R^{4a}$  and  $R^{10a}$ - $R^{18a}$  represents or comprises an aryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched  $C_{1-4}$ -perfluoroalkyl,  $NR^{Aa}R^{Ba}$  wherein  $R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, carboxy, amido, cyano,  $-CH(OH)(phenyl)$ , nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-CO-OC_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano,  $-CH(OH)(phenyl)$ , methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl,  $CF_3$ ,  $-CO-CH_3$ ,  $-CO-OCH_3$ ,  $-NR^A R^B$  wherein  $R^A$ ,  $R^B$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}$ - $R^{4a}$  and  $R^{10a}$ - $R^{18a}$  represents or comprises a heteroaryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched  $C_{1-4}$ -perfluoroalkyl,  $NR^{Aa}R^{Ba}$  wherein  $R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, carboxy, amido, cyano, nitro,  $-CH(OH)(phenyl)$ ,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-CO-OC_{1-4}$ -alkyl,  $SO-C_{1-4}$ -alkyl,  $SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or

unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or, at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF<sub>3</sub>, -CH(OH)(phenyl), -CO-CH<sub>3</sub>, -CO-OCH<sub>3</sub>, -NR<sup>Aa</sup>R<sup>Ba</sup> wherein R<sup>Aa</sup>, R<sup>Ba</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R<sup>10a</sup> and R<sup>11a</sup> and/or R<sup>15a</sup> and R<sup>16a</sup> form a heterocyclic ring, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, methyl, CF<sub>3</sub> and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R<sup>10a</sup> and R<sup>11a</sup> and/or R<sup>15a</sup> and R<sup>16a</sup> form a heterocyclic ring, which contains one or more further heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O and S, more preferably from the group consisting of N and O.

If one or more of the residues  $R^{1a}$ - $R^{18a}$  represents or comprises a cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If one or more of the residues  $R^{1a}$ - $R^{4a}$  and  $R^{10a}$ - $R^{18a}$  represents or comprises an heteroaryl radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

Preferred compounds of general formula (Ia) are those, wherein  $R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$ ,  $R^{4a}$  are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro group, a cyano group,  $-OR^{12a}$ ,  $-OC(=O)R^{13a}$ ,  $-SR^{14a}$ ,  $-SOR^{14a}$ ,  $-SO_2R^{14a}$ ,  $-NH-SO_2R^{14a}$ ,  $-SO_2NH_2$  and - $NR^{15a}R^{16a}$  moiety,

$R^{5a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical,

$R^{6a}$ ,  $R^{7a}$ ,  $R^{8a}$ ,  $R^{9a}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least

mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, a cyano and a COOR<sup>17a</sup> moiety,

A<sup>a</sup> represents a bridge member -CHR<sup>18a</sup>- or -CHR<sup>18a</sup>-CH<sub>2</sub>-,

R<sup>10a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>11a</sup> represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or an optionally at least mono-substituted 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or

R<sup>10a</sup> and R<sup>11a</sup> together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem,

R<sup>12a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom containing as ring member C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an

optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>13a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>14a</sup> represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>15a</sup> and R<sup>16a</sup> each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-

substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or R<sup>15a</sup> and R<sup>16a</sup> together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

R<sup>17a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>18a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Particularly preferred are compounds of general formula (Ia), wherein R<sup>1a</sup>, R<sup>2a</sup>, R<sup>3a</sup>, R<sup>4a</sup> are each independently selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C<sub>1-3</sub>-aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>5</sub>- or C<sub>6</sub>- cycloaliphatic radical, which

may be bonded via an optionally at least mono-substituted C<sub>1</sub>- or C<sub>2</sub>-alkylene group, a nitro group, a cyano group, -OR<sup>12a</sup>, -OC(=O)R<sup>13a</sup>, -SR<sup>14a</sup> and -NR<sup>15a</sup>R<sup>16a</sup> moiety, preferably be selected from the group consisting of H, F, Cl, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, cyclopentyl, cyclohexyl, nitro, cyano and -OR<sup>12a</sup> and the remaining residues R<sup>5a</sup>-R<sup>18a</sup> and A<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein R<sup>5a</sup> represents H or a branched or unbranched C<sub>1-3</sub>-alkyl radical, preferably H, CH<sub>3</sub> or CH<sub>2</sub>CH<sub>3</sub>, and the remaining residues R<sup>6a</sup>-R<sup>18a</sup> and A<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein R<sup>6a</sup>, R<sup>7a</sup>, R<sup>8a</sup>, R<sup>9a</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-3</sub>-alkyl radical, a cyano and a COOR<sup>17a</sup> moiety, preferably selected from the group consisting of H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub> and a cyano moiety, and the remaining residues R<sup>10a</sup>-R<sup>18a</sup> and A<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

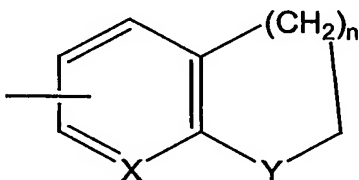
Also particularly preferred are compounds of general formula (Ia), wherein R<sup>10a</sup> represents hydrogen or a branched or unbranched C<sub>1-4</sub>-alkyl radical, and the remaining residues R<sup>11a</sup>-R<sup>18a</sup> and A<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably

enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{11a}$  is selected from the group consisting of an unsubstituted phenyl radical, a phenyl radical optionally at least mono-substituted with a branched or unbranched  $C_{1-4}$ -alkyl-radical, a branched or unbranched  $C_{1-4}$ -alkoxy-radical, a branched or unbranched  $C_{1-4}$ -perfluoroalkyl-radical, a branched or unbranched  $C_{1-4}$ -perfluoroalkoxy-radical, F, Cl, Br, cyclohexyl, phenyl, phenoxy, phenylthio, benzoyl, cyano,  $-C(=O)C_{1-2}$ -alkyl,  $-C(=O)OC_{1-2}$ -alkyl, -carboxy,  $-CH(OH)(phenyl)$ ,  $-NR^{Aa}R^{Ba}$  wherein  $R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and an unsubstituted phenyl radical,

an unsubstituted thiazole radical,

a group of general formula (A)



(A),

wherein

$n$  is 1 or 2,

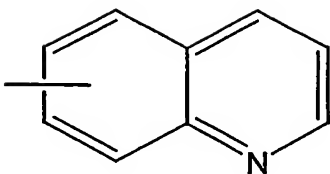
$X$  represents CH or N,

$Y$  represents  $CH_2$ , O,  $N-R^C$ ,  $CH-OH$  or  $C(=O)$ ,

$R^C$  is H or a branched or unbranched  $C_{1-4}$ -alkyl radical,

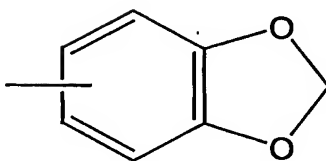
a group of formula (B),

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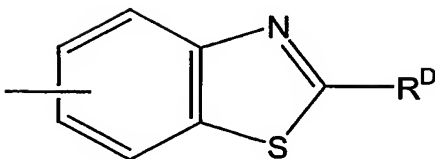
(B)

a group of formula (C),



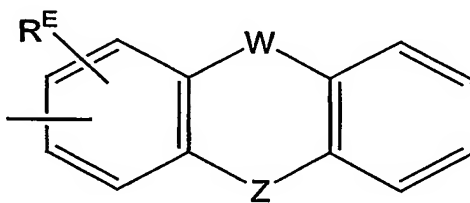
(C)

a group of general formula (D),



(D)

wherein  $R_D$  is H or a branched or unbranched  $C_{1-4}$ -alkyl radical and a group of general formula (E),



(E)

wherein

$R^E$  represents H, a branched or unbranched  $C_{1-4}$ -alkyl radical or a branched or unbranched  $C_{1-4}$ -alkoxy radical,

W represents a bond between the two aromatic rings,  $CH_2$ ,  $CH-OH$  or  $C(=O)$ ,

Z represents  $CH_2$ , O, S,  $CH-OH$ ,  $C(=O)$  or  $N-R^F$  where  $R^F$  represents H or a branched or unbranched  $C_{1-4}$ -alkyl-radical, and the remaining residues  $R^{12a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{10a}$  and  $R^{11a}$  together with the bridging nitrogen atom form a saturated, 6-membered heterocyclic ring, which is at least mono-substituted with a methyl radical and/or condensed with an unsubstituted or at least mono-substituted phenyl- or cyclohexyl-radical, said phenyl- or cyclohexyl-radical preferably being at least mono-substituted with F and/or  $OCH_3$ , and the remaining residues  $R^{12a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{12a}$  represents H, a  $C_{1-4}$ -alkyl radical, a cyclohexyl radical or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or a phenyl radical, and the remaining residues  $R^{13a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{13a}$  represents H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl, and the remaining residues  $R^{14a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{14a}$  represents H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl, and the remaining residues  $R^{15a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{15a}$  and  $R^{16a}$  are each independently selected from the group consisting of H, a  $C_{1-4}$ -alkyl radical, cyclohexyl and a phenyl radical, preferably from the group consisting of H,  $CH_3$ ,  $C_2H_5$  and phenyl, and the remaining residues  $R^{17a}$  and  $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{17a}$  represents H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl, and the remaining residues  $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{18a}$  represents H, a  $C_{1-4}$ -alkyl radical or a phenyl radical, preferably H,  $CH_3$  or phenyl, and the remaining residue A has the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

More particularly preferred are compounds of general formula (Ia), wherein at least two of the residues  $R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$ ,  $R^{4a}$ , preferably  $R^{2a}$  and  $R^{3a}$ , do not represent hydrogen, and the residues from the group  $R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$  and  $R^{4a}$  that do not represent hydrogen as well as the remaining residues  $R^{5a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

More particularly preferred are compounds of general formula (Ia), wherein  $R^{5a}$  is  $CH_3$  or  $C_2H_5$ , and the remaining residues  $R^{1a}$ - $R^{4a}$  and  $R^{6a}$ - $R^{18a}$  and  $A^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or solvates, respectively.

Most preferred are the following benzoxazin-derived compounds of general formula (Ia):

- [1] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-2-yl)-acetamide,
- [2] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide),

- [3] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide hydrochloride,
- [4] N-(4-benzoyl-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [5] N-(4-benzoyl-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [6] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalene-2-yl)-acetamide hydrochloride,
- [7] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-4-yl)-acetamide hydrochloride,
- [8] N-(3-benzoyl-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [9] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(1-oxo-indan-5-yl)-acetamide,
- [10] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(1-oxo-indan-5-yl)-acetamide hydrochloride,
- [11] N-Indan-5-yl-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [12] N-(2-Methoxy-dibenzofuran-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [13] N-(4-Cyclohexyl-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,

- [14] 1-{1-[2-(3,4-Dihidro-2H-quinolin-1-yl)-2-oxo-ethyl]piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one hydrochloride,
- [15] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-2-phenyl-acetamide hydrochloride,
- [16] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-propionamide hydrochloride,
- [17] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [18] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [19] 2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide,
- [20] 2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide hydrochloride,
- [21] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [22] N-(4-Cyclohexyl-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [23] N-(4-Cyclohexyl-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [24] N-(4-benzoyl-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]- acetamide hydrochloride,

- [25] N-(9-Methyl-9H-carbazol-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [26] N-(9,10-Dioxo-9,10-dihydro-anthracene-2-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [27] N-[4-(Ethyl-phenyl-amino)-phenyl]-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [28] 2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-[4-methyl-phenyl-amino)-phenyl]-acetamide hydrochloride,
- [29] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-[4-phenoxy-phenyl]-acetamide hydrochloride,
- [30] N-[4-(Isopropyl-phenyl-amino)-phenyl]-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [31] 3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-propionamide hydrochloride,
- [32] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide hydrochloride,
- [33] N-(4-Chloro-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [34] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-chloro-phenyl)-acetamide hydrochloride,
- [35] 2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide hydrochloride,

- [36] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide hydrochloride,
- [37] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [38] N-(9-Hydroxy-9H-fluoren-2-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [39] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide hydrochloride,
- [40] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [41] 2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-trifluoromethyl-phenyl)-acetamide hydrochloride,
- [42] 2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-phenyl-acetamide hydrochloride,
- [43] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-trifluoromethyl-phenyl)-acetamide hydrochloride,
- [44] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-phenyl-acetamide hydrochloride,
- [45] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-trifluoromethyl-phenyl)-acetamide hydrochloride,
- [46] 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-phenyl-acetamide hydrochloride,

- [47] N-(4-Chloro-phenyl)-2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [48] N-(4-Cyano-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [49] N-(4-Cyano-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [50] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-cyano-phenyl)-acetamide hydrochloride,
- [51] N-(4-Acethyl-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [52] 2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide hydrochloride,
- [53] N-(4-Acethyl-phenyl)-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [54] N-(4-Acethyl-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [55] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide hydrochloride,
- [56] N-(4-Benzoyl-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [57] N-(4-Benzoyl-phenyl)-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,

- [58] N-(2-Chloro-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [59] 2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-trifluoromethyl-phenyl)-acetamide,
- [60] 2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-phenyl-acetamide,
- [61] N-(4-Cyclohexyl-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [62] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-cyclohexyl-phenyl)-acetamide hydrochloride,
- [63] N-(2-Benzoyl-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [64] N-(2-Benzoyl-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [65] N-(2-Benzoyl-phenyl)-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [66] N-(2-Benzoyl-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [67] 2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide hydrochloride,
- [68] N-(4-Acethyl-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,

- [69] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [70] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-2-yl)-acetamide hydrochloride,
- [71] 2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-2-yl)-acetamide hydrochloride,
- [72] 2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-2-yl)-acetamide hydrochloride,
- [73] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-2-yl)-acetamide hydrochloride,
- [74] N-(9-Hydroxy-9H-fluoren-2-yl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [75] N-(9-Hydroxy-9H-fluoren-2-yl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [76] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [77] N-(4-Cyclohexyl-phenyl)-2-[4-(7-fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [78]. N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(5-fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [79] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(6-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,

- [80] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(7-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [81] 2-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)acetamide hydrochloride,
- [82] 2-[4-(5-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide hydrochloride,
- [83] 2-[4-(6-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide,
- [84] N-Dibenzofuran-2-yl-2-[4-(8-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]- acetamide,
- [85] 2-[4-(7-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-dibenzofuran-2-yl-acetamide,
- [86] 2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)- acetamide,
- [87] 2-[4-(7-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide,.
- [88] N-(9H-Carbazol-3-yl)-2-[4-(5-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [89] N-(9H-Carbazol-3-yl)-2-[4-(5-fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [90] N-(9H-carbazol-3-yl)-2-[4-(6-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,

- [91] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(5-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [92] 2-[4-(5-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide,
- [93] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(7-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [94] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(8-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [95] N-Dibenzofuran-2-yl-2-[4-(5-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [96] N-[4-(Ethyl-phenyl-amino)-phenyl]-2-[4-(7-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [97] N-(9H-Carbazol-3-yl)-2-[4-(8-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [98] N-[4-(Ethyl-phenyl-amino)-phenyl]-2-[4-(8-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [99] N-(9-Hydroxy-9H-fluoren-4-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [100] N-[4-(Hydroxy-phenyl-methyl)-phenyl]-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [101] N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,

- [102] N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [103] N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [104] N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [105] 2-[4-(7-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-thiazole-2-yl-acetamide,
- [106] 2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-thiazole-2-yl-acetamide,
- [107] N-Dibenzothiophene-2-yl-2-[4-(5-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [108] 2-[4-(7-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-dibenzothiophene-2-yl-acetamide,
- [109] 2-[4-(5-Hydroxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide,
- [110] 1-{1-[2-(3,4-Dihydro-1H-isoquinoline-2-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one hydrochloride,
- [111] 2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-quinoline-6-yl-acetamide,
- [112] 2-[4-(6-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-quinoline-6-yl-acetamide,

- [113] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-quinoline-6-yl-acetamide,
- [114] 2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methyl-benzothiazole-5-yl)-acetamide,
- [115] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methyl-benzothiazole-5-yl)-acetamide,
- [116] 2-[4-(6-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methyl-benzothiazole-5-yl)-acetamide,
- [117] N-(3-Dimethylamino-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [118] N-(4-Dimethylamino-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [119] N-(3-Dimethylamino-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [120] N-(4-Dimethylamino-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [121] N-(3-Dimethylamino-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [122] N-(4-Dimethylamino-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [123] N-(4-Diethylamino-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,

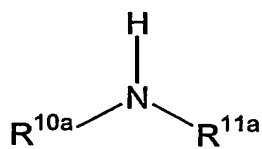
- [124] 2-{2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acethylamino}-benzoic acid methyl ester,
- [125] 2-{2-[4-(8-Methyl- 2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acethylamino}-benzoic acid methyl ester,
- [126] N-(2-Methoxy-dibenzofuran-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride,
- [127] N-2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methoxy-dibenzofuran-3-yl)-acetamide hydrochloride,
- [128] 2-{2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acethylamino}-benzoic acid methyl ester,
- [129] 2-{2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acethylamino}-benzoic acid methyl ester,
- [130] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-diethylamino-phenyl)-acetamide dihydrochloride,
- [131] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-{4-[ethyl-(2-hydroxy-ethyl)-amino]-phenyl}acetamide dihydrochloride,
- [132] N-{4-[Ethyl-(2-hydroxy-ethyl)-amino]-phenyl}-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride,
- [133] N-(4-Diethylamino-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride,
- [134] N-(4-Diethylamino-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride,

- [135] N-{4-[ethyl-(2-hydroxy-ethyl)-amino]-phenyl}-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride,
- [136] N-Benzo[1,3]dioxol-5-yl-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [137] N-Benzo[1,3]dioxol-5-yl-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [138] N-Benzo[1,3]dioxol-5-yl-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [139] N-Benzo[1,3]dioxol-5-yl-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [140] N-{4-[ethyl-(2-hydroxy-ethyl)-amino]-phenyl}-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride,
- [141] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-dimethylamino-phenyl)-acetamide dihydrochloride,
- [142] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(4-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [143] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(4-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [144] 2-[4-(4-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide,
- [145] 2-{2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamino}-benzoic acid,

- [146] 1-{1-[2-(6-Fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [147] 6-Chloro-1-{1-[2-(6-fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [148] 1-{1-[2-(6-Fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [149] 1-{1-[2-(6-Fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [150] 1-{1-[2-(6-Methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- [151] 6-Chloro-1-{1-[2-(6-methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [152] 1-{1-[2-(6-Methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [153] 1-{1-[2-(6-Methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one,
- [154] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [155] N-(9H-carbazol-3-yl)-2-[4-(2-oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [156] 2-[4-(2-Oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide,

- [157] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [158] 2-4-(6,7-Difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide,
- [159] N-(9H-carbazol-3-yl)-2-[4-(6,7-difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide,
- [160] 2-4-(6,7-Difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide,
- [161] 2-4-(6,7-Difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide,
- [162] 2-[4-(4-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide,
- [163] 2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(3-dimethylamino-phenyl)-acetamide.

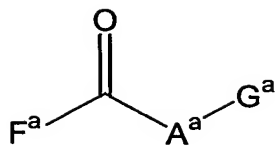
The benzoxazinone-derived compounds of general formula (Ia), wherein  $R^{1a}$ - $R^{11a}$  and  $A^a$  have the meaning given above, may be prepared preferably by reaction of one compound of general formula (IIa),



(IIa)

wherein

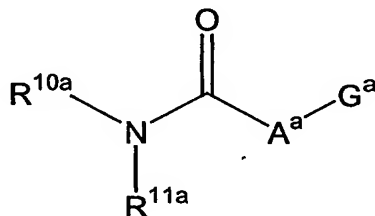
$R^{10a}$  and  $R^{11a}$  have the meaning given above, with at least one compound of general formula (IIIa),



(IIIa)

wherein

$A^a$  has the meaning given above,  $F^a$  represents halogen, hydroxy or an O-acyl group and  $G^a$  represents halogen, preferably chlorine. The reaction occurs in inert solvents and in the presence of a base or/and auxiliary agents, resulting in compounds of general formula (IVa)

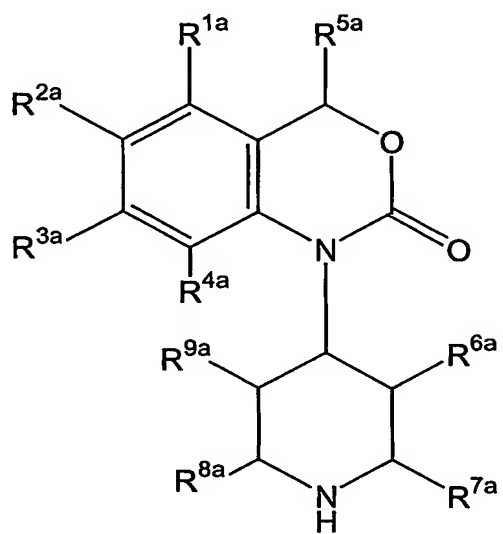


(IVa),

wherein

$A^a$ ,  $G^a$ ,  $R^{10}$  and  $R^{11}$  have the above defined meaning.

These compounds are reacted with amines of general formula (Va) and/or a salt, preferably hydrochloride, thereof,

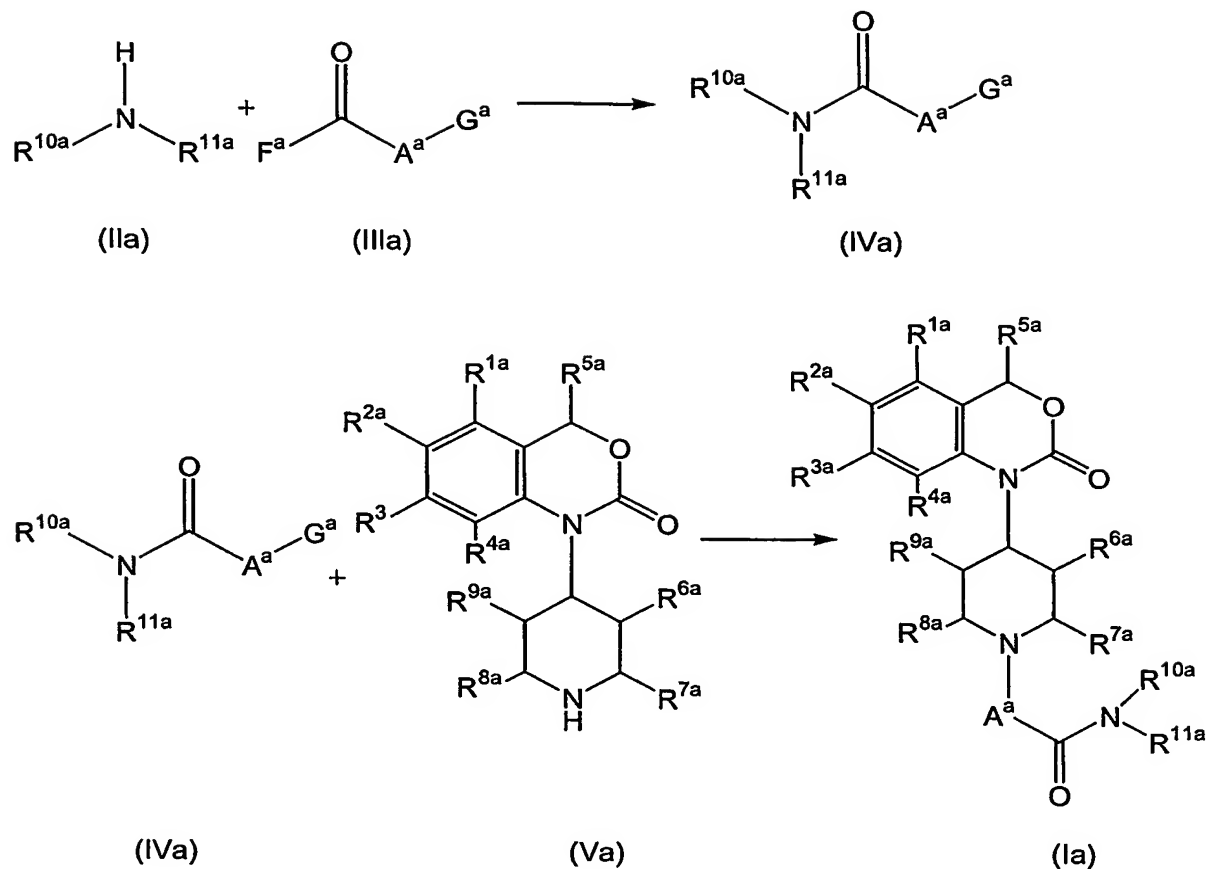


(Va),

wherein R<sup>1a</sup> to R<sup>9a</sup> have the meaning as defined above, in a suitable reaction medium and in the presence of base and/or auxiliary agents when it is necessary.

The process may be illustrated as an example by the following reaction scheme:

Scheme 1:



wherein  $R^{1a}$  to  $R^{11a}$  and  $A^a$  have the meaning as given above.

Suitable reaction media are e.g. organic solvents, such as ethers, preferably diethyl ether, dioxane, tetrahydrofuran, dimethyl glycol ether, or alcohols, e.g. methanol, ethanol, propanol, isopropanol, butanol, isobutanol, tert-butanol, or hydrocarbons, preferably benzene, toluene, xylene, hexane, cyclohexane, petroleum ether, or halogenated hydrocarbons, e.g. dichloromethane, trichloromethane, tetrachloromethane, dichloroethylene, trichloroethylene, chlorobenzene or/and other solvents, preferably ethyl acetate, triethylamine, pyridine, dimethylsulfoxide, diethylformamide, hexamethylphosphoramide, acetonitril, acetone or nitromethane, are included. Mixtures based one or more of the afore mentioned solvents may also be used.

Bases that may be used in the processes according to the present invention are generally organic or inorganic bases, preferably alkali metal hydroxides, e.g. sodium hydroxide or potassium hydroxide, or obtained from other metals such as barium hydroxide or different carbonates, preferably potassium carbonate, sodium carbonate, calcium carbonate, or alkoxides, e.g. sodium methoxide, potassium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide or potassium tert-butoxide, or organic amines, preferably triethylamine, diisopropylethylamine or heterocycles, e.g. 1,4-diazabicyclo[2.2.2] octane, 1,8-diazabicyclo[5.4.0]undec-7-ene pyridine, diamino pyridine, dimethylaminopyridine, methylpiperidine or morpholine. Alkali metals such as sodium or its hydrides, e.g. sodium hydride, may also be used. Mixtures based on one or more of the afore mentioned bases may also be used.

The above mentioned bases may be used for the process as auxiliary agents, when appropriate. Other suitable auxiliary agents for the above mentioned reactions are, for example, dehydrating agents like carbodiimides, e.g. diisopropylcarbodiimide, cyclohexylcarbodiimide or N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, or carbonylic compounds, e.g. carbonyldiimidazole or compounds like isobutylchloroformate or methanesulfonyl chloride, among others. These reagents are generally used in an amount comprised between 0.5 and 3 mol versus 1 mol of the corresponding carboxylic acids. These bases are generally used in an amount comprised between 0.05 and 10 mol versus 1 mol of the compounds of the invention.

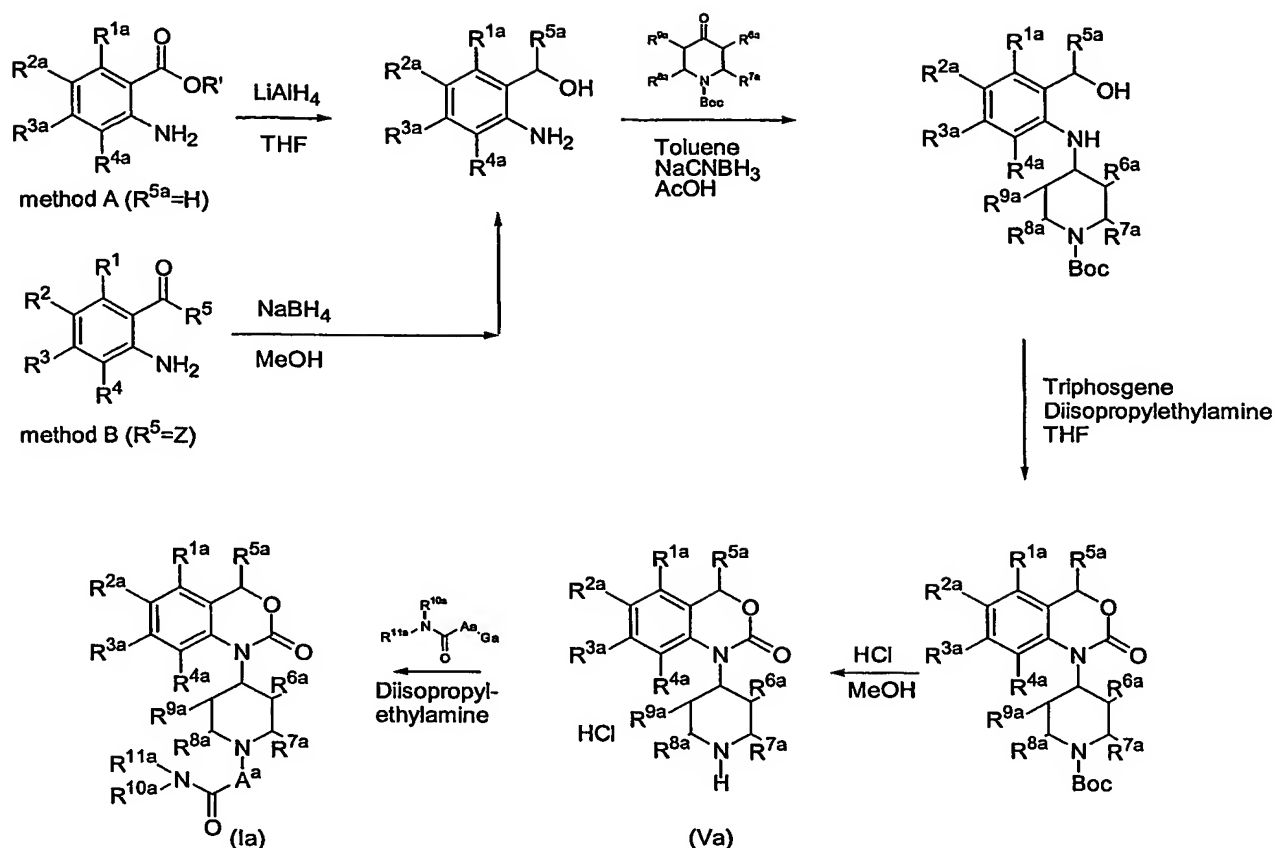
During some of the synthetic reactions described or while preparing the compounds of general formulas (Ia), (IIa), (IIIa), (IVa) and (Va), the protection of sensitive groups or of reagents may be necessary and/or desirable. This can be performed by using conventional protective groups like those described in the literature [Protective groups in Organic Chemistry, ed. J. F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, 1991]. The protective groups may be eliminated in the convenient later stage by means of methods well-known to those skilled in the art.

The compounds of general formulas (IIa), (IIIa), (IVa) and (Va) are either commercially available or can be produced according to methods known to those skilled in the art. The reaction of a compound of formula (IVa) with a compound of formula (Va) yield a benzoxazinone-derived compound of general formula (Ia) using conventional methods known to those skilled in the art.

The substituted benzoxazinone compounds of general formula (Va), wherein  $R^{5a}$  represents H, are preferably synthesized from substituted anthranilic acid or esters thereof by reduction to the corresponding benzylalcohol (see scheme 2, method A). By reductive amination with 1-Boc-4-piperidone the Boc-piperidin-moiety is introduced. The benzoxazinone-ring is formed by cyclisation with triphosgene, a treatment in acidic media allows the elimination the protecting group of the piperidine according to the method described in Williams et al., J. Med. Chem. 1995 38, 4634 and later by Bell et al., J. Med. Chem., 1998, 41, 2146 which are hereby incorporated by reference and form part of the disclosure. By reacting such a substituted benzoxazinone compound of general formula (Va) with a halogenated amide of general formula (IVa) benzoxazinone derived compounds of general formula (Ia) are obtained.

By reduction of the corresponding ketones with  $\text{NaBH}_4$  in methanol or via other conventional methods (see scheme 2, method B,  $R^5=Z$ )  $R^{5a}$  substituted 2-amino-benzylalcohols are obtained, intermediates which allow, via the same previous synthetic process, to obtain compounds of general formula (Ia), wherein  $R^{5a}$  may be an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, optionally may contain at least an heteroatom as ring member of a cycloaliphatic ring or alkyl (denoted by Z in the method B)

scheme 2:



The compounds of general formula (IVa) are commercially available or may be produced according to scheme 1 by conventional methods known to those skilled in the art. Essentially the respective compound of general formula (IIa) is reacted with chloroacetyl chloride or the respective compound of general formula (IIIa) in the presence of an organic reaction medium, preferably dichloromethane and a base, preferably triethylamine and/or diisopropylethylamine.

The salts of benzoxazinone-derived compounds of general formula (Ia), wherein  $R^{1a}$  –  $R^{11a}$  and  $A^a$  have the meaning as defined above, may be prepared in a way that at least one compound of general formula (Ia) having at least one basic group is reacted with an inorganic or organic acid, preferably in the presence of a suitable reaction medium. Suitable reaction media are the ones given above. Suitable inorganic acids are for example hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, nitric acid, suitable organic acids are e.g. citric acid, maleic acid, fumaric

acid, tartaric acid, or derivatives thereof, such as p-toluenesulfonic acid, methanesulfonic acid or camphersulfonic acid.

The salts of benzoxazinone-derived compounds of general formula (Ia), wherein  $R^{1a}$  –  $R^{11a}$  and  $A^a$  have the meaning as defined above, may be prepared in a way that at least one compound of general formula (Ia) having at least one acidic group is reacted with one or more suitable bases, preferably in the presence of a suitable reaction medium. Suitable bases are e.g. hydroxides, carbonates or alkoxides, which include suitable cations, derived e.g. from alkaline metals, alkaline earth metals or organic cations, e.g.  $[NH_nR_{4-n}]^+$ , wherein n is 0, 1, 2, 3 or 4 and R represents a branched or unbranched  $C_{1-4}$ -alkyl-radical.

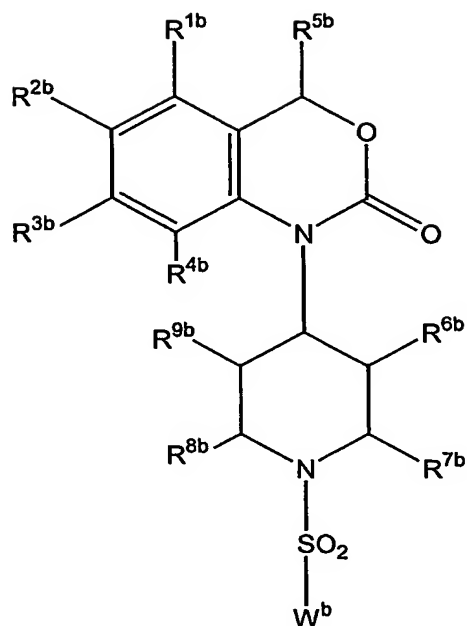
Solvates, preferably hydrates, of the Benzoxazinone-derived compounds of general formula (Ia) may also be obtained by standard procedures known to those skilled in the art.

If the Benzoxazinone-derived compounds of general formula (Ia) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

The purification and isolation of the Benzoxazinone-derived compounds of general formula (Ia) or a corresponding stereoisomer, or salt, or solvate respectively, if required, may be carried out by conventional methods known to those skilled in the art, e.g. chromatographic methods or recrystallization.

Preferably, the active substance combination of the present invention may comprise as a component (B) at least one compound with 5-HT<sub>6</sub> receptor affinity, which is selected from the group consisting of the benzoxazinone-derived sulfonamide compounds of general formula (Ib),

45



(Ib)

wherein

$R^{1b}$ ,  $R^{2b}$ ,  $R^{3b}$ ,  $R^{4b}$  are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro group, a cyano group,  $-OR^{10b}$ ,  $-O(C=O)R^{11b}$ ,  $-(C=O)-O-R^{11b}$ ,  $-SR^{12b}$ ,  $-SOR^{12b}$ ,  $-SO_2R^{12b}$ ,  $-NH-SO_2R^{12b}$ ,  $-SO_2NH_2$  and a  $-NR^{13b}R^{14b}$  moiety,

$R^{5b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical,

$R^{6b}$ ,  $R^{7b}$ ,  $R^{8b}$ ,  $R^{9b}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano group and a  $COOR^{15b}$  moiety,

$W^b$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

an optionally at least mono-substituted aryl or heteroaryl radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

a  $NR^{16b}R^{17b}$ -moiety,

or a  $COR^{18b}$ -moiety,

$R^{10b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally

at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{11b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{12b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{13b}$  and  $R^{14b}$  each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or  $R^{13b}$  and  $R^{14b}$  together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

$R^{15b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

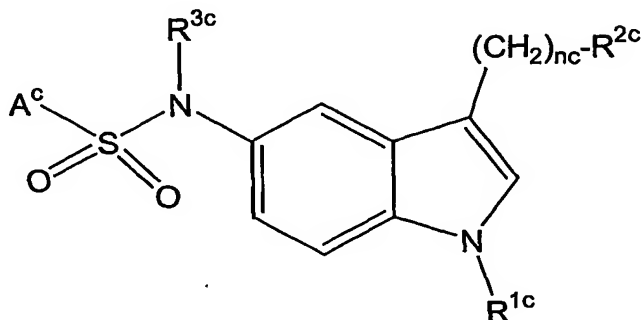
$R^{16b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

$R^{17b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, and

$R^{18b}$  represents an optionally at least mono-substituted aryl radical,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding salt thereof, preferably physiologically acceptable salts thereof, or a solvate, respectively,

and sulphonamide-derived compounds of general formula (Ic),



(Ic)

wherein

$\text{R}^{1c}$  represents hydrogen, an optionally at least mono-substituted, linear or branched alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical,

$\text{R}^{2c}$  represents a  $\text{-NR}^{4c}\text{R}^{5c}$  moiety or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem,

$\text{R}^{3c}$  represents hydrogen or an optionally at least mono-substituted, linear or branched alkyl radical,

$\text{R}^{4c}$  and  $\text{R}^{5c}$ , identical or different, represent hydrogen or an optionally at least mono-substituted, linear or branched alkyl radical, or

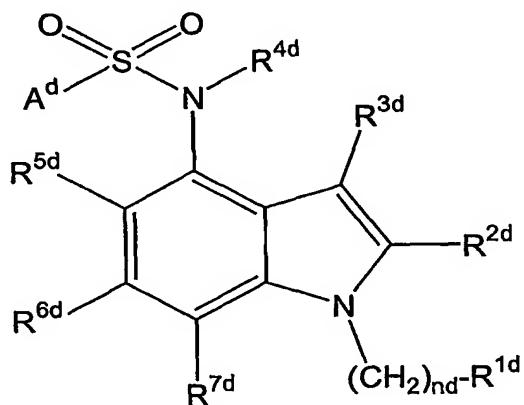
$R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated or unsaturated heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem,

$A^c$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ringsystem, which may be bonded via an optionally at least mono-substituted alkylene group, an optionally at least mono-substituted alkenylene group or an optionally at least mono-substituted alkynylene group and/or may contain at least one heteroatom as a ring member in one or more of its rings,

nc represents 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate,

and compounds of the general formula (Id)



(Id)

$R^{1d}$  represents a  $-NR^{8d}R^{9d}$  radical or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, which may contain at least one heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$R^{2d}$ ,  $R^{3d}$ ,  $R^{5d}$ ,  $R^{6d}$  and  $R^{7d}$ , identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, or an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted heteroaryl radical,

$R^{4d}$  is hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8d}$  and  $R^{9d}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

$R^{8d}$  and  $R^{9d}$  together with bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one additional heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at least one heteroatom as a ring member,

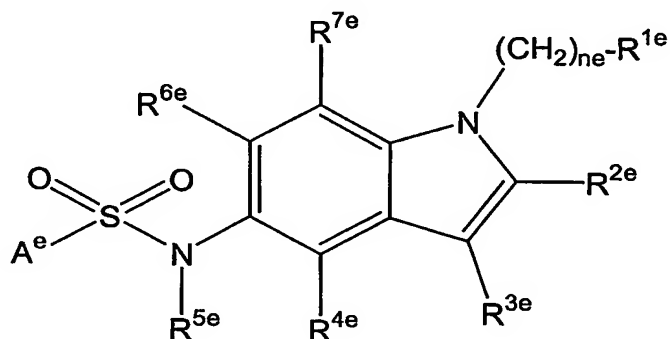
$A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings,

and

$n_d$  is 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof, and

and sulphonamide-derived compounds of general formula (Ie),



(Ie)

wherein

R<sup>1e</sup> represents a -NR<sup>8e</sup>R<sup>9e</sup> radical or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, which may optionally contain at least one heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at least one heteroatom as a ring member,

R<sup>2e</sup>, R<sup>3e</sup>, R<sup>4e</sup>, R<sup>6e</sup> and R<sup>7e</sup>, identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical or an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted heteroaryl radical,

R<sup>5e</sup> represents hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8e}$  and  $R^{9e}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

$R^{8e}$  and  $R^{9e}$  together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one additional heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, mono- or bicyclic cycloaliphatic ring system which may optionally contain at least one heteroatom as a ring member,

$A^e$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings

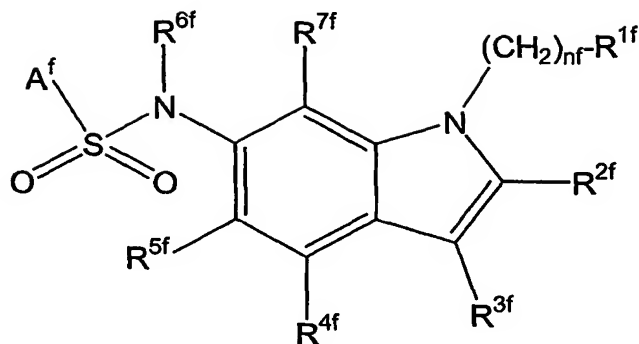
and

$n_e$  is 0, 1, 2, 3 or 4;

optionally in the form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in the form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, at any mixture ratio, or a corresponding, physiologically acceptable salt, or a corresponding solvate

and sulphonamide-derived compounds of general formula (If),

54



(If)

wherein

$R^{1f}$  represents a  $-NR^{8f}R^{9f}$  radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$R^{2f}$ ,  $R^{3f}$ ,  $R^{4f}$ ,  $R^{5f}$  and  $R^{7f}$ , identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, or an optionally at least mono-substituted phenyl radical or optionally at least mono-substituted heteroaryl radical,

$R^{6f}$  represents hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8f}$  and  $R^{9f}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

$R^{8f}$  and  $R^{9f}$ , together with the bridging nitrogen atom, form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or which may be condensed with a

saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

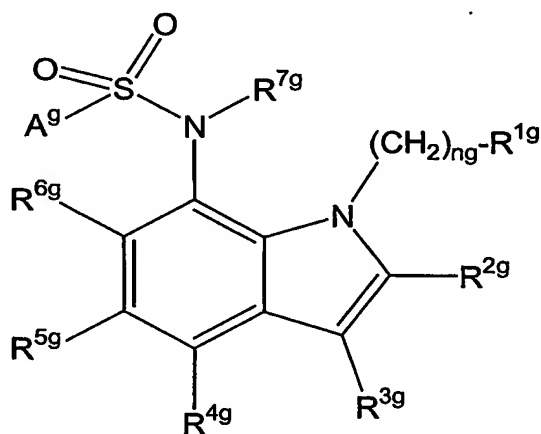
$A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings,

and

$n_f$  is 0, 1, 2, 3 or 4;

optionally in the form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in the form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, at any mixture ratio, or a corresponding, physiologically acceptable salt, or a corresponding solvate

and sulphonamide-derived compounds of general formula (Ig).



(Ig)

wherein

$R^{1g}$  is a  $-NR^{8g}R^{9g}$  radical or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, which may optionally contain at least one heteroatom as a ring member and which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system which may optionally contain at least one heteroatom as a ring member,

$R^{2g}$ ,  $R^{3g}$ ,  $R^{4g}$ ,  $R^{5g}$  and  $R^{6g}$ , identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, or an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted heteroaryl radical,

$R^{7g}$  represents hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8g}$  and  $R^{9g}$ , identical or different, represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

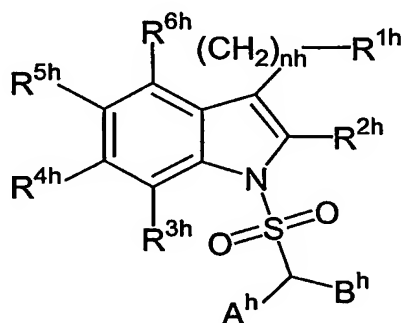
$R^{8g}$  and  $R^{9g}$  together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one additional heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at least one heteroatom as a ring member,

$A^g$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings,

ng is 0, 1, 2, 3 or 4;

optionally in the form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in the form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, at any mixture ratio, or a corresponding, physiologically acceptable salt, or a corresponding solvate,

and sulphonamide-derived compounds of general formula (Ih)



(Ih)

wherein

$R^{1h}$  represents a  $-NR^{7h}R^{8h}$  radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$ ,  $R^{5h}$  and  $R^{6h}$ , identical or different, each represent hydrogen, halogen, cyano, nitro, a saturated or unsaturated, linear or branched aliphatic radical, a linear or branched alkoxy radical, a linear or branched alkylthio radical, hydroxy, trifluoromethyl, a saturated or unsaturated cycloaliphatic radical, an alkylcarbonyl radical, a phenylcarbonyl or a  $-NR^{9h}R^{10h}$  group,

$R^{7h}$  and  $R^{8h}$ , identical or different, each represent hydrogen or a saturated or unsaturated, optionally at least mono-substituted linear or branched aliphatic radical,

or

$R^{7h}$  and  $R^{8h}$ , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$R^{9h}$  and  $R^{10h}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

$R^{9h}$  and  $R^{10h}$ , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$A^h$  and  $B^h$ , identical or different, each represent a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical

or

$A^h$  and  $B^h$ , together with the carbon atom to which they are bonded, form a saturated or unsaturated, but not aromatic, optionally at least mono-substituted cycloalkyl ring,

and

nh is 0, 1, 2, 3, or 4,

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemate or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding physiologically acceptable salt thereof or a corresponding solvate thereof.

The persons skilled in the state of the art understand that the active substance combination according to the present invention may comprise one or more compounds of one class of active substances with 5-HT<sub>6</sub> receptor affinity or one or more compounds of one or more classes of active substances with 5-HT<sub>6</sub> receptor affinity.

If one or more of the residues R<sup>1b</sup>-R<sup>17b</sup> and W<sup>b</sup> represents an aliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein the C<sub>1-4</sub>-alkyl may in each case be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, CF<sub>3</sub> and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R<sup>1b</sup>-R<sup>15b</sup> represents or comprises a cycloaliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, phenoxy, benzoyl, cyclohexyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, -NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1</sub>-

4-alkyl-radical,  $-\text{CH}_2\text{CH}_2\text{OH}$  and phenyl, carboxy, keto, amido, cyano, nitro,  $-\text{SO}_2\text{NH}_2$ ,  $-\text{CO}-\text{C}_{1-4}\text{-alkyl}$ ,  $-\text{CO}-\text{OC}_{1-4}\text{-alkyl}$ ,  $-\text{SO}-\text{C}_{1-4}\text{-alkyl}$ ,  $-\text{SO}_2-\text{C}_{1-4}\text{-alkyl}$ ,  $-\text{NH}-\text{SO}_2-\text{C}_{1-4}\text{-alkyl}$ , wherein  $\text{C}_{1-4}\text{-alkyl}$  may in each case be branched or unbranched, unsubstituted or at least mono-substituted phenyl or naphthyl and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, keto, benzoyl, phenoxy, cyclohexyl,  $-\text{CF}_3$ ,  $-\text{CO}-\text{CH}_3$ ,  $-\text{CO}-\text{OCH}_3$ ,  $-\text{NR}^{\text{Ab}}\text{R}^{\text{Bb}}$  wherein  $\text{R}^{\text{Ab}}$ ,  $\text{R}^{\text{Bb}}$  are each independently selected from the group consisting of H, a branched or unbranched  $\text{C}_{1-4}\text{-alkyl-radical}$ ,  $-\text{CH}_2\text{CH}_2\text{OH}$  and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $\text{R}^{1b}-\text{R}^{4b}$ ,  $\text{R}^{10b}-\text{R}^{15b}$  and  $\text{W}^b$  comprises an alkylene group, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $\text{C}_{1-4}\text{-alkoxy}$ , branched or unbranched  $\text{C}_{1-4}\text{-alkyl}$ , branched or unbranched  $\text{C}_{1-4}\text{-perfluoroalkoxy}$ , branched or unbranched  $\text{C}_{1-4}\text{-perfluoroalkyl}$ , amino, carboxy, amido, cyano, nitro,  $-\text{SO}_2\text{NH}_2$ ,  $-\text{CO}-\text{C}_{1-4}\text{-alkyl}$ ,  $-\text{SO}-\text{C}_{1-4}\text{-alkyl}$ ,  $-\text{SO}_2-\text{C}_{1-4}\text{-alkyl}$ ,  $-\text{NH}-\text{SO}_2-\text{C}_{1-4}\text{-alkyl}$ , wherein  $\text{C}_{1-4}\text{-alkyl}$  may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, methoxy, ethoxy,  $\text{CF}_3$  and unsubstituted phenyl. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $\text{R}^{1b}-\text{R}^{4b}$  and  $\text{R}^{10b}-\text{R}^{15b}$  comprises a mono- or polycyclic ringsystem, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $\text{C}_{1-4}\text{-alkyl}$ , branched or unbranched  $\text{C}_{1-4}\text{-alkoxy}$ , branched or unbranched  $\text{C}_{1-4}\text{-perfluoroalkoxy}$ , branched or

unbranched C<sub>1-4</sub>-perfluorocarbonyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, keto, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl, more preferably from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, CF<sub>3</sub>, - (C=O)-CF<sub>3</sub>, keto, cyano and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R<sup>1b</sup>-R<sup>4b</sup>, R<sup>10b</sup>-R<sup>15b</sup> and R<sup>18b</sup> represents or comprises an aryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, carboxy, amido, cyano, -CH(OH)(phenyl), nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -CO-OC<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, nitro, -CH(OH)(phenyl), methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF<sub>3</sub>, OCF<sub>3</sub>, -CO-CH<sub>3</sub>, -CO-OCH<sub>3</sub>, SO<sub>2</sub>-CH<sub>3</sub>, -NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, Br, CF<sub>3</sub>, OCF<sub>3</sub>, methyl and methoxy.

If one or more of the residues  $R^{1b}$ - $R^{4b}$  and  $R^{10b}$ - $R^{15b}$  represents or comprises a heteroaryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched  $C_{1-4}$ -perfluoroalkyl,  $NR^{Ab}R^{Bb}$  wherein  $R^{Ab}$ ,  $R^{Bb}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, carboxy, amido, cyano,  $-CH(OH)(phenyl)$ , nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}-alkyl$ ,  $-CO-OC_{1-4}-alkyl$ ,  $SO-C_{1-4}-alkyl$ ,  $SO_2-C_{1-4}-alkyl$ ,  $-NH-SO_2-C_{1-4}-alkyl$ , wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, nitro,  $-CH(OH)(phenyl)$ , methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl,  $CF_3$ ,  $OCF_3$ ,  $-CO-CH_3$ ,  $-CO-OCH_3$ ,  $-SO_2CH_3$ ,  $-NR^{Ab}R^{Bb}$  wherein  $R^{Ab}$ ,  $R^{Bb}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, Br,  $CF_3$ ,  $OCF_3$ , methyl and methoxy.

If  $R^{13b}$  and  $R^{14b}$  form a heterocyclic ring, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}-alkyl$ ,  $-SO-C_{1-4}-alkyl$ ,  $-SO_2-C_{1-4}-alkyl$ ,  $-NH-SO_2-C_{1-4}-alkyl$ , wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-,

pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, methyl, CF<sub>3</sub> and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R<sup>13b</sup> and R<sup>14b</sup> form a heterocyclic ring, which contains one or more further heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O and S, more preferably from the group consisting of N and O.

If one or more of the residues R<sup>1b</sup>-R<sup>15b</sup> and W<sup>b</sup> represents or comprises a cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If one or more of the residues R<sup>1b</sup>-R<sup>4b</sup>, R<sup>10b</sup>-R<sup>15b</sup> and W<sup>b</sup> represents or comprises an heteroaryl radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

If W<sup>b</sup> represents or comprises a cycloaliphatic radical, a heteroaryl radical, an aryl radical and/or a mono- or polycyclic ring system which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, nitro, carboxy, cyano, keto, halogen, C<sub>1-20</sub>-alkyl, partially fluorinated C<sub>1-4</sub> alkyl, partially chlorinated C<sub>1-4</sub> alkyl, partially brominated C<sub>1-4</sub> alkyl, C<sub>1-5</sub>-alkoxy, partially fluorinated C<sub>1-4</sub> alkoxy, partially chlorinated C<sub>1-4</sub> alkoxy, partially brominated C<sub>1-4</sub> alkoxy, C<sub>2-6</sub>-alkenyl, SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -(C=O)-C<sub>1-5</sub>-alkyl, -(C=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-Cl, -S-C<sub>1-4</sub>-alkyl-, -(C=O)-H, -NH-(C=O)-NH-C<sub>1-5</sub>-alkyl, -(C=O)-C<sub>1-4</sub>-perfluoroalkyl, -NR<sup>Ab</sup>R<sup>Bb</sup>, wherein R<sup>Ab</sup> and R<sup>Bb</sup> are independently selected from the group consisting of H, C<sub>1-4</sub>-alkyl and phenyl, NH-(C=O)-C<sub>1-5</sub>-alkyl, -C<sub>1-5</sub>-alkylen-(C=O)-C<sub>1-5</sub>-alkyl, (1,3-Dihydro-1-oxo-2H-isindol-2-yl),

N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -C<sub>1-4</sub>-Alkylen-NH-(C=O)-phenyl, more preferably from the group consisting of hydroxy, nitro, carboxy, cyano, keto, F, Cl, Br, I, C<sub>1-12</sub>-alkyl, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CH<sub>2</sub>Cl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>F, O-CH<sub>2</sub>-CF<sub>3</sub>, vinyl, SO<sub>2</sub>-CH<sub>3</sub>, -(C=O)-CH<sub>3</sub>, -(C=O)-C<sub>2</sub>H<sub>5</sub>, -(C=O)-O-CH<sub>3</sub>, -(C=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-Cl, -S-CH<sub>3</sub>-, -(C=O)-H, -NH-(C=O)-NH-CH<sub>3</sub>, -(C=O)-CF<sub>3</sub>, dimethylamino, diethylamino, di-n-propylamino, di-iso-propylamino, di-n-butylamino, di-tert-butyamino, NH-(C=O)-CH<sub>3</sub>, -CH<sub>2</sub>-(C=O)-CH<sub>3</sub>, -CH<sub>2</sub>-(C=O)-C<sub>2</sub>H<sub>5</sub>, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -CH<sub>2</sub>-NH-(C=O)-phenyl.

If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, -(C=O)-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl, at least partially fluorinated C<sub>1-4</sub>-alkyl, at least partially chlorinated C<sub>1-4</sub>-alkyl, at least partially brominated C<sub>1-4</sub>-alkyl, -S-C<sub>1-4</sub>-alkyl, -C(=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl, -(C=O)-CH<sub>2</sub>-Br, preferably from the group consisting of F, Cl, Br, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CHCl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, nitro, cyano, hydroxy, -(C=O)-CH<sub>3</sub>, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, -S-CH<sub>3</sub>, -C(=O)-O-CH<sub>3</sub>, -C(=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl and -(C=O)-CH<sub>2</sub>-Br.

The substituents for W<sup>b</sup> may preferably also be selected from the group consisting of hydroxy, nitro, carboxy, cyano, keto, halogen, C<sub>1-20</sub>-alkyl, partially fluorinated C<sub>1-4</sub> alkyl, partially chlorinated C<sub>1-4</sub> alkyl, partially brominated C<sub>1-4</sub> alkyl, C<sub>1-5</sub>-alkoxy, partially fluorinated C<sub>1-4</sub> alkoxy, partially chlorinated C<sub>1-4</sub> alkoxy, partially brominated C<sub>1-4</sub> alkoxy, C<sub>2-6</sub>-alkenyl, SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -(C=O)-C<sub>1-5</sub>-alkyl, -(C=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-Cl, -S-C<sub>1-4</sub>-alkyl-, -(C=O)-H, -NH-(C=O)-NH-C<sub>1-5</sub>-alkyl, -(C=O)-C<sub>1-4</sub>-perfluoroalkyl, -NR<sup>A</sup>R<sup>B</sup>, wherein R<sup>A</sup> and R<sup>B</sup> are independently selected from the group

consisting of H, C<sub>1-4</sub>-alkyl and phenyl, NH-(C=O)-C<sub>1-5</sub>-alkyl, -C<sub>1-5</sub>-alkylen-(C=O)-C<sub>1-5</sub>-alkyl, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -C<sub>1-4</sub>-Alkylen-NH-(C=O)-phenyl, more preferably from the group consisting of hydroxy, nitro, carboxy, cyano, keto, F, Cl, Br, I, C<sub>1-12</sub>-alkyl, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CH<sub>2</sub>Cl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>F, O-CH<sub>2</sub>-CF<sub>3</sub>, vinyl, SO<sub>2</sub>-CH<sub>3</sub>, -(C=O)-CH<sub>3</sub>, -(C=O)-C<sub>2</sub>H<sub>5</sub>, -(C=O)-O-CH<sub>3</sub>, -(C=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-Cl, -S-CH<sub>3</sub>-, -(C=O)-H, -NH-(C=O)-NH-CH<sub>3</sub>, -(C=O)-CF<sub>3</sub>, dimethylamino, diethylamino, di-n-propylamino, di-iso-propylamino, di-n-butylamino, di-tert-butylamino, NH-(C=O)-CH<sub>3</sub>, -CH<sub>2</sub>-(C=O)-CH<sub>3</sub>, -CH<sub>2</sub>-(C=O)-C<sub>2</sub>H<sub>5</sub>, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -CH<sub>2</sub>-NH-(C=O)-phenyl.

If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, -(C=O)-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl, at least partially fluorinated C<sub>1-4</sub>-alkyl, at least partially chlorinated C<sub>1-4</sub>-alkyl, at least partially brominated C<sub>1-4</sub>-alkyl, -S-C<sub>1-4</sub>-alkyl, -C(=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl, -(C=O)-CH<sub>2</sub>-Br, preferably from the group consisting of F, Cl, Br, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CHCl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, nitro, cyano, hydroxy, -(C=O)-CH<sub>3</sub>, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, -S-CH<sub>3</sub>, -C(=O)-O-CH<sub>3</sub>, -C(=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl and -(C=O)-CH<sub>2</sub>-Br.

The use of compounds of general formula (Ib) is preferred, wherein R<sup>1b</sup>, R<sup>2b</sup>, R<sup>3b</sup>, R<sup>4b</sup> are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-

substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, -OR<sup>10b</sup>, -OC(=O)R<sup>11b</sup>, -SR<sup>12b</sup>, -SOR<sup>12b</sup>, -SO<sub>2</sub>R<sup>12b</sup>, -NH-SO<sub>2</sub>R<sup>12b</sup>, -SO<sub>2</sub>NH<sub>2</sub> and a -NR<sup>13b</sup>R<sup>14b</sup> moiety,

preferably selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C<sub>1-3</sub>-aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>5</sub>- or C<sub>6</sub>- cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1</sub>- or C<sub>2</sub>-alkylene group, a nitro, cyano, -OR<sup>10b</sup>, -OC(=O)R<sup>11b</sup>, -SR<sup>12b</sup> and -NR<sup>13b</sup>R<sup>14b</sup> moiety,

more preferably selected from the group consisting of H, F, Cl, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, cyclopentyl, cyclohexyl, nitro, cyano and -OR<sup>10b</sup>,

and R<sup>5b</sup>-R<sup>18b</sup> and W<sup>b</sup> have the meaning as defined above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein R<sup>5b</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical,

preferably represents H or a branched or unbranched C<sub>1-3</sub>-alkyl radical,

more preferably H, CH<sub>3</sub> or CH<sub>2</sub>CH<sub>3</sub>,

and  $R^{1b}$ - $R^{4b}$ ,  $R^{6b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preferred is also the use of compounds of general formula (Ib), wherein  $R^{6b}$ ,  $R^{7b}$ ,  $R^{8b}$ ,  $R^{9b}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, a cyano and  $COOR^{15b}$  moiety,

preferably selected from the group consisting of H, a branched or unbranched  $C_{1-3}$ -alkyl radical, a cyano and a  $COOR^{15b}$  group,

more preferably from the group consisting of H,  $CH_3$ ,  $CH_2CH_3$  and a cyano moiety,

and  $R^{1b}$ - $R^{5b}$ ,  $R^{10b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $W^b$  represents an an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed

with an optionally at least mono-substituted mono- or polycyclic ringsystem, a  $\text{NR}^{16b}\text{R}^{17b}$ -moiety or a  $\text{COR}^{18b}$ -moiety,

preferably selected from the group consisting of 1-Naphthyl-, 5-Dimethylamino-naphth-1-yl, 2-Naphthyl-, 2-Acetamido-4-methyl-5-thiazolyl-, 2-Thienyl-, 8-Quinoliny-, Phenyl-, Pentafluorophenyl-, 2,4,5-Trichloro-phenyl-, 2,5-Dichloro-phenyl-, 2-Nitrophenyl-, 2,4-Dinitro-phenyl-, 3,5-Dichloro-2-hydroxy-phenyl-, 2,4,6-Trisisopropyl-phenyl-, 2-Mesityl-, 3-Nitro-phenyl-, 4-Bromo-phenyl-, 4-Fluoro-phenyl-, 4-Chlorophenyl-, 4-Chloro-3-nitro-phenyl-, 4-Iodo-phenyl-, N-Acetyl-sulfanilyl-, 4-Nitro-phenyl-, 4-Methoxy-phenyl-, Benzoic-acid-4-yl-, 4-tert-Butyl-phenyl-, p-Tolyl-, Trifluoromethyl-, Trichloromethyl-, Isopropyl-, Methyl-, Benzyl-, trans-styryl-, 2,2,2-Trifluoroethyl-, Ethyl-, Hexadecyl-, 2-Chloroethyl-, n-Propyl-, 3-Chloro-propyl-, n-Butyl-, Methyl-benzoate-2-yl-, 2-Nitro-4-(trifluoromethyl)-phenyl-, Pentamethyl-phenyl-, 2,3,5,6-Tetramethyl-phenyl-, 3-(Trifluoromethyl)-phenyl-, 3,5-Bis-(Trifluoromethyl)-phenyl-, Dichloromethyl-, Chloromethyl-, Dodecyl-, 1-Octyl-, 2,3,4-Trichloro-phenyl-, 2,5-Dimethoxy-phenyl-, o-Tolyl-, p-xylyl-2-yl-, Benzoic-acid-3-yl-, 4-Chloro-3-(trifluoromethyl)-phenyl-, 4-Chloro-5-nitro-benzoic acid-3-yl-, 6-(p-toluidino)-naphth-2-yl-, 4-Methoxy-2,3,6-trimethylphenyl-, 3,4-Dichlorophenyl-, 4,5-Dibromo-thiophene-2-yl-, 3-Chloro-4-fluoro-phenyl-, 4-Ethyl-phenyl-, 4-n-Propyl-phenyl-, 4-(1,1-Dimethylpropyl)-phenyl-, 4-Isopropyl-phenyl-, 4-Bromo-2,5-difluoro-phenyl-, 2-Fluoro-phenyl-, 3-Fluoro-phenyl-, 4-(Trifluoromethoxy)-phenyl-, 4-(Trifluoromethyl)-phenyl-, 2,4-Difluoro-phenyl-, 2,4-Dichloro-5-methyl-phenyl-, 4-Chloro-2,5-dimethyl-phenyl-, 5-Diethylamino-naphth-2-yl-, Benzoyl chloride-3-yl-, 2-Chloro-phenyl-, 1-Octadecyl-, 4-Bromo-2,5-dichloro-thiophene-3-yl-, 2,5-Dichloro-thiophene-3-yl-, 5-Chloro-thiophene-2-yl-, 2-Methyl-5-nitro-phenyl-, 2-(Trifluoromethyl)-phenyl-, 3-Chloro-phenyl-, 3,5-Dichloro-phenyl-, 1-Decyl-, 3-Methyl-phenyl-, 2-Chloro-6-methyl-, 5-Bromo-2-methoxy-phenyl-, 3,4-Dimethoxy-phenyl-, 2,3-Dichloro-phenyl-, 2-Bromo-phenyl-, 3,5-Dichloro-4-(2-chloro-4-nitrophenoxy)-phenyl-, 2,3-Dichloro-thiophene-5-yl-, 3-Bromo-2-chloro-thiophene-5-yl-, 3-Bromo-5-chloro-thiophene-2-yl-, 2-(Benzoylaminomethyl)-thiophene-5-yl-, 4-(Phenylsulphonyl)-thiophene-2-yl-, 2-Phenylsulphonyl-thiophene-5-yl-, 3-Chloro-2-methyl-phenyl-, 2-[1-Methyl-5-(trifluoromethyl)pyrazol-3-yl]-thiophene-5-yl-, 5-Pyrid-2-yl-thiophene-2-yl-, 2-Chloro-5-(trifluoromethyl)-phenyl-, 2,6-Dichloro-phenyl-, 3-Bromo-phenyl-, 2-(Trifluoromethoxy)-phenyl-, 4-Cyano-phenyl-, 2-Cyano-phenyl-, 4-n-

Butoxy-phenyl-, 4-Acetamido-3-chloro-phenyl, 2,5-Dibromo-3,6-difluoro-phenyl-, 5-Chloro-1,3-dimethylpyrazole-4-yl-, 3,5-Dimethylisoxazole-4-yl-, 2-(2,4-Dichlorophenoxy)-phenyl-, 4-(2-Chloro-6-nitro-phenoxy)-phenyl-, 4-(3-Chloro-2-cyano-phenoxy)-phenyl-, 2,4-Dichloro-phenyl-, 2,4-Dimethyl-1,3-thiazole-5-yl-, Methyl-methane-sulfonyl-, 2,5-Bis-(2,2,2-Trifluoroethoxy)-phenyl-, 2-Chloro-4-(trifluoromethyl)-phenyl-, 2-Chloro-4-fluoro-phenyl-, 5-Fluoro-2-methyl-phenyl-, 5-Chloro-2-methoxy-phenyl-, 2,4,6-Trichloro-phenyl-, 2-Hydroxy-benzoic acid-5-yl-, 5-(Di-n-propylamino)-naphth-1-yl-, 6-Methoxy-m-tolyl-, 2,5-Difluoro-phenyl-, 2,4-Dimethoxy-phenyl-, 2,5-Dibromo-phenyl-, 3,4-Dibromo-phenyl-, 2,2,5,7,8-Pentamethyl-chroman-6-yl-, 2-Methoxy-benzoic-acid-5-yl-, 5-Chloro-4-nitro-thiophene-2-yl-, 2,1,3-Benzothiadiazole-4-yl-, 1-Methyl-imidazole-4-yl-, Benzofurazan-4-yl-, 2-(Methoxycarbonyl)-thiophene-3-yl-, 5-(Isoxazol-3-yl)-thiophene-2-yl-, 2,4,5-Trifluoro-phenyl-, Biphenyl-4-yl-, Vinyl-phenyl-4-yl-, 2-Nitro-benzyl-, 5-Dichloro-methyl-furan-2-yl-, 5-Bromo-thiophene-2-yl-, 5-(4-Chlorobenzamidomethyl)-thiophene-2-yl-, 2,6-Difluoro-phenyl-, 2,5-Dimethoxy-4-nitro-phenyl-, Dibenzo[B,D]-furan-2-yl-, 2,3,4-Trifluoro-phenyl-, 3-Nitro-p-tolyl-, 4-Methoxy-2-nitro-phenyl-, 3,4-Difluoro-phenyl-, 4-(Bromoethyl)-phenyl-, 3,5-Dichloro-4-hydroxy-phenyl-, 4-n-Amyl-phenyl-, 5-Chloro-3-methylbenzo[B]-thiophene-2-yl-, 3-Methoxy-4-(methoxycarbonyl)-thiophene-2-yl-, 4-n-Butyl-phenyl-, 2-Chloro-4-cyano-phenyl-, 5-[2-(Methylthio)-pyrimidin-4-yl]-thiophene-2-yl-, 3,5-Dinitro-4-methoxy-phenyl-, 4-Bromo-2-(trifluoromethoxy)-phenyl-, 4-Chloro-2,1,3-Benzoxadiazole-7-yl-, 2-(1-Naphthyl)-ethyl-, 3-Cyano-phenyl-, 5-Chloro-2,1,3-Benzoxadiazole-4-yl-, 3-Chloro-4-methyl-phenyl-, 4-Bromo-2-ethyl-phenyl-, 2,4-Dichloro-6-methyl-phenyl-, 6-Chloro-imidazo(2,1-B)-thiazole-5-yl-, 3-Methyl-benzo[B]-thiophene-2-yl-, 4-Methyl-sulphonyl-phenyl-, 2-Methyl-sulphonyl-phenyl-, 4-Bromo-2-methyl-phenyl-, 2,6-Dichloro-4-(trifluoromethyl)-phenyl-, 4-[[3-Chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-phenyl-, 5-Chloro-naphth-1-yl-, 5-Chloro-naphth-2-yl-, 9,10-Dibromoanthracene-2-yl-, Isoquinoline-5-yl-, 4-Methoxy-2,3,6-trimethyl-phenyl-, 4'-Nitro-biphenyl-4-yl-, [(4-Phenoxy)-phenyl-, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl)-4-phenyl-, 4-Acetyl-phenyl-, 5-(2-Methyl-1,3-thiazole-4-yl)-thiophene-2-yl-, 5-(1-Methyl-3-(trifluoromethyl)pyrazol-5-yl)-thiophene-2-yl-, 5-[5-Trifluoromethyl]-isoxazol-3-yl]-thiophene-2-yl-, 2-Iodo-phenyl-, p-Dodecyl-phenyl-, 4-[(3-Cyano-4-methoxy-2-pyridinyl)oxy]-phenyl-, 4-(N-phthalimidinyl)-phenyl-, 1,2,3,4-Tetrahydro-2-(trifluoroacetyl)-isoquinoline-7-yl-, 4-Bromo-2-fluoro-phenyl-, 2-Fluoro-5-(trifluoromethyl)-phenyl-, 4-Fluoro-2-

(trifluoromethyl)-phenyl-, 4-Fluoro-3-(trifluoromethyl)-phenyl-, 2,4,6-Trifluoro-phenyl-, 3-(Trifluoromethoxy)-phenyl-, 1,2-Dimethylimidazole-4-yl-, Ethyl-4-Carboxylate-3-yl-, 2,2,4,6,7-Pentamethyldihydrobenzofuran-5-yl-, 3-Bromo-2-chloropyridine-5-yl-, 3-Methoxy-phenyl-, 2-Methoxy-4-methyl-phenyl-, 2-Chloro-4-fluorobenzoic-acid-5-yl-, 4-Chloro-naphth-1-yl-, 2,5-Dichloro-4-nitro-thiophene-3-yl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chloro-phenoxy)-phenyl-, 4-(3,5-Dichloro-phenoxy)-phenyl-, 4-(3,4-Dichloro-phenoxy)-phenyl-, 4-(4-Fluoro-phenoxy)-phenyl-, 4-(4-Methyl-phenoxy)-phenyl-, 4-[4-(Trifluoromethyl)-phenoxy-phenyl-, 4-[3,5-Bis-(trifluoromethyl)-phenoxy]-phenyl-, 3-(2-Methoxy-phenoxy)-phenyl-, [3-(2-Chloro-phenoxy)-phenyl-, 3-(2-Methyl-phenoxy)-phenyl-, 4-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 3-Phenyl-phenyl-, 3-(4-Methoxy-phenyl)-phenyl-, 3-(4-Chloro-phenyl)-phenyl-, 3-(3,5-Dichloro-phenyl)-phenyl-, 3-(3,4-Dichloro-phenyl)-phenyl-, 3-(4-Fluorophenyl)-phenyl-, 3-(4-Methylphenyl)-phenyl-, 3-[4-(Trifluoromethyl)-phenyl]-phenyl-, 3-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, 4-(4-Pyridyloxy)-phenyl-, 4-(2-Methoxy-phenoxy)-phenyl-, 4-(2-Chloro-phenoxy)-phenyl-, 4-(2-Methyl-phenoxy)-phenyl-, 4-(4-Methoxy-phenoxy)-phenyl-, 4-(4-Chlorophenyl)-phenyl-, 4-(3,5-Dichlorophenyl)-phenyl-, 4-(3,4-Dichlorophenyl)-phenyl-, 4-(4-Fluorophenyl)-phenyl-, 4-(4-Methylphenyl)-phenyl-, 4-[4-(Trifluoromethyl)-phenyl]-phenyl-, 4-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-, [3-(Trifluoromethyl)-phenyl]-methyl-, (4-Chlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (4-Fluorophenyl)-methyl-, 4-Methylphenylmethyl-, [4-(Trifluoromethyl)-phenyl]-methyl-, Cyclopropyl-, 2-(2-Chlorophenyl)-2-Phenylethyl-, 2-(2-Trifluoromethylphenyl)-2-phenylethyl-, 5-[4-Cyano-1-methyl-5-(methylthio)-1H-pyrazol-3-yl]-thiophene-2-yl-, 3-Cyano-2,4-bis-(2,2,2-Trifluoroethoxy)-phenyl-, 4-[(2-Chloro-1,3-Thiazol-5-yl)-methoxy]-phenyl-, 3-Nitro-phenylmethyl-, 4-Formylphenyl-, 2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethyl-, [3,5-Bis-(Trifluoromethyl)-phenyl]-methyl-, (4-(2-Pyridyloxy)-phenyl)-, (4-(3-Pyridyloxy)-phenyl)-, 5-Iodo-naphth-1-yl-, Ethyl-2,5-dimethyl-1-phenylpyrrole-4-carboxylate-3-yl-, Ethyl-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxylate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-3-furoate-4-yl, Ethyl-5-(4-chlorophenyl)-2-methyl-1-phenyl-3-carboxylate-4-yl-, Ethyl-2,5-dimethyl-3-furoate-4-yl-, 3-Chloro-4-(1,3-dioxo-2-Azaspiro[4,4]non-2-yl)-phenyl-, 5-Bromo-2,4-difluoro-phenyl-, 5-Chloro-2,4-difluorophenyl-, Coumarin-6-yl, 2-Methoxy-phenyl, (3-Phenoxy)-phenyl-, 3-(4-Methoxy-phenoxy)-phenyl-, 3-(4-Chlorophenoxy)-phenyl-, 3-(3,5-Dichlorophenoxy)-phenyl-, 3-(3,4-Dichlorophenoxy)-phenyl-, 3-(4-Fluorophenoxy)-phenyl-, 3-(4-

Methylphenoxy)-phenyl-, 3-[4-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[3,5-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 2,2-Diphenylethyl-, 4-Phenyl-5-(trifluoromethyl)-thiophene-3-yl-, Methyl-4-Phenyl-5-(Trifluoromethyl)-thiophene-2-carboxylate-3-yl-, Methyl-1,2,5-trimethylpyrrole-3-Carboxylate-4-yl-, 4-Fluoro-naphth-1-yl-, 3,5-Difluorophenyl-, 3-Fluoro-4-methoxyphenyl-, 4-Chloro-2,5-difluorophenyl-, 2-Chloro-4,5-difluoro-phenyl-, 5-Fluoro-3-methylbenzo[B]-thiophene-2-yl-, Methyl-3-phenylpropionate-4-yl, Dihydrocinnamic Acid-4-yl-, Methyl-2,5-dimethyl-3-furoate-4-yl-, Methyl-2-furoate-5-yl-, Methyl-2-methyl-3-furoate-5-yl-, Methyl-1-methyl-1H-pyrrole-2-Carboxylate-5-yl-, 2-(5-Chloro-1,2,4-Thiadiazol-3-yl)-thiophene-5-yl-, 1,3,5-Trimethyl-1H-pyrazole-4-yl-, 3-Chloro-5-fluoro-2-methylphenyl-, Pentafluoroethoxytetrafluoroethyl-, 5-(5-Isoxazol-yl)-thiophene-2-yl-, 5-(5-Isoxazol-yl)-2-furyl-, 5-Methyl-2,1,3-benzothiadiazole-4-yl-, Biphenyl-2-yl-, 2,3-Dihydro-1,4-benzodioxine-6-yl-, 4-Methyl-Naphth-1-yl-, 5-Methyl-2-(Trifluoromethyl)-3-Furyl-, 2,3-Dihydrobenzo[B]furan-5-yl-, 1-Benzothiophene-3-yl-, 4-Methyl-3,4-dihydro-2H-1,4-Benzoxazine-7-yl-, 5-Methyl-1-phenyl-1H-pyrazole-4-yl-, 6-Morpholino-3-Pyridinyl-, 4-(1H-Pyrazol-1-yl)-phenyl-, 6-Phenoxy-3-Pyridyl-, 3,4-Dihydro-2H-1,5-benzodioxepine-7-yl-, 5-(1,3-Oxazol-5-yl)-2-thienyl-, 4-(1,3-Oxazol-5-yl)-phenyl-, 5-Methyl-4-isoxazolyl, 2,1,3-Benzothiadiazole-5-yl-, 3-Thienyl-, 2-Methylbenzyl-, 3-Chloro-benzyl-, 5-Acetamido-naphth-1-yl-, 3-Methyl-8-Quinoliny-, 4-Chloro-2-nitrophenyl-, 6-Quinoliny-, 1,3-Benzothiazole-6-yl-, 2-Morpholino-3-Pyridyl-, 2,5-Dimethyl-3-thienyl-, 5-[5-(Chloromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl-, Ethyl-3-[5-yl-2-thienyl]-1,2,4-oxadiazole-5-carboxylate-, 3-(5-Methyl-1,3,4-oxadiazol-2-yl)-phenyl-, 4-Isopropoxyphenyl-, 2,4-Dibromophenyl-, 3-Cyano-4-fluorophenyl-, 2,5-Bis-(Trifluoromethyl)-phenyl, 2-Bromo-4-fluorophenyl-, 4-Bromo-3-fluorophenyl-, 4-(Difluoromethoxy)-phenyl-, 3-(Difluoromethoxy)-phenyl-, 5-Chloro-2-fluoro-phenyl-, 3-Chloro-2-fluorophenyl-, 2-Fluoro-4-methylphenyl-, 4 Nitro-3-(trifluoromethyl)-phenyl-, 3-Fluoro-4-methylphenyl-, 4-Fluoro-2-methylphenyl-, 4-Bromo-3-(trifluoromethyl)-phenyl-, 4-Bromo-2-(trifluoromethyl)-phenyl-, 3-Bromo-5-(trifluoromethyl)-phenyl-, 2-Bromo-4-(trifluoromethyl)-phenyl-, 2-Bromo-5-(trifluoromethyl)-phenyl-, 2,4-Dichloro-5-fluorophenyl-, 4,5-Dichloro-2-fluorophenyl-, 3,4,5-Trifluorophenyl-, 4-Chloro-2-fluorophenyl-, 2-Bromo-4,6-Difluorophenyl-, 2-Ethylphenyl-, 4-Bromo-2-chlorophenyl-, 4-Bromo-2,6-dichlorophenyl-, 2-Bromo-4,6-dichloro-phenyl-, 4-Bromo-2,6-dimethylphenyl-, 3,5-Dimethylphenyl-, 4-Bromo-3-methylphenyl-, 2-Methoxy-4-nitrophenyl-, 2,2-Dimethyl-6-Chromanyl-, Ethyl-3,5-

dimethyl-1H-pyrrole-2-carboxylate-4-yl-, Imidazo[1,2-A]pyridine-3-yl-, 3-(1,3-Oxazol-5-yl)-phenyl-, Ethyl-5-[4-yl)-phenyl]-2-methyl-3-furoate, Methyl-3-(yl)-4-methoxybenzoate, 1-Pyrrolidinylphenylsulfonyl-, Methyl-5-yl-4-methyl-2-thiophene-carboxylate, Methyl-3-yl-4-(isopropylsulfonyl)-2-thiophene, 2-Pyridyl-, 3-Fluoro-4-nitrophenyl-, 7-Chlorochromone-3-yl-, 4'-Bromobiphenyl-4-yl-, 4'-Acetyl-biphenyl-4-yl-, 4'-Bromo-2'-fluoro-biphenyl-4-yl-, 2-Chloro-4-(3-propyl-Ureido)-phenyl-, 3-(-Bromoacetyl)-phenyl-, 2-Bromo-3-(trifluoromethyl)-phenyl-, 1-Methyl-5-isatiny-, 4-Isopropyl-benzoic-acid-3-yl-, 2-Chloro-3-thiophenecarboxylic-acid-5-yl-, 3-Pyridyl-, Cyclohexylmethyl-, 2-Methoxy-5-(N-phthalimidinyl)-phenyl-, 1-Benzothiophene-2-yl-, Morpholinophenylsulfonyl-, 3-(2-Methyl-4-pyrimidinyl)-phenyl-, and 2-Cyano-5-methylphenyl-,

and  $R^1$ - $R^{18b}$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $W^b$  represents a linear or branched  $C_{1-20}$ -alkyl radical, preferably an alkyl radical selected from the group consisting of methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, sec-butyl, tert-butyl and 1,1-dimethyl-propyl; a linear or branched  $C_{2-20}$ -alkenyl radical; preferably a vinyl radical;  $-CF_3$ ;  $-CHF_2$ ;  $-CH_2F$ ;  $-CCl_3$ ;  $-CHCl_2$ ;  $-CH_2Cl$ ;  $-CH_2-CF_3$ ;  $-CH_2-CH_2-Cl$ ;  $-CH_2-CH_2-CH_2-Cl$ ;  $-CH_2-S(=O)_2-CH_3$ ; a cyclopropyl radical; a cyclobutyl radical; a cyclopentyl radical; a cyclohexyl radical;  $-CH_2$ -cyclopropyl;  $-CH_2$ -cyclobutyl;  $-CH_2$ -cyclopentyl;  $-CH_2$ -cyclohexyl;  $-N(CH_3)_2$ ;  $-N(C_2H_5)_2$ ;  $-N(n-CH_2-CH_2-CH_3)_2$ ; phenyl; benzyl; naphthyl;  $-CH=CH$ -phenyl;  $-(CF_2)-(CF_2)-O$ -phenyl;  $-(CH_2)$ -naphthyl;  $-(CH_2)-(CH_2)$ -naphthyl; anthracenyl;  $-(C=O)$ -phenyl; thiophenyl; benzo[b]thiophenyl; furanyl; 2-oxo-2H-chromenyl; dibenzofuranyl; 2,3-dihydrobenzofuranyl; chromanyl; 2,3-dihydro-benzo[1,4]dioxinyl; 3,4-dihydro-2H-1,5-benzo-dioxepinyl; chromonyl; 1H-imidazolyl; pyridinyl; pyrrolidine-2,5-dionyl; pyrrolyl; 1H-pyrazolyl; 1H-pyrimidine-2,4-dionyl; quinolinyl; isoquinolinyl; 1H-Benzoimidazolyl; 1,4-dihydro-quinoxaline-2,3-dionyl; 1,2,3,4-tetrahydro-isoquinolinyl; 1,4-dihydro-benzo[b][1,4]diazepine-2,4-dionyl; 1,3-dihydro-1-oxo-2H-isoindolyl; phthalimidinyl; 2-(1,3-dioxo-1,3-dihydro-isoindol-2-

yl)-ethyl; imidazo[1,2-a]pyridine; isatinyl; thiazolyl; 1,3-thiazolyl; 1,2,4-thiadiazolyl; imidazo[2,1-b]thiazolyl; 1,3-benzothiazolyl; benzo[1,2,5]thiadiazolyl; 2-oxo-2,3-dihydro-benzothiazolyl; 2,1,3-benzothiadiazolyl; imidazo[2,1-b]thiazolyl; isoxazolyl; benzo[1,2,5]oxadiazolyl; benzo[d]isoxazolyl; benzofurazanyl; 2-oxo-2,3-dihydro-benzooxazolyl; 3,4-dihydro-2H-benzo[1,4]oxazinyl; or 2,1,3-benzoxadiazolyl;

whereby each of these afore mentioned cyclic moieties may optionally be substituted with 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of methyl; ethyl; n-propyl; iso-propyl; n-butyl; iso-butyl; sec-butyl; tert-butyl; 1,1-dimethyl-propyl; n-pentyl; vinyl; cyclopropyl; cyclobutyl; cyclopentyl; cyclohexyl; morpholino; methoxy; ethoxy; n-propoxy; iso-propoxy; n-propoxy; F; Cl; Br; I; -CN; -OH; -CF<sub>3</sub>; -CF<sub>2</sub>H; -CH<sub>2</sub>F; -CCl<sub>3</sub>; -CClH<sub>2</sub>; -CHCl<sub>2</sub>; -CH<sub>2</sub>F; -CH<sub>2</sub>Cl; -CH<sub>2</sub>Br; -(C=O)-CH<sub>2</sub>Br; -OCF<sub>3</sub>; -O-CH<sub>2</sub>-CF<sub>3</sub>; -O-CHF<sub>2</sub>; -NO<sub>2</sub>; -NH<sub>2</sub>; -N(CH<sub>3</sub>)<sub>2</sub>; -N(C<sub>2</sub>H<sub>5</sub>)<sub>2</sub>; -N(n-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>; -N(n-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>; -NH-(C=O)-CH<sub>3</sub>; -NH-phenyl; -(C=O)-CF<sub>3</sub>; -(C=O)-OH; =O (oxo); -(C=O)-H; -S(=O)<sub>2</sub>-CH<sub>3</sub>; -S(=O)<sub>2</sub>-isopropyl; -S(=O)<sub>2</sub>-phenyl; -S(=O)<sub>2</sub>-pyrrolidinyl; -S(=O)<sub>2</sub>-morpholino; -(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O-CH<sub>3</sub>; -NH-(C=O)-NH-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>3</sub>; -(C=O)-CH<sub>3</sub>; -(C=O)-O-CH<sub>3</sub>; -(C=O)-O-C<sub>2</sub>H<sub>5</sub>; -(CH<sub>2</sub>)-NH-(C=O)-phenyl; -CH<sub>2</sub>-C(H)(phenyl)(phenyl); -O-CH<sub>2</sub>-thiazolyl; 1,3-dioxo-2-azaspiro[4.4]non-2-yl; phenyl; phenoxy; isoxazolyl; 1,3-oxazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; pyridinyl; pyridinyloxy; pyrazolyl; pyrimidinyl and phthalimidinyl; and

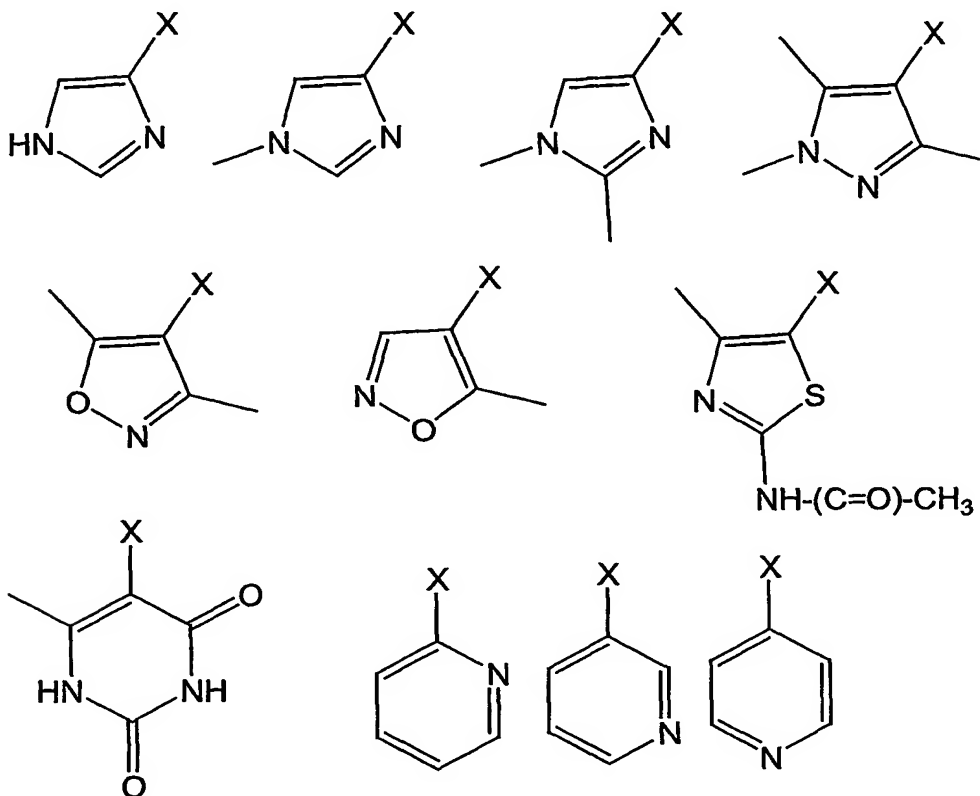
whereby each of the cyclic moieties of these afore mentioned substituents may optionally be substituted with 1, 2, 3, 4 or 5 substituents that are independently selected from the group consisting of methyl; ethyl; n-propyl; iso-propyl; F; Cl; Br; I; CN; -CH<sub>2</sub>-F; -CH<sub>2</sub>-Cl; -CH<sub>2</sub>-Br; -CF<sub>3</sub> and -S-CH<sub>3</sub>,

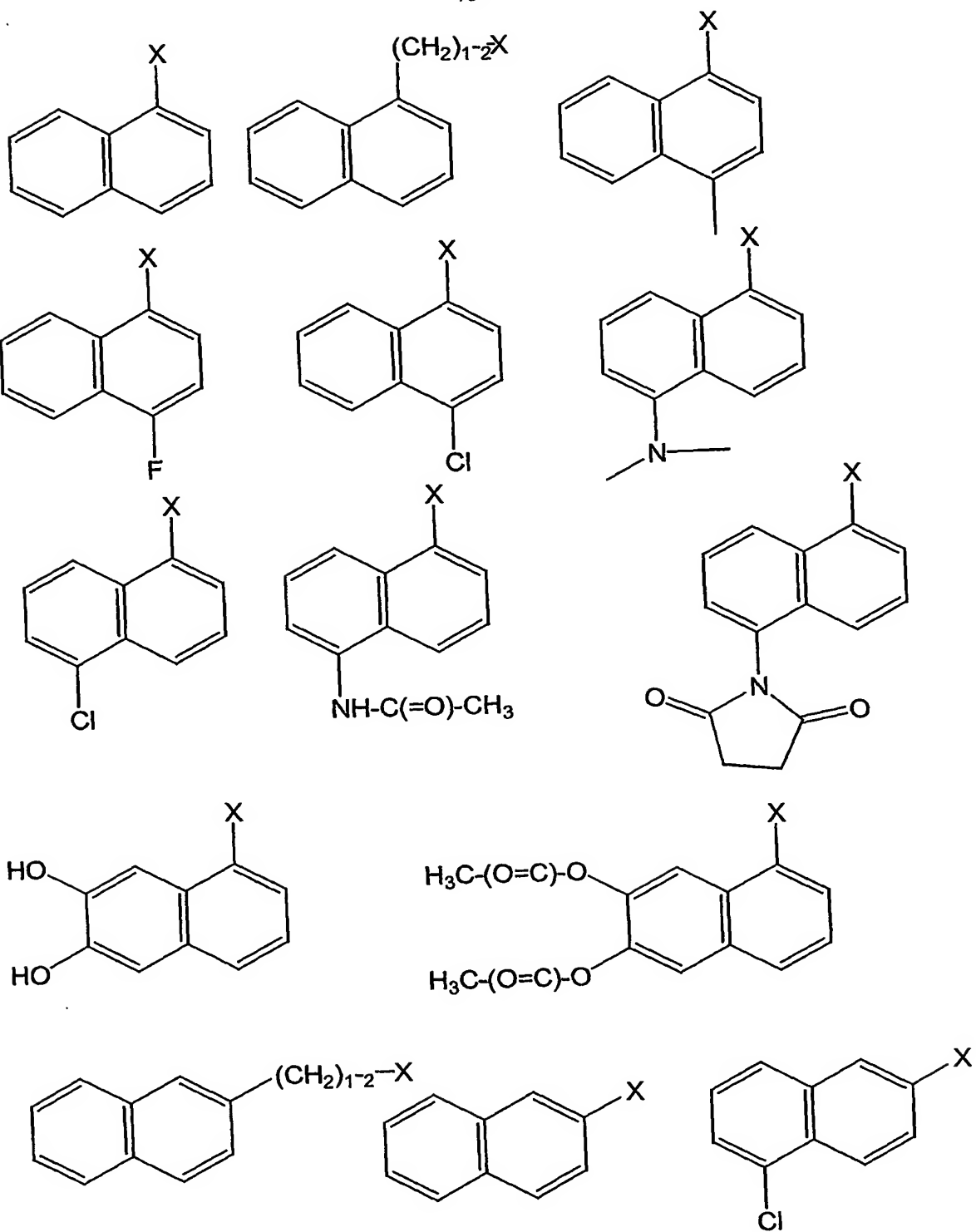
more preferably W<sup>b</sup> represents

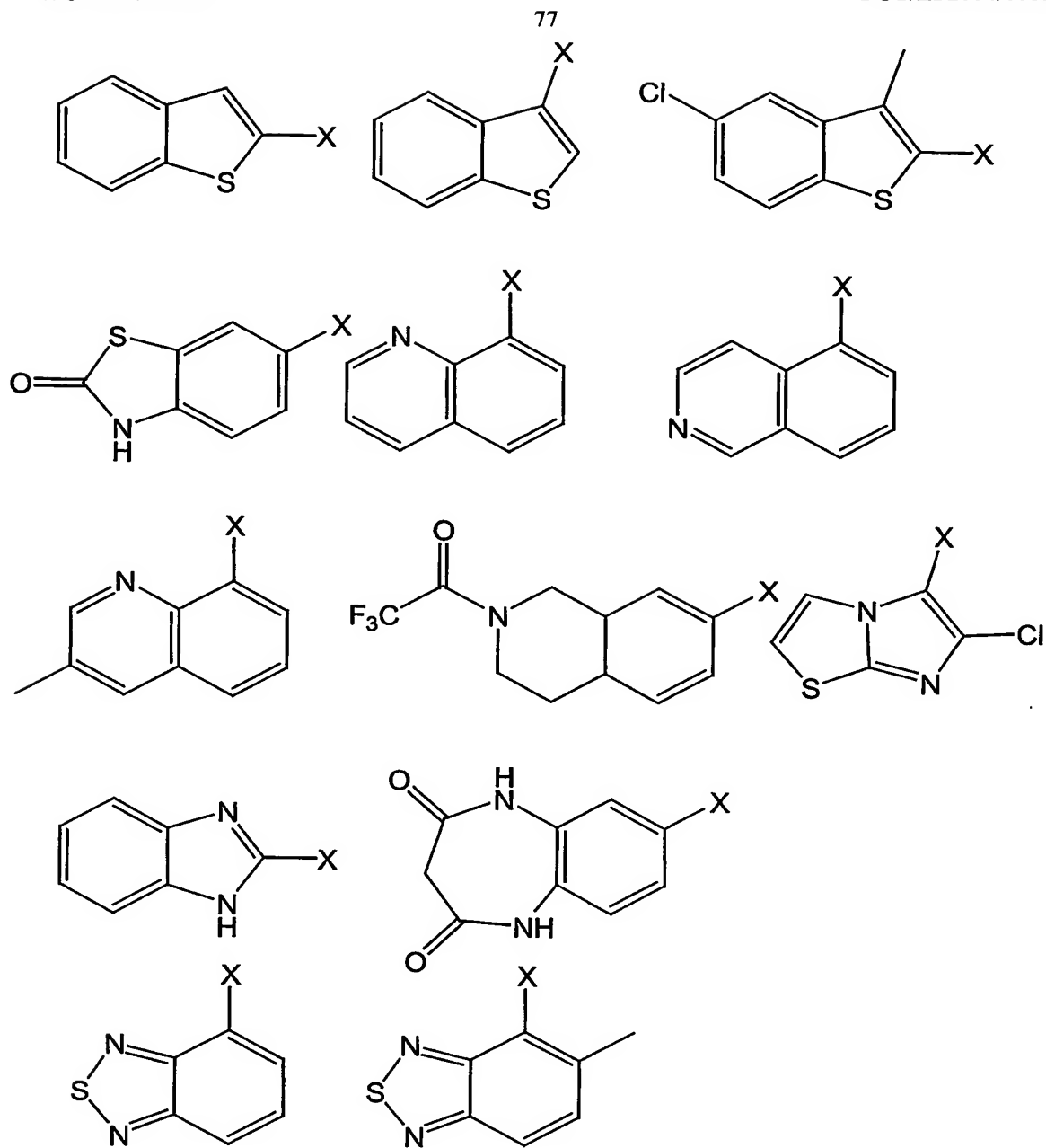
an alkyl radical selected from the group consisting of methyl; ethyl; n-propyl; iso-propyl; n-butyl; sec-butyl; iso-butyl and tert-butyl; vinyl (CH<sub>2</sub>=CH-); -N(CH<sub>3</sub>)<sub>2</sub>; 1-naphthyl; benzyl; 2-naphthyl; phenyl; 2-methyl-phenyl; 3-methyl-phenyl; 4-methyl-phenyl; 2-ethyl-phenyl; 3-ethyl-phenyl; 4-ethyl-phenyl; 2-n-propyl-phenyl; 3-n-propyl-phenyl; 4-n-propyl-phenyl; 2-isopropyl-phenyl; 3-isopropyl-phenyl; 4-isopropyl-phenyl;

2-n-butyl-phenyl; 3-n-butyl-phenyl; 4-n-butyl-phenyl; 2-iso-butyl-phenyl; 3-iso-butyl-phenyl; 4-iso-butyl-phenyl; 2-tert-butyl-phenyl; 3-tert-butyl-phenyl; 4-tert-butyl-phenyl; 1,1-dimethylpropyl-phenyl; 2-cyclopentyl-phenyl; 3-cyclopentyl-phenyl; 4-cyclopentyl-phenyl; 2-cyclohexyl-phenyl; 3-cyclohexyl-phenyl; 4-cyclohexyl-phenyl; 2-methoxy-phenyl; 3-methoxy-phenyl; 4-methoxy-phenyl; 2-ethoxy-phenyl; 3-ethoxy-phenyl; 4-ethoxy-phenyl; 2-n-propoxy-phenyl; 3-n-propoxy-phenyl; 4-n-propoxy-phenyl; 2-isopropoxy-phenyl; 3-iso-propoxy-phenyl; 4-isopropoxy-phenyl; 2-fluoro-phenyl; 3-fluoro-phenyl; 4-fluoro-phenyl; 2-chloro-phenyl; 3-chloro-phenyl; 4-chloro-phenyl; 2-bromo-phenyl; 3-bromo-phenyl; 4-bromo-phenyl; 2-trifluoromethyl-phenyl; 3-trifluoromethyl-phenyl; 4-trifluoromethyl-phenyl; 2-trifluoromethoxy-phenyl; 3-trifluoromethoxy-phenyl; 4-trifluoromethoxy-phenyl; 2-carboxy-phenyl; 3-carboxy-phenyl; 4-carboxy-phenyl; 2-acetyl-phenyl; 3-acetyl-phenyl; 4-acetyl-phenyl; 2-(C=O)-O-CH<sub>3</sub>-phenyl; 3-(C=O)-O-CH<sub>3</sub>-phenyl; 4-(C=O)-O-CH<sub>3</sub>-phenyl; 2-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O-CH<sub>3</sub>; 3-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O-CH<sub>3</sub>; 4-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O-CH<sub>3</sub>; 2-cyano-phenyl; 3-cyano-phenyl; 4-cyano-phenyl; 2-nitro-phenyl; 3-nitro-phenyl; 4-nitro-phenyl; 4-(4-bromophenoxy)-phenyl; 2-methylsulfonyl-phenyl; 3-methylsulfonyl-phenyl; 4-methylsulfonyl-phenyl; 2-phenyl-phenyl (biphenyl-2-yl); 3-phenyl-phenyl (biphenyl-3-yl); 4-phenyl-phenyl (biphenyl-4-yl); 2-phenoxy-phenyl; 3-phenoxy-phenyl; 4-phenoxy-phenyl; 2,4-dimethyl-phenyl; 3,4-dimethyl-phenyl; 2,4,6-trimethyl-phenyl; 2,3,5,6-tetramethyl-phenyl; pentamethyl-phenyl; 2,5-dimethoxy-phenyl; 3,4-dimethoxy-phenyl; 2,3-dichloro-phenyl; 2,4-dichloro-phenyl; 2,5-dichloro-phenyl; 3,4-dichloro-phenyl; 3,5-dichloro-phenyl; 2,6-dichloro-phenyl; 2,4-difluoro-phenyl; 3,4-difluoro-phenyl; 2,5-difluoro-phenyl; 2,6-difluoro-phenyl; 3-chloro-2-fluoro-phenyl; 3-chloro-4-fluoro-phenyl; 5-chloro-2-fluoro-phenyl; 2,3,4-trichloro-phenyl; 2,4,5-trichloro-phenyl; 2,4,6-trichloro-phenyl; 2,4,5-trifluoro-phenyl; 2,3,4-trifluoro-phenyl; 2-chloro-4,5-difluoro-phenyl; 2-bromo-4-fluoro-phenyl; 2-bromo-4,6-difluoro-phenyl; 4-chloro-2,5-difluoro-phenyl; 5-chloro-2,4-difluoro-phenyl; 4-bromo-2,5-difluoro-phenyl; 5-bromo-2,4-difluoro-phenyl; pentafluoro-phenyl; 2,4-dinitro-phenyl; 4-chloro-3-nitro-phenyl; 2-methyl-5-nitro-phenyl; 5-bromo-2-methoxy-phenyl; 3-chloro-2-methyl-phenyl; 4-bromo-3-methyl-phenyl; 4-chloro-2,5-dimethyl-phenyl; 4-fluoro-3-methyl-phenyl; 5-fluoro-2-methyl-phenyl; 2-nitro-4-trifluoromethyl-phenyl; 2-methoxy-4-methyl-phenyl; 3,5-dichloro-2-hydroxy-phenyl; 3,5-dichloro-4-hydroxy-phenyl; 5-chloro-2,4-difluoro-phenyl; 3-chloro-4-(NH)-(C=O)-CH<sub>3</sub>-phenyl; 2-chloro-6-methyl-phenyl; 2-chloro-5-trifluoromethyl-phenyl; 2-chloro-5-trifluoromethoxy-phenyl; 4-bromo-2-

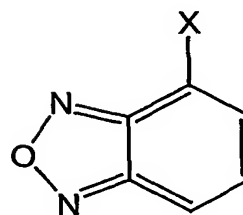
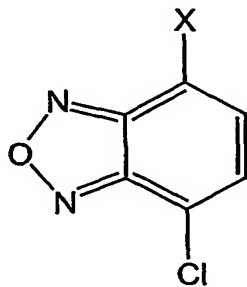
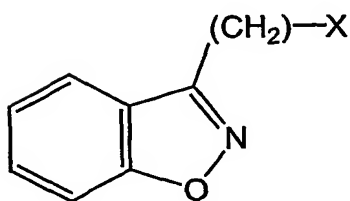
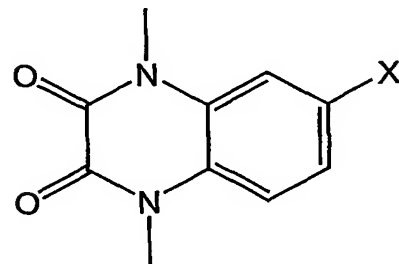
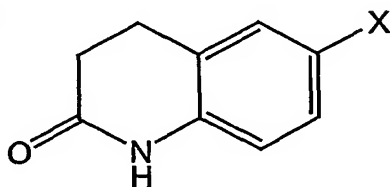
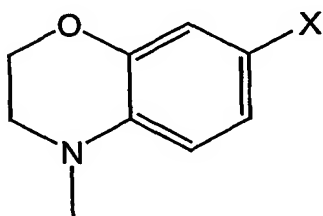
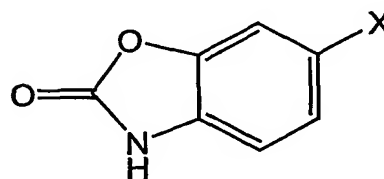
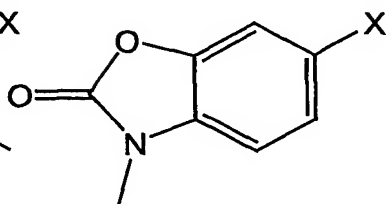
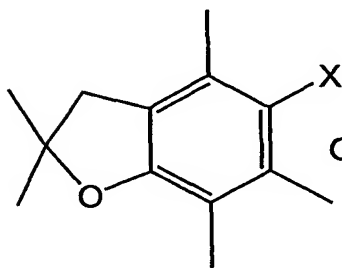
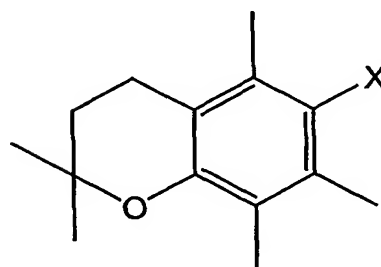
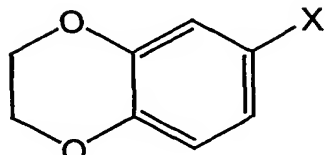
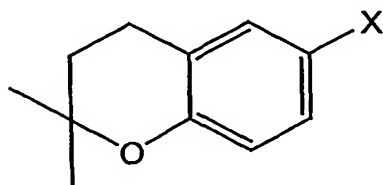
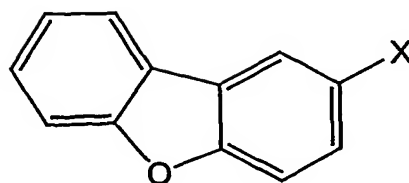
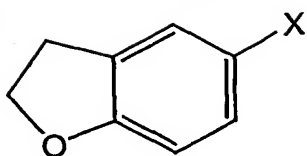
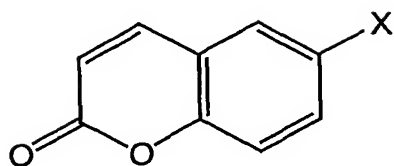
trifluoromethoxy-phenyl; 4-bromo-2-trifluoromethyl-phenyl; 4-bromo-3-trifluoromethyl-phenyl; 3-carboxy-4-fluoro-phenyl; 3-carboxy-4-chloro-6-fluoro-phenyl; 4-methoxy-2,3,6-trimethyl-phenyl-; or one of the following groups:

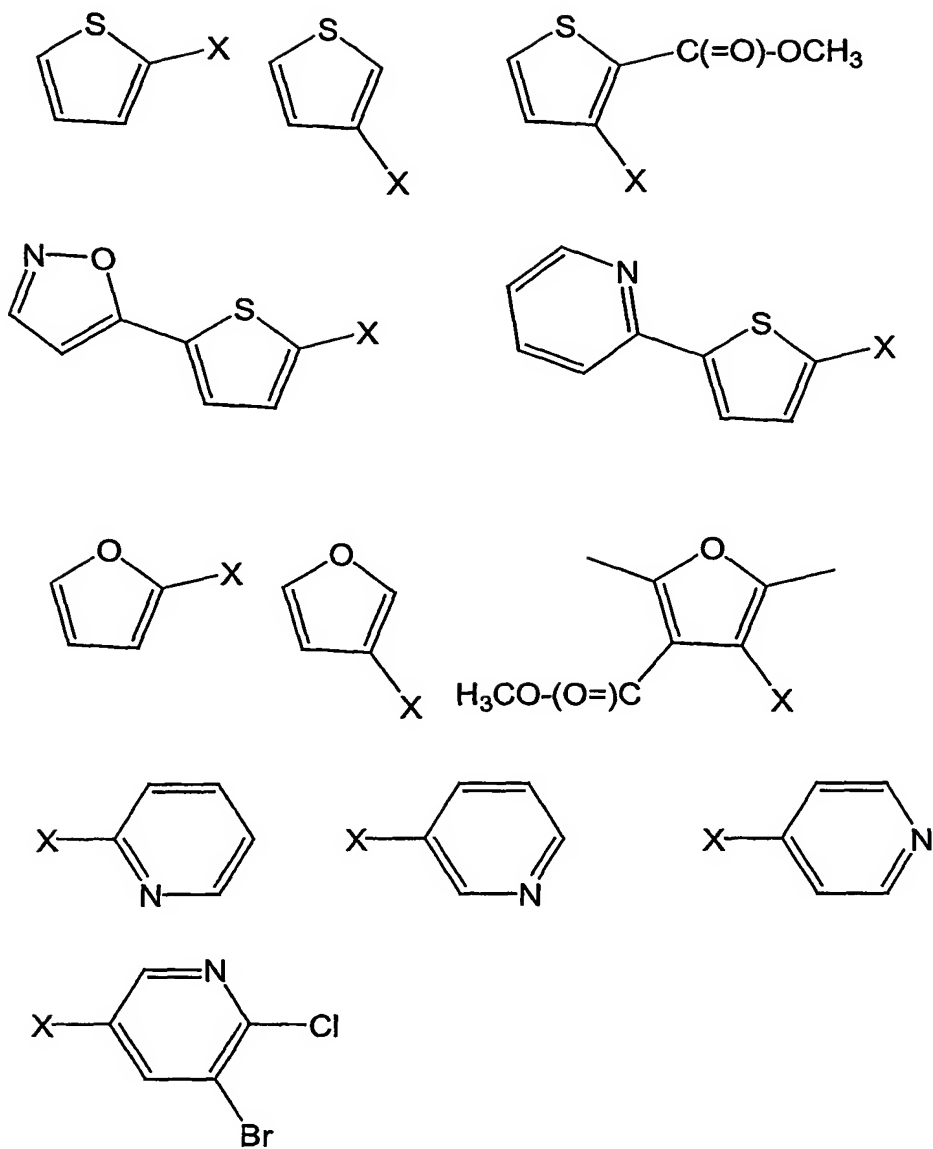






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whereby in each case  $X$  denotes the position by which the respective substituent  $W^b$  is bonded to the  $-SO_2$  group of formula (Ib),

and  $R^1-R^{18b}$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, the use of compounds of general formula (Ib) is preferred, wherein  $R^{10}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical,

more preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl,

and  $R^{1b}$ - $R^{9b}$ ,  $R^{11b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Moreover, the use of compounds of general formula (Ib) is preferred, wherein  $R^{11b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a C<sub>1-4</sub>-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub> or phenyl,

and R<sup>1b</sup>-R<sup>10b</sup>, R<sup>12b</sup>-R<sup>18b</sup> and W<sup>b</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preference is also given to the use of compounds of general formula (Ib), wherein R<sup>12b</sup> represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a C<sub>1-4</sub>-alkyl radical, cyclohexyl or a phenyl radical,

more preferably H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub> or phenyl,

and R<sup>1b</sup>-R<sup>11b</sup>, R<sup>13b</sup>-R<sup>18b</sup> and W<sup>b</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $R^{13b}$  and  $R^{14b}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably are each independently selected from the group consisting of H, a  $C_{1-4}$ -alkyl radical, cyclohexyl and a phenyl radical,

more preferably are each independently selected from the group consisting of H,  $CH_3$ ,  $C_2H_5$  and phenyl,

and  $R^{1b}$ - $R^{12b}$ ,  $R^{15b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, the use of compounds of general formula (Ib) is preferred, wherein  $R^{13b}$  and  $R^{14b}$  together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

preferably form an unsubstituted piperidin or morpholine group,

and  $R^{1b}$ - $R^{12b}$ ,  $R^{15b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or

diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ib), wherein  $R^{15b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical,

more preferably represents H,  $CH_3$ ,  $C_2H_5$  or phenyl,

and  $R^{1b}$ - $R^{14b}$ ,  $R^{16b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $R^{16b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$  aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted  $C_{1-3}$  alkyl radical,

more preferably a methyl radical,

and  $R^{1b}$ - $R^{15b}$ ,  $R^{17b}$ ,  $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or

diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ib), wherein  $R^{17b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$  aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted  $C_{1-3}$  alkyl radical,

more preferably a methyl radical,

and  $R^{1b}$ - $R^{16b}$ ,  $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ib) given above, wherein  $R^{18b}$  represents a phenyl radical, which is optionally at least mono-substituted by a  $C_{1-6}$  aliphatic radical, more preferably a phenyl radical, which is optionally at least mono-substituted by a methyl group, and  $R^{1b}$ - $R^{17b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

More preferred are compounds of general formula (Ib) given above, wherein

$R^{1b}$ ,  $R^{2b}$ ,  $R^{3b}$ ,  $R^{4b}$  are each independently selected from the group consisting of a hydrogen atom; a fluorine atom; a chlorine atom; a bromine atom; a methyl group and a methoxy group;

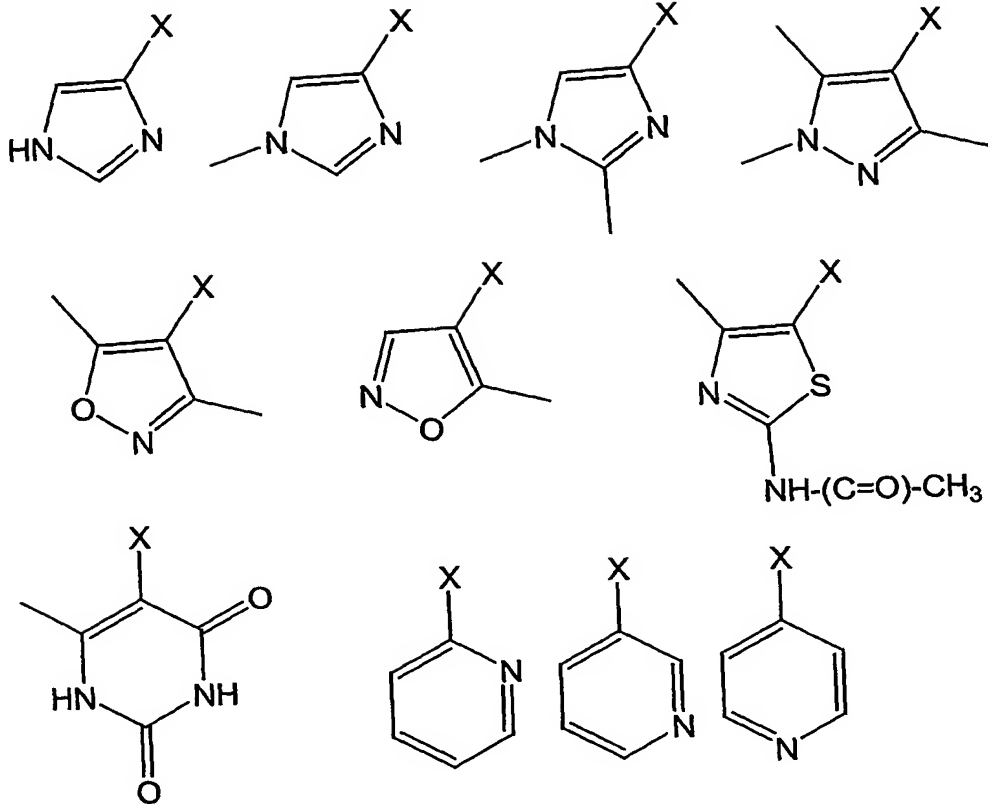
$R^{5b}$  represents a hydrogen atom;

$R^{6b}$ ,  $R^{7b}$ ,  $R^{8b}$ ,  $R^{9b}$  each represent a hydrogen atom;

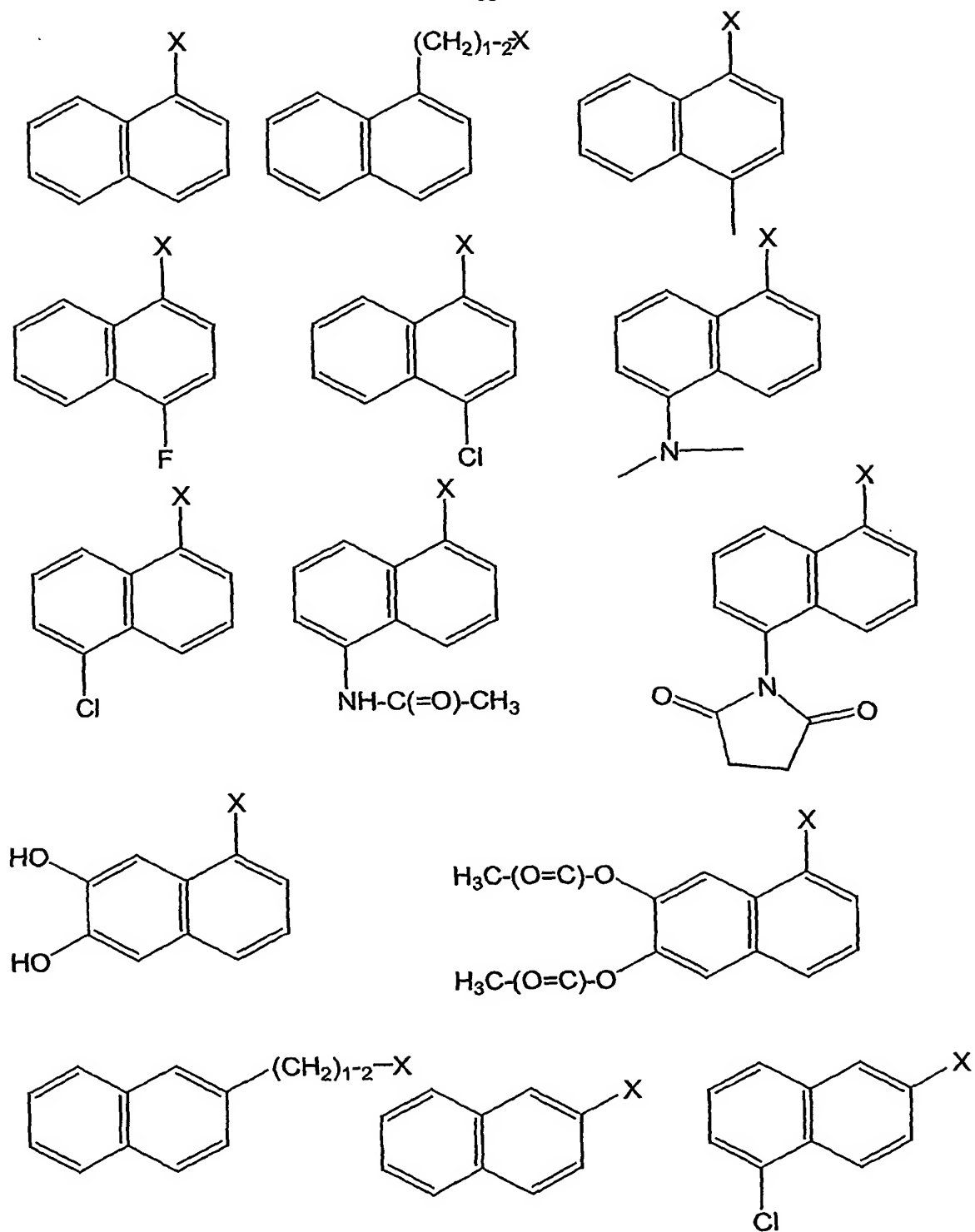
$W^b$  represents

an alkyl radical selected from the group consisting of methyl; ethyl; n-propyl; isopropyl; n-butyl; sec.butyl; iso-butyl and tert-butyl; vinyl ( $CH_2=CH-$ );  $-N(CH_3)_2$ ; 1-naphthyl; benzyl; 2-naphtyl; phenyl; 2-methyl-phenyl; 3-methyl-phenyl; 4-methyl-phenyl; 2-ethyl-phenyl; 3-ethyl-phenyl; 4-ethyl-phenyl; 2-n-propyl-phenyl; 3-n-propyl-phenyl; 4-n-propyl-phenyl; 2-isopropyl-phenyl; 3-isopropyl-phenyl; 4-isopropyl-phenyl; 2-n-butyl-phenyl; 3-n-butyl-phenyl; 4-n-butyl-phenyl; 2-iso-butyl-phenyl; 3-iso-butyl-phenyl; 4-iso-butyl-phenyl; 2-tert-butyl-phenyl; 3-tert-butyl-phenyl; 4-tert-butyl-phenyl; 1,1-dimethylpropyl-phenyl; 2-cyclopentyl-phenyl; 3-cyclopentyl-phenyl; 4-cyclopentyl-phenyl; 2-cyclohexyl-phenyl; 3-cyclohexyl-phenyl; 4-cyclohexyl-phenyl; 2-methoxy-phenyl; 3-methoxy-phenyl; 4-methoxy-phenyl; 2-ethoxy-phenyl; 3-ethoxy-phenyl; 4-ethoxy-phenyl; 2-n-propoxy-phenyl; 3-n-propoxy-phenyl; 4-n-propoxy-phenyl; 2-isopropoxy-phenyl; 3-iso-propoxy-phenyl; 4-isopropoxy-phenyl; 2-fluoro-phenyl; 3-fluoro-phenyl; 4-fluoro-phenyl; 2-chloro-phenyl; 3-chloro-phenyl; 4-chloro-phenyl; 2-bromo-phenyl; 3-bromo-phenyl; 4-bromo-phenyl; 2-trifluoromethyl-phenyl; 3-trifluoromethyl-phenyl; 4-trifluoromethyl-phenyl; 2-trifluoromethoxy-phenyl; 3-trifluoromethoxy-phenyl; 4-trifluoromethoxy-phenyl; 2-carboxy-phenyl; 3-carboxy-phenyl; 4-carboxy-phenyl; 2-acetyl-phenyl; 3-acetyl-phenyl; 4-acetyl-phenyl; 2-( $C=O$ )-O- $CH_3$ -phenyl; 3-( $C=O$ )-O- $CH_3$ -phenyl; 4-( $C=O$ )-O- $CH_3$ -phenyl; 2-( $CH_2$ )-(CH<sub>2</sub>)-(C=O)-O- $CH_3$ ; 3-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O- $CH_3$ ; 4-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O- $CH_3$ ; 2-cyano-phenyl; 3-cyano-phenyl; 4-cyano-phenyl; 2-nitro-phenyl; 3-nitro-phenyl; 4-nitro-phenyl; 4-(4-bromophenoxy)-phenyl; 2-methylsulfonyl-phenyl; 3-methylsulfonyl-phenyl; 4-methylsulfonyl-phenyl; 2-phenyl-phenyl (biphenyl-2-yl); 3-phenyl-phenyl (biphenyl-3-yl); 4-phenyl-phenyl

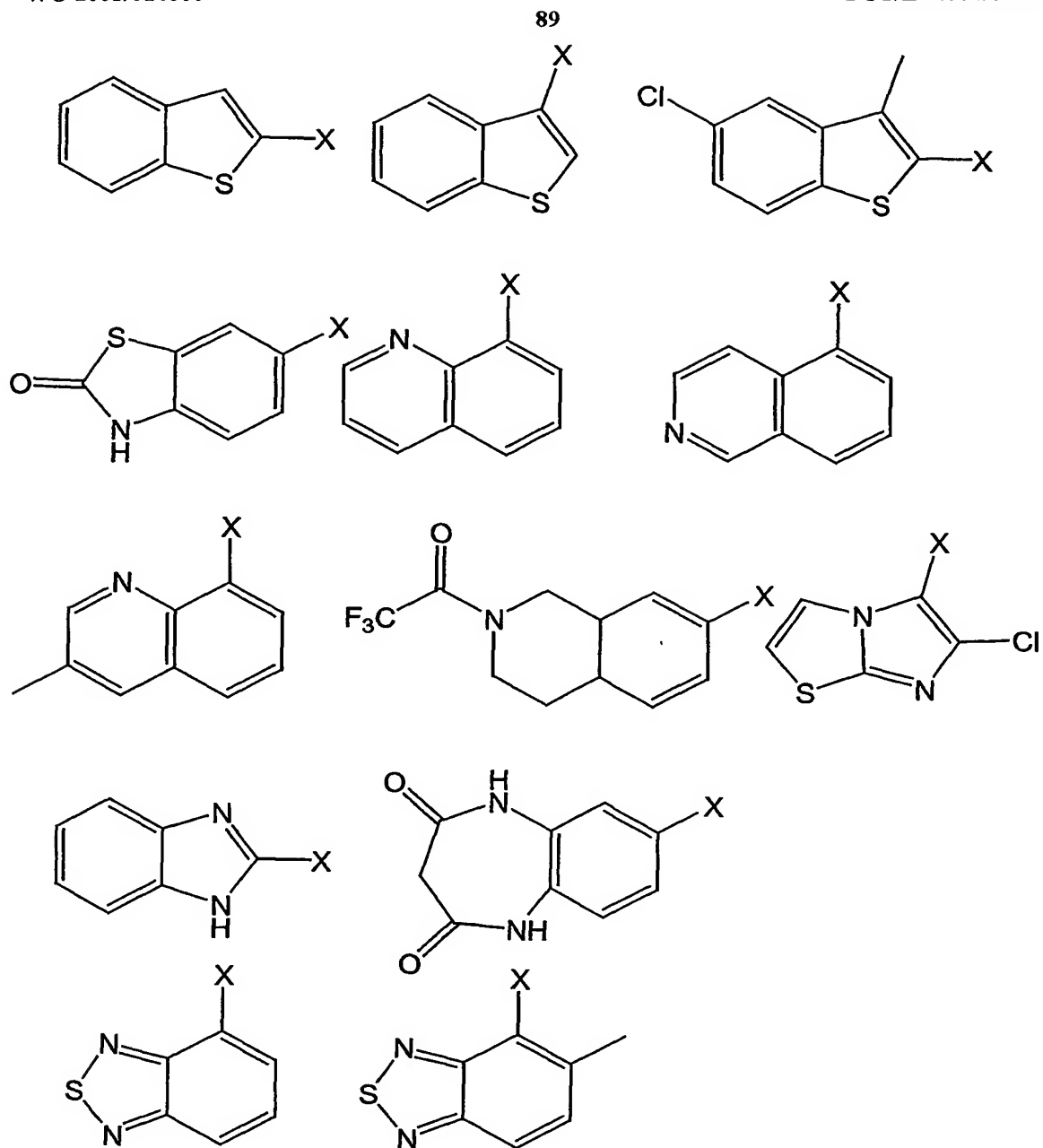
(biphenyl-4-yl); 2-phenoxy-phenyl; 3-phenoxy-phenyl; 4-phenoxy-phenyl; 2,4-dimethyl-phenyl; 3,4-dimethyl-phenyl; 2,4,6-trimethyl-phenyl; 2,3,5,6-tetramethyl-phenyl; pentamethyl-phenyl; 2,5-dimethoxy-phenyl; 3,4-dimethoxy-phenyl; 2,3-dichloro-phenyl; 2,4-dichloro-phenyl; 2,5-dichloro-phenyl; 3,4-dichloro-phenyl; 3,5-dichloro-phenyl; 2,6-dichloro-phenyl; 2,4-difluoro-phenyl; 3,4-difluoro-phenyl; 2,5-difluoro-phenyl; 2,6-difluoro-phenyl; 3-chloro-2-fluoro-phenyl; 3-chloro-4-fluoro-phenyl; 5-chloro-2-fluoro-phenyl; 2,3,4-trichloro-phenyl; 2,4,5-trichloro-phenyl; 2,4,6-trichloro-phenyl; 2,4,5-trifluoro-phenyl; 2,3,4-trifluoro-phenyl; 2-chloro-4,5-difluoro-phenyl; 2-bromo-4-fluoro-phenyl; 2-bromo-4,6-difluoro-phenyl; 4-chloro-2,5-difluoro-phenyl; 5-chloro-2,4-difluoro-phenyl; 4-bromo-2,5-difluoro-phenyl; 5-bromo-2,4-difluoro-phenyl; pentafluoro-phenyl; 2,4-dinitro-phenyl; 4-chloro-3-nitro-phenyl; 2-methyl-5-nitro-phenyl; 5-bromo-2-methoxy-phenyl; 3-chloro-2-methyl-phenyl; 4-bromo-3-methyl-phenyl; 4-chloro-2,5-dimethyl-phenyl; 4-fluoro-3-methyl-phenyl; 5-fluoro-2-methyl-phenyl; 2-nitro-4-trifluoromethyl-phenyl; 2-methoxy-4-methyl-phenyl; 3,5-dichloro-2-hydroxy-phenyl; 3,5-dichloro-4-hydroxy-phenyl; 5-chloro-2,4-difluoro-phenyl; 3-chloro-4-(NH)-(C=O)-CH<sub>3</sub>-phenyl; 2-chloro-6-methyl-phenyl; 2-chloro-5-trifluoromethyl-phenyl; 2-chloro-5-trifluoromethoxy-phenyl; 4-bromo-2-trifluoromethoxy-phenyl; 4-bromo-2-trifluoromethyl-phenyl; 4-bromo-3-trifluoromethyl-phenyl; 3-carboxy-4-fluoro-phenyl; 3-carboxy-4-chloro-6-fluoro-phenyl; 4-methoxy-2,3,6-trimethyl-phenyl; or one of the following groups:



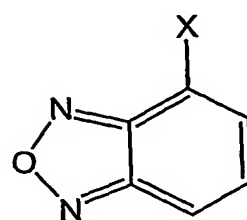
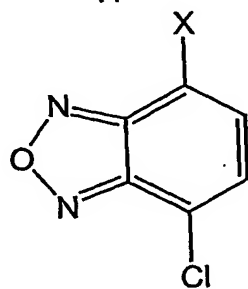
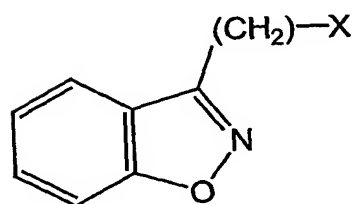
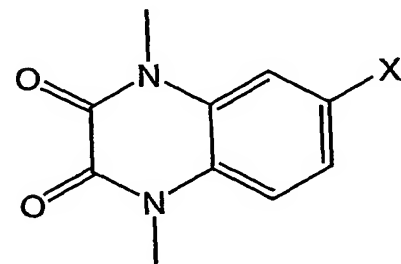
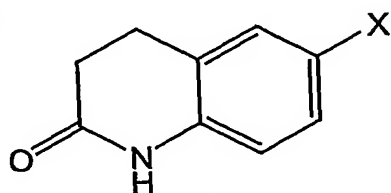
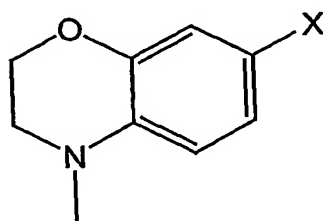
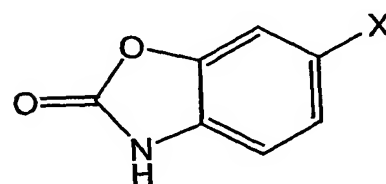
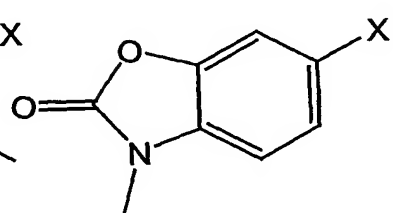
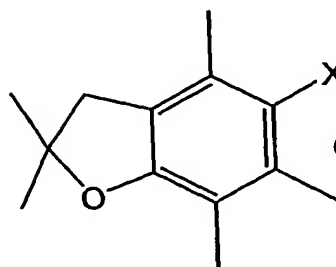
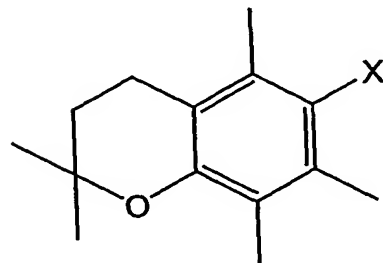
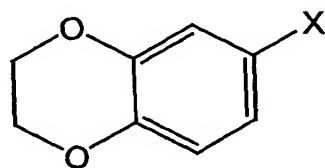
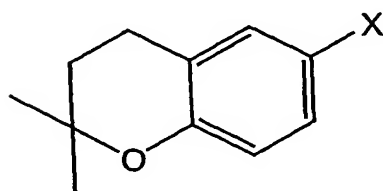
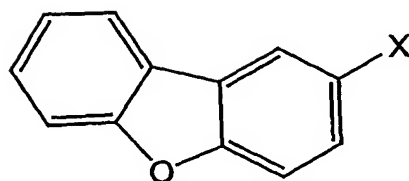
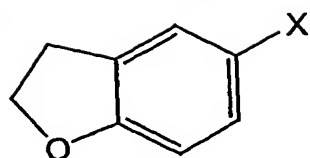
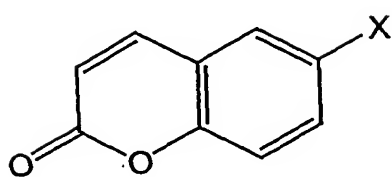
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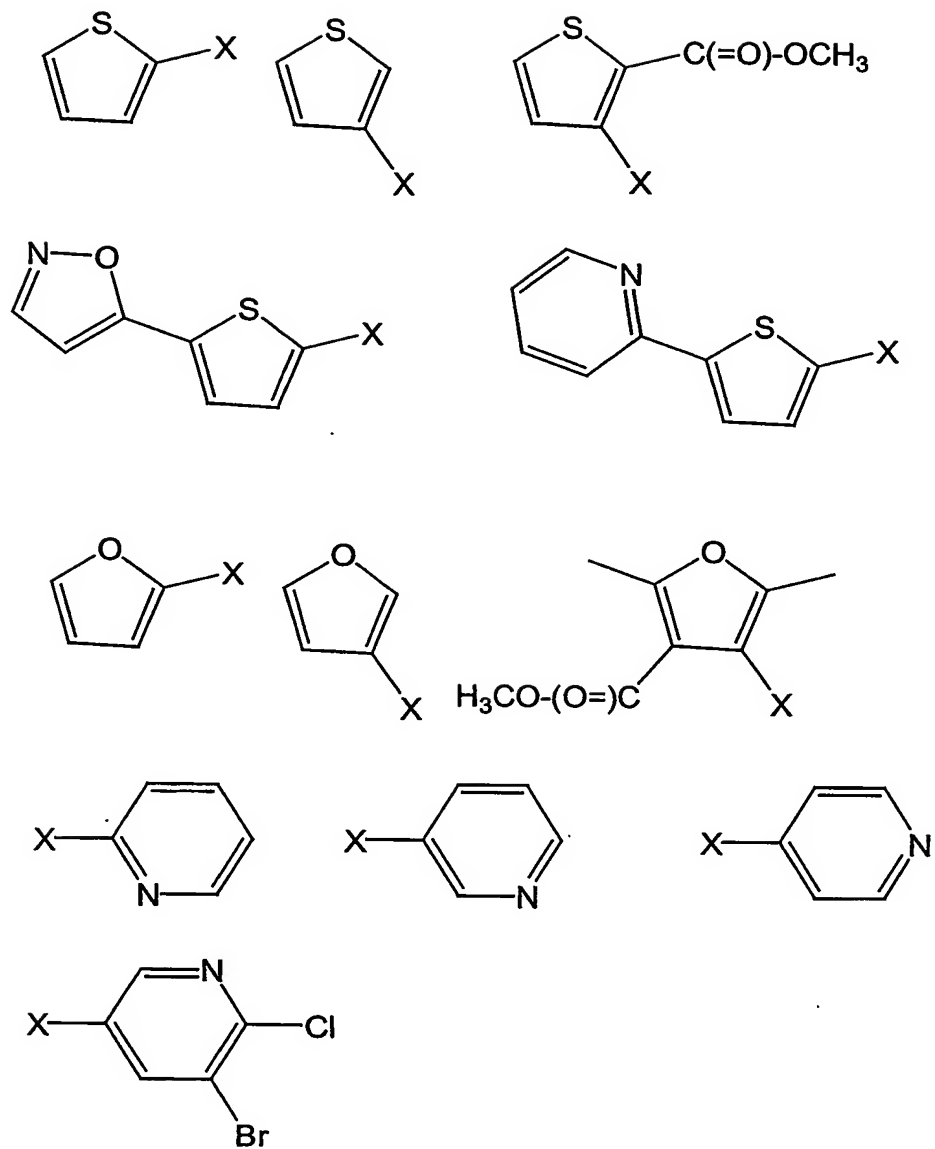


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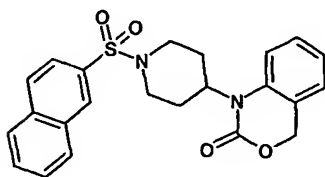




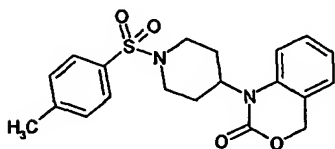
whereby in each case X denotes the position by which the respective substituent  $\text{W}^b$  is bonded to the  $\text{-SO}_2$  group of formula (Ib).

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively.

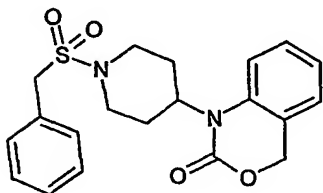
Particularly preferred is the use of one or more benzoxazinone-derived sulfonamide compounds of general formula (Ib) selected from the group consisting of:



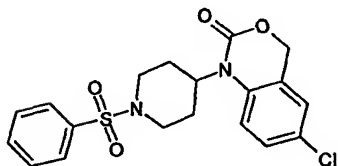
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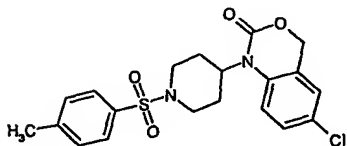
1-[1-(Toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



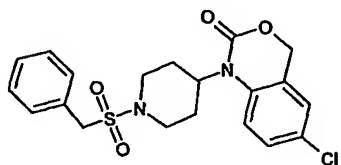
1-(1-Phenylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



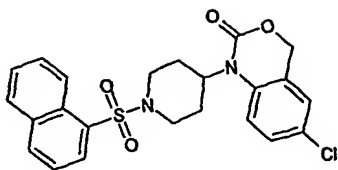
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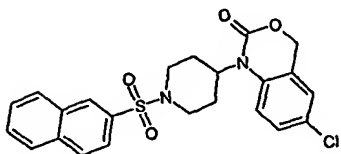
6-Chloro-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



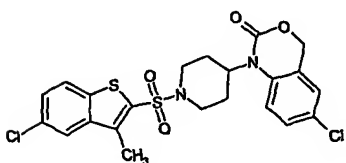
6-Chloro-1-(1-phenylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



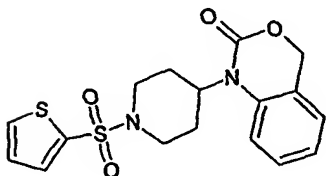
6-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



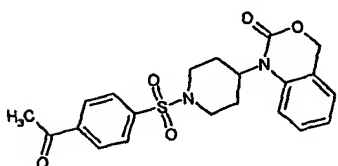
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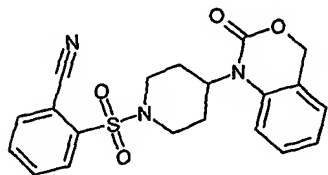
6-Chloro-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



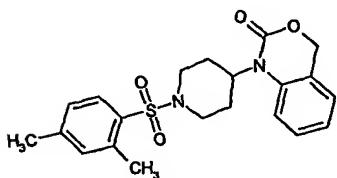
6-Chloro-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



1-[1-(4-Acetylbenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one

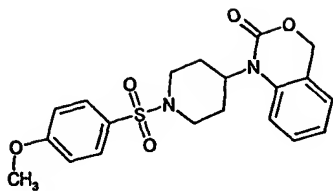


2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]benzonitrile

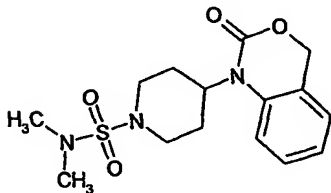


1-[1-(2,4-Dimethylbenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one

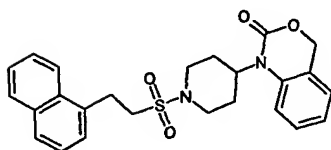
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piperidin-4-yl]-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



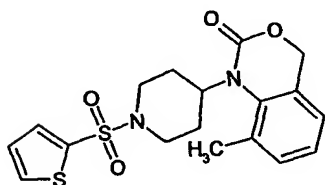
4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-  
piperidine-1-sulfonic acid  
dimethylamide



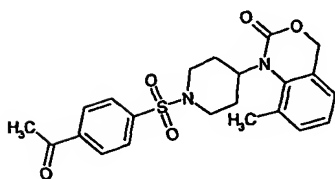
1-[1-(2-Naphthalen-1-yl-  
ethanesulfonyl)-piperidin-4-yl]-1,4-  
dihydro-benzo[d][1,3]oxazin-2-one



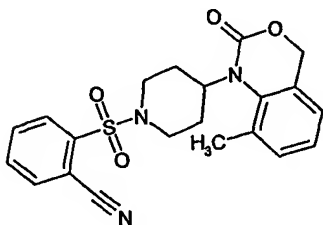
8-Methyl-1-[1-(thiophene-2-sulfonyl)-  
piperidin-4-yl]-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



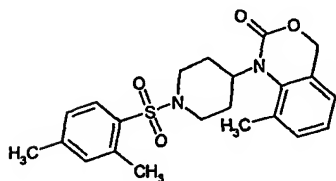
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piperidin-4-yl]-8-methyl-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



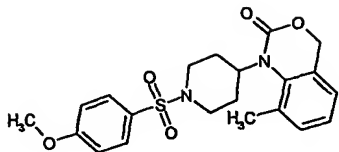
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benzo[d][1,3]oxazin-1-yl)-piperidine-1-  
sulfonyl]-benzonitrile



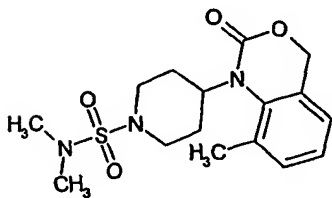
1-[1-(2,4-Dimethyl-benzenesulfonyl)-  
piperidin-4-yl]-8-methyl-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



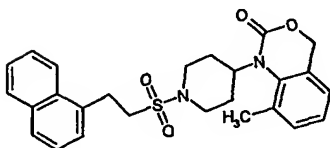
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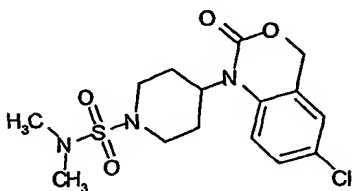
4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide



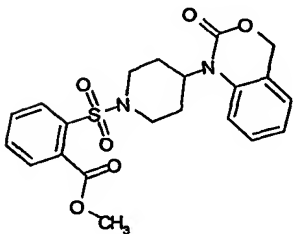
8-Methyl-1-[1-(2-naphthalen-1-ylethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



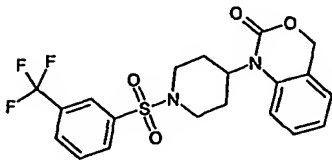
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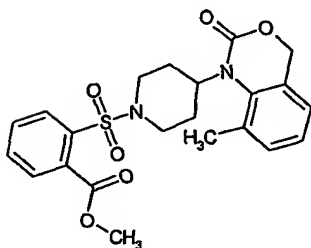
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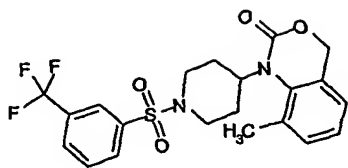


1-[1-(3-Trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

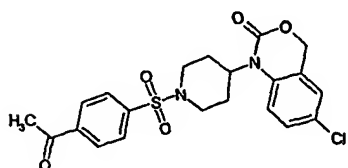


2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester

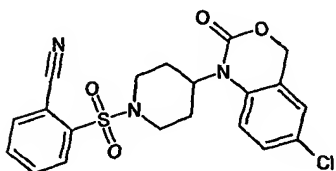




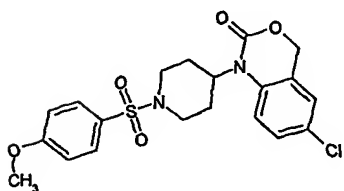
8-Methyl-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



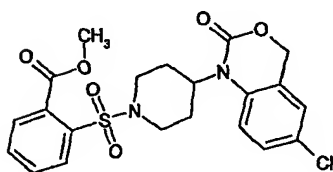
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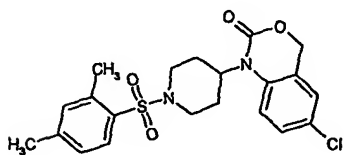
2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile



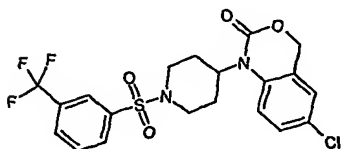
6-Chloro-1-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



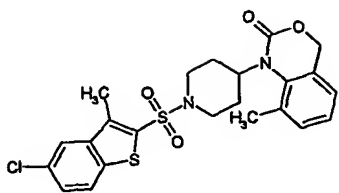
2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester



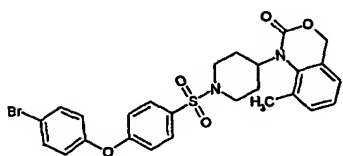
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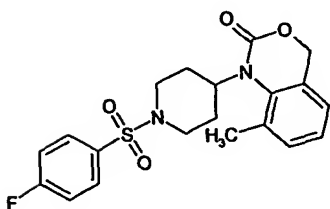
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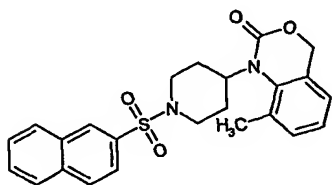
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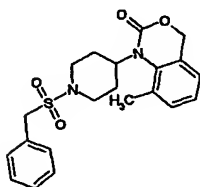
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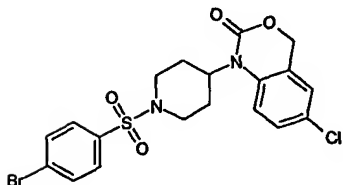
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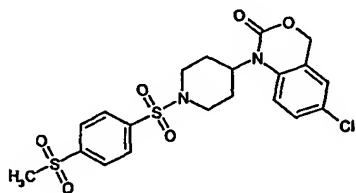
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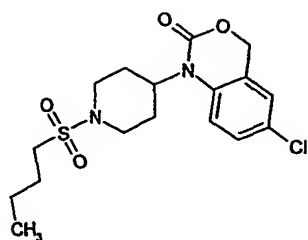
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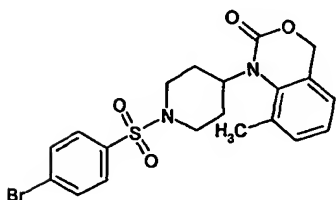
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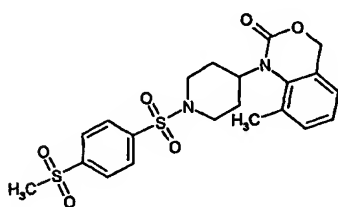
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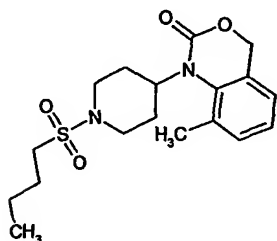
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benzo[d][1,3]oxazin-2-one



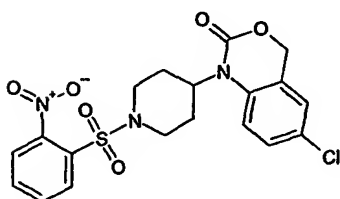
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piperidin-4-yl]-8-methyl-1,4-dihydro-  
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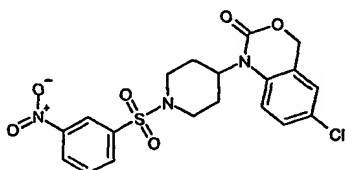
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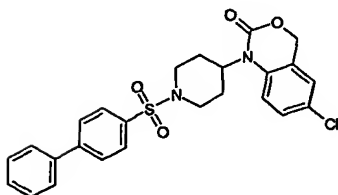
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benzo[d][1,3]oxazin-2-one



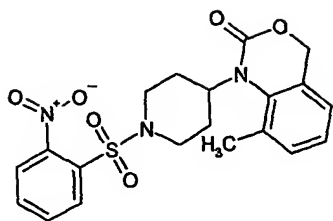
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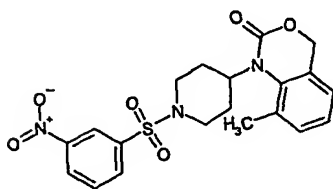
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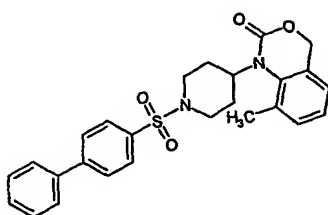
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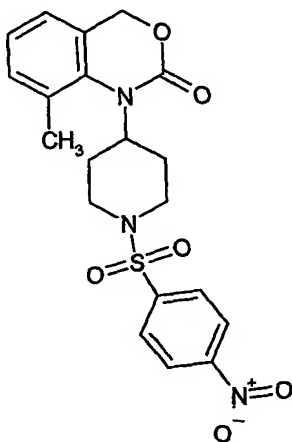
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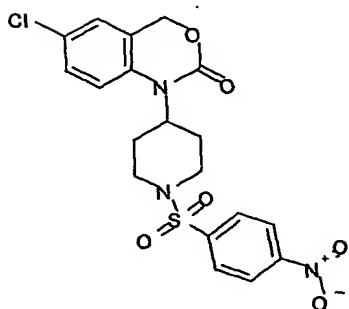
8-Methyl-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

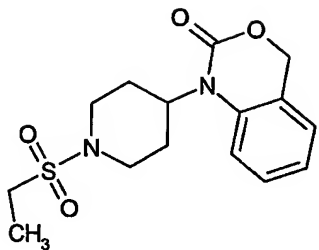


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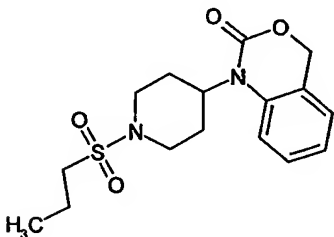


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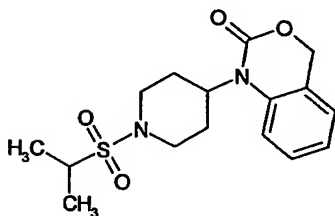
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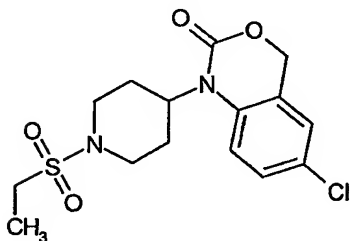
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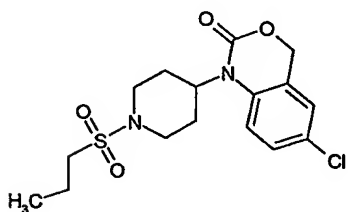
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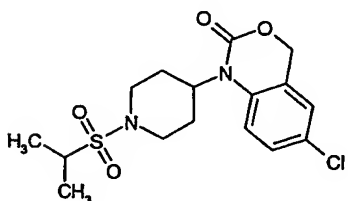
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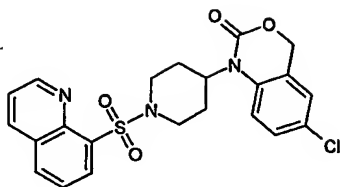


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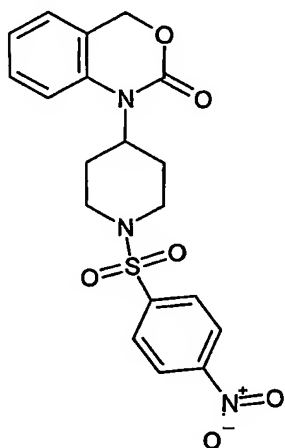


6-Chloro-1-[1-(propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

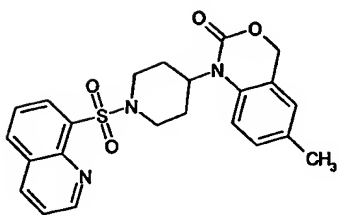




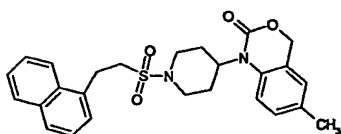
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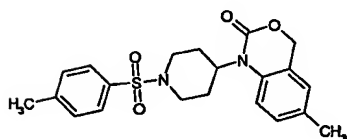
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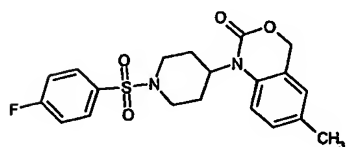
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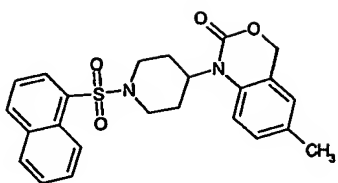
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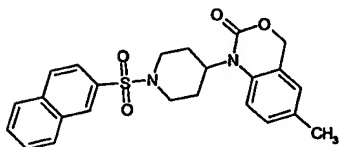
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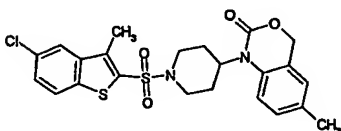
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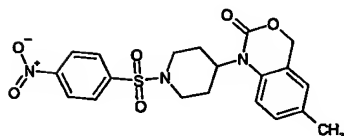
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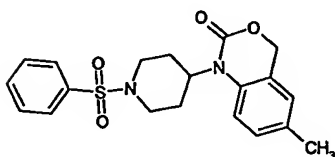
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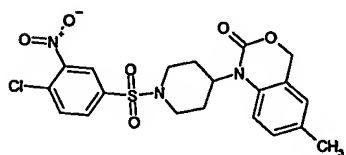
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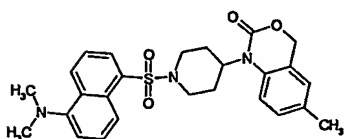
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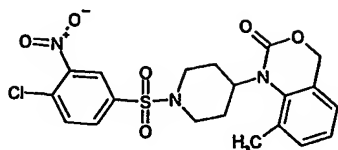
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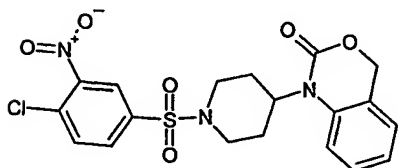
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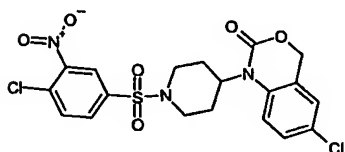
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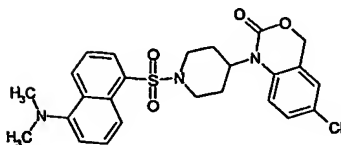
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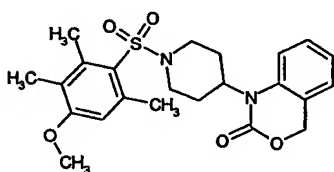
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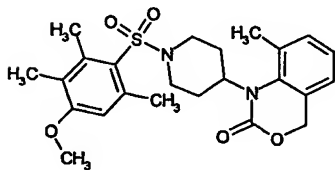
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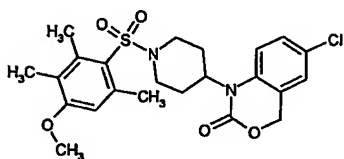
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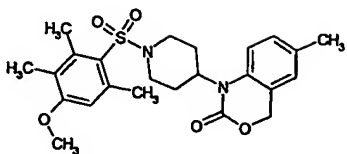
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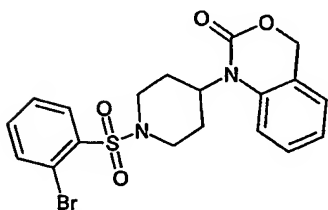
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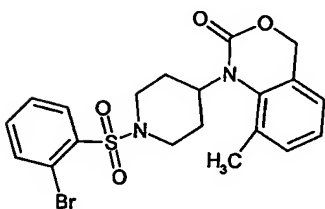
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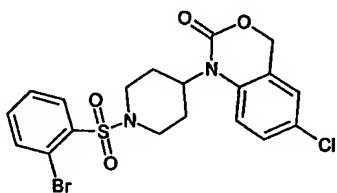
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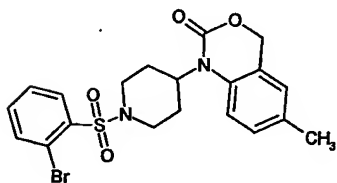
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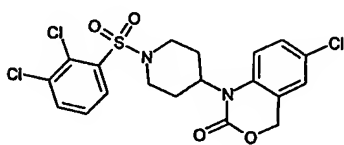
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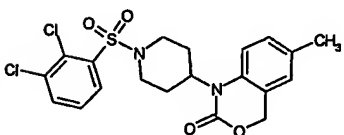
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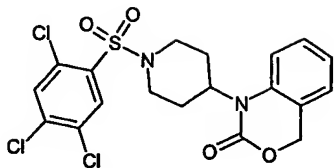


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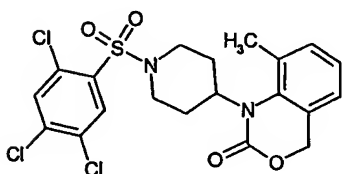


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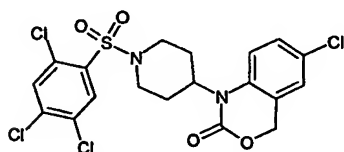
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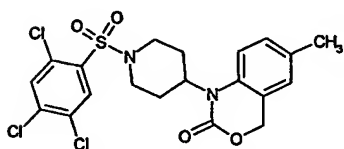
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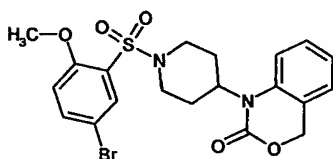
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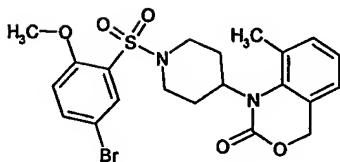
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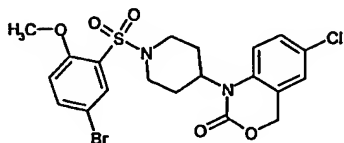
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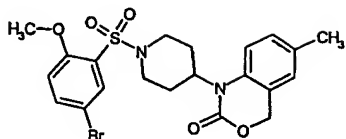


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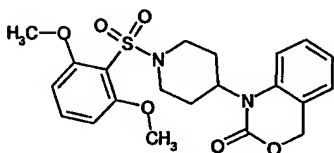


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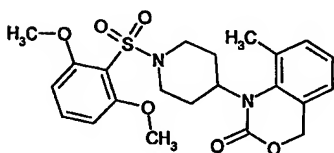




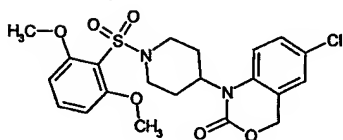
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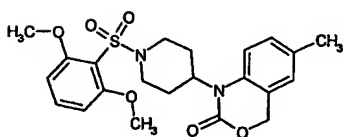
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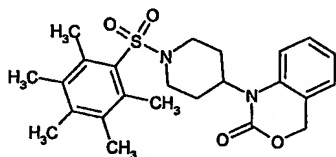
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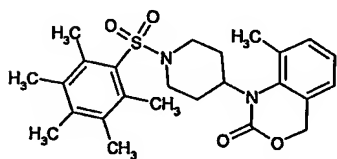
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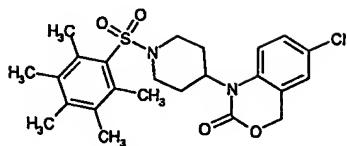
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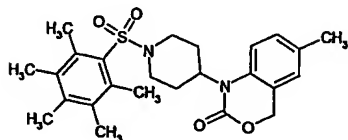
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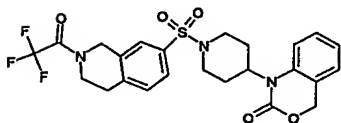
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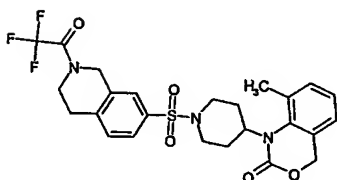
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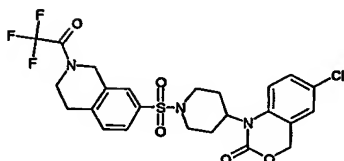
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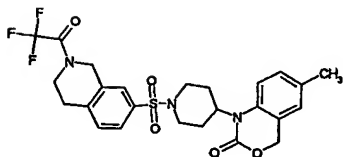
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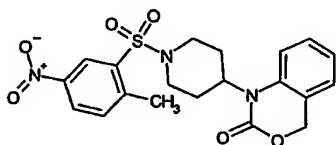
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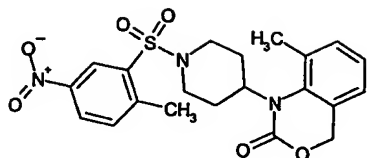
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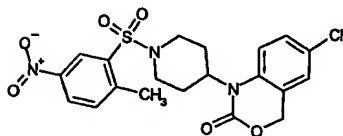
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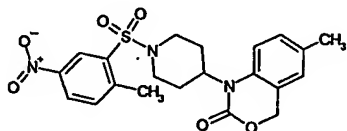
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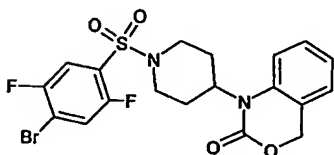
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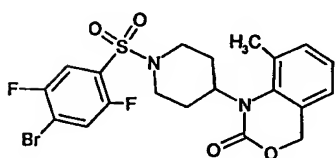
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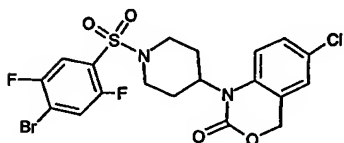
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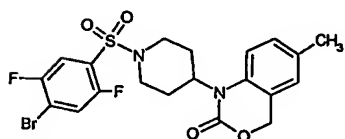
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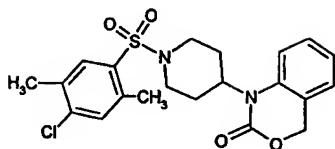
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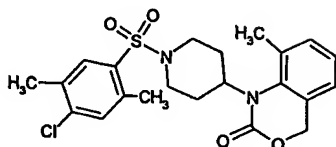
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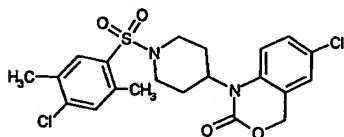
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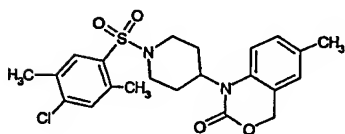
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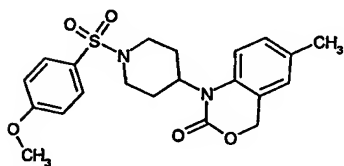
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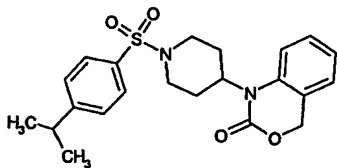
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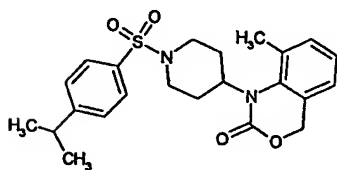
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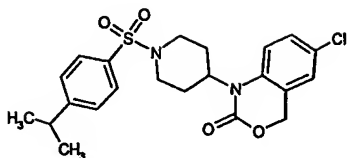
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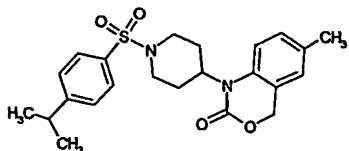
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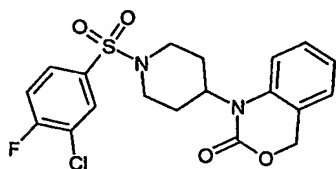
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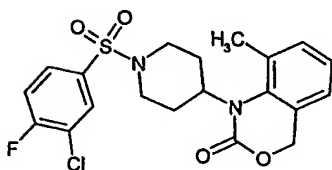
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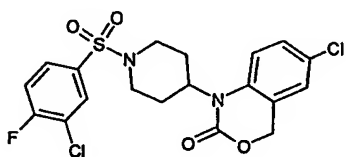
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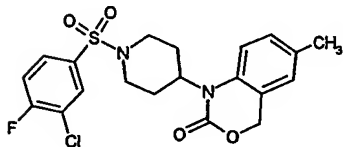
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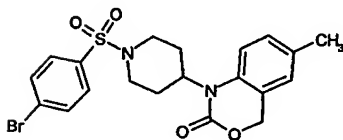
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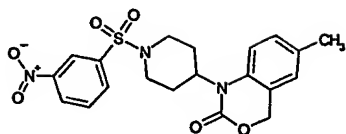
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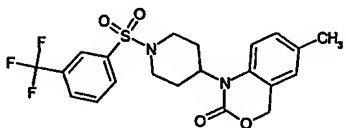
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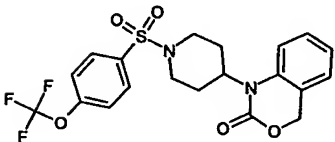
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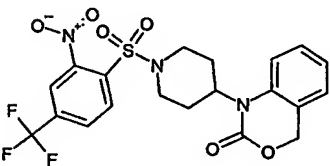
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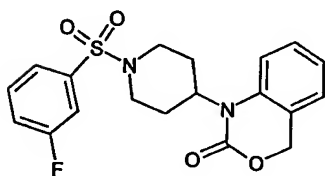
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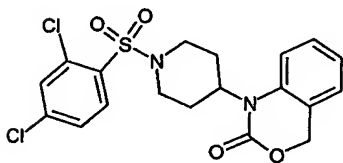
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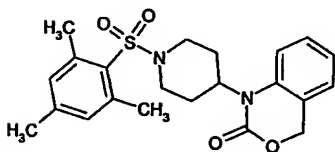
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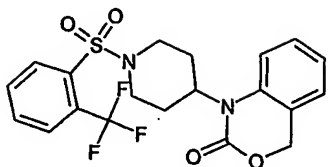
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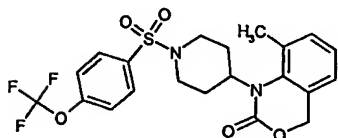
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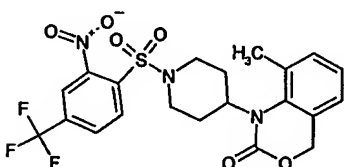
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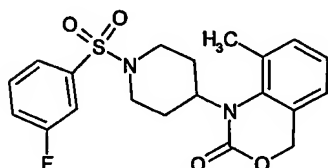
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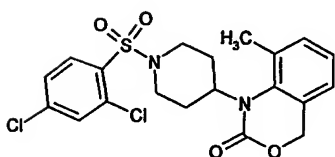
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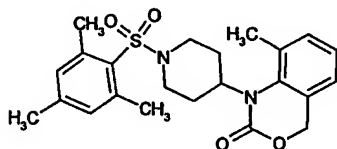
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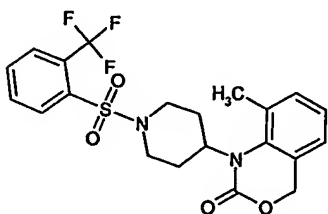
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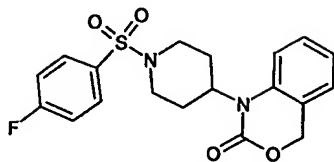
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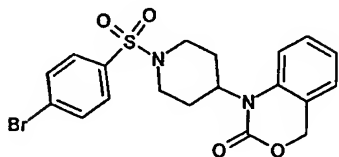
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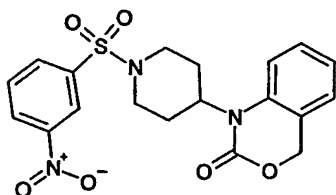
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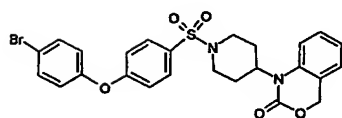
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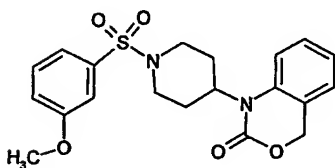
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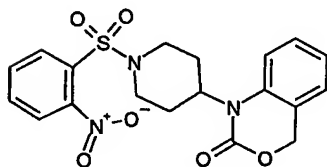
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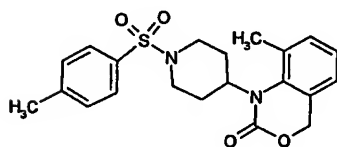
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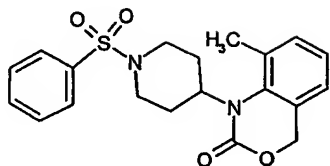
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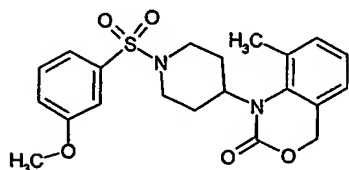
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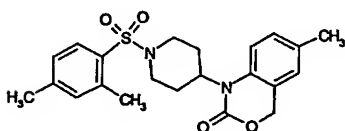
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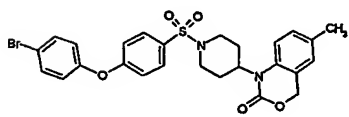
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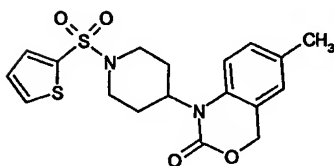
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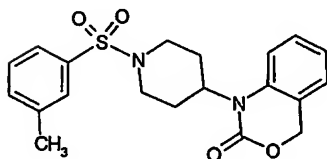
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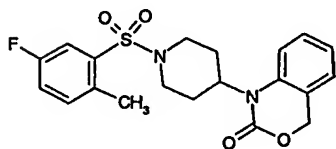
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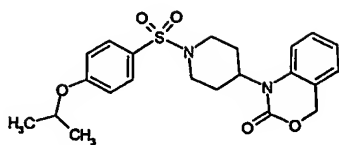


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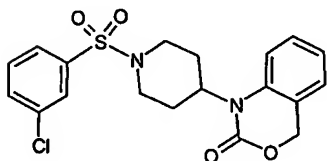


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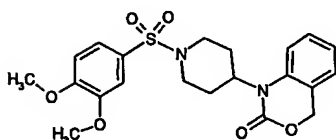
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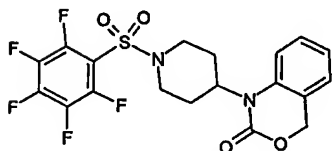
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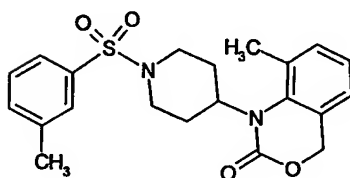
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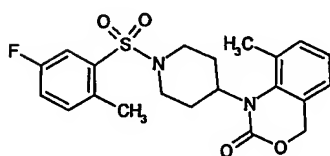
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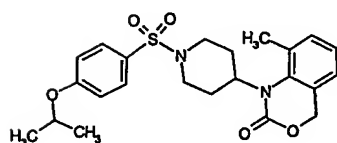
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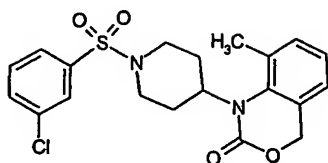


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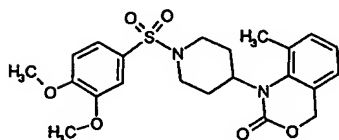


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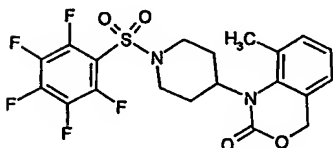




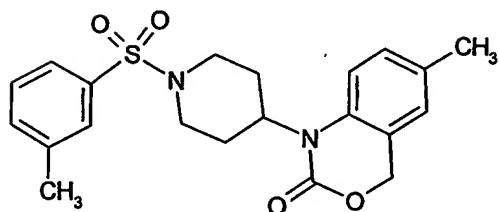
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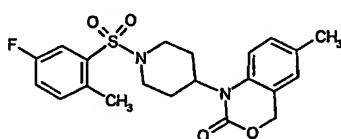
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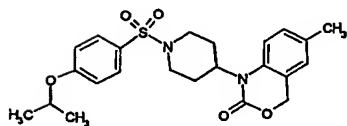
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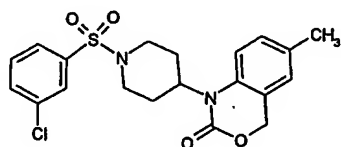
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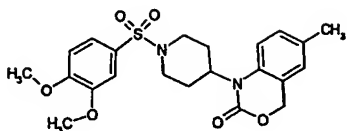
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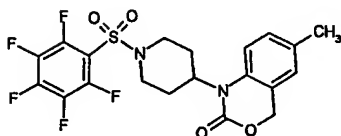
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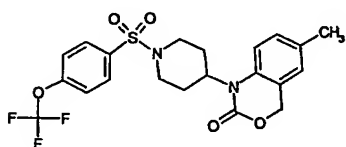
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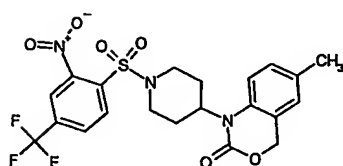
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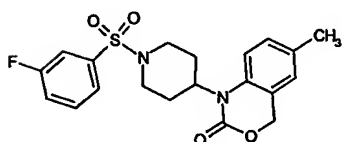
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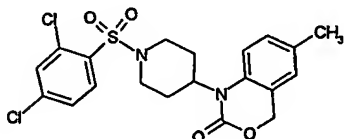
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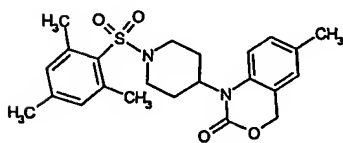
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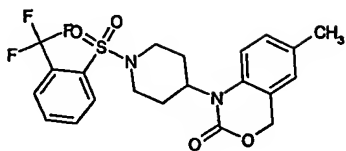
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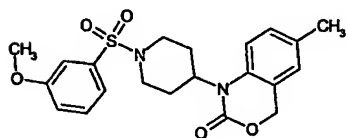
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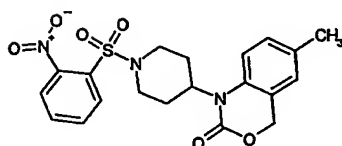
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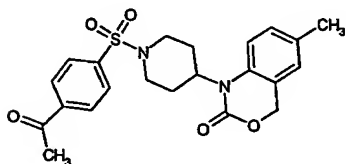
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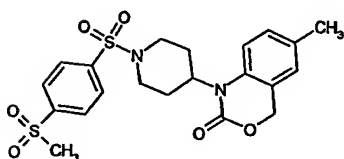
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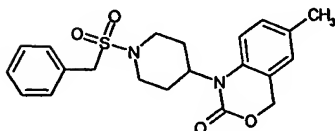
6-Methyl-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



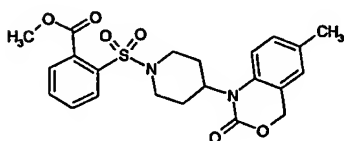
1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



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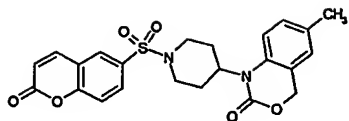


6-Methyl-1-(1-phenylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

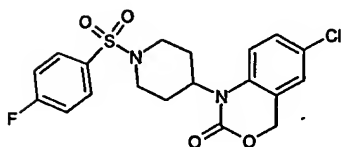


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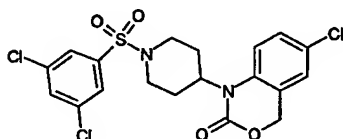
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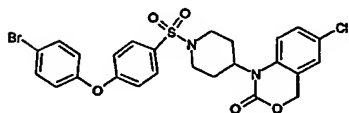
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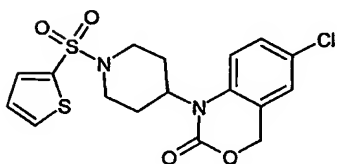
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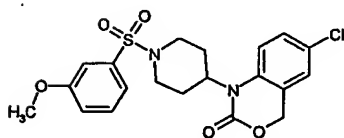
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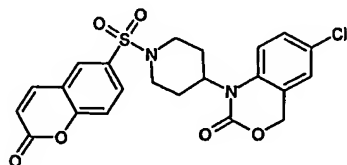
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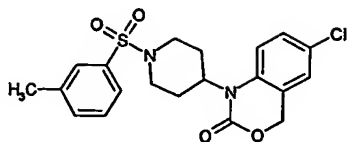


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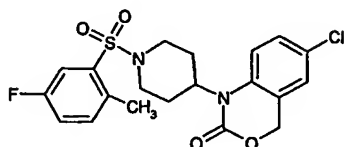


6-Chloro-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

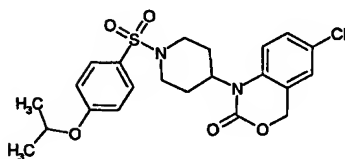




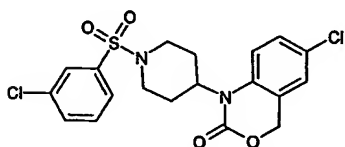
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piperidin-4-yl]-1,4-dihydro-  
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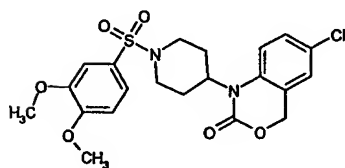
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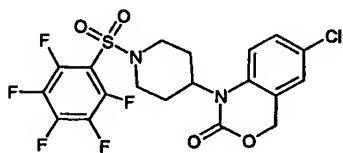
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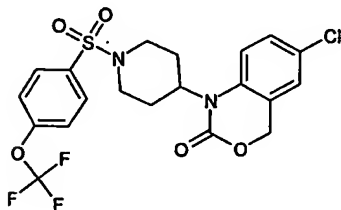
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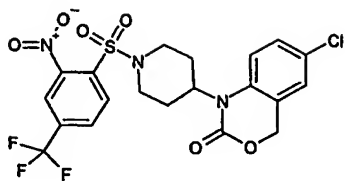
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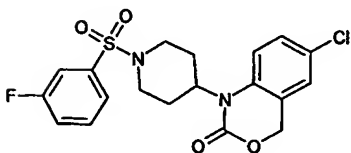
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2-one



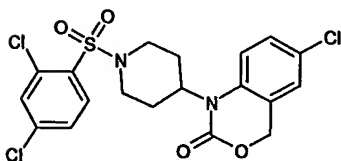
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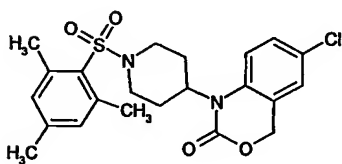
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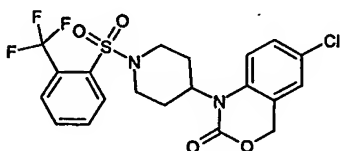
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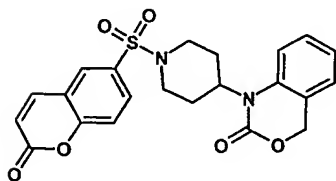
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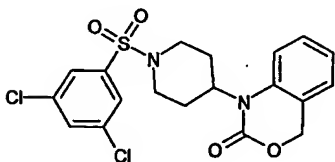
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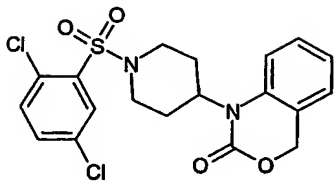
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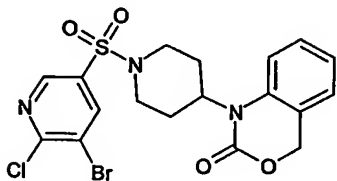
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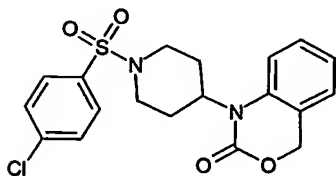
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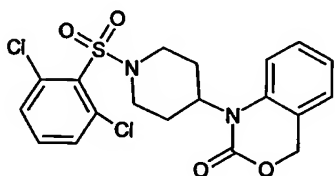
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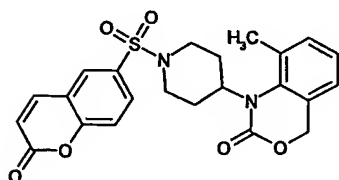
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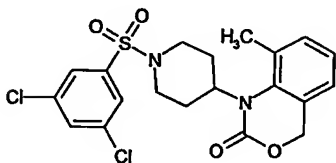
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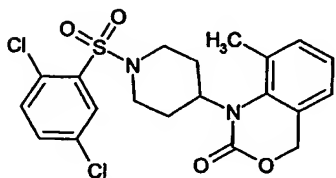
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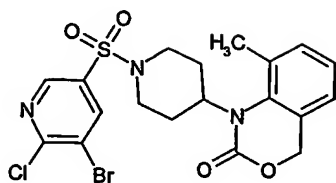
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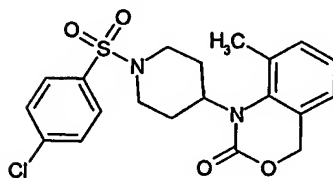
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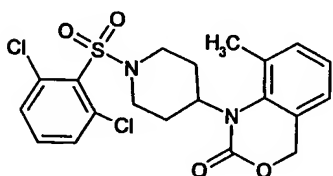
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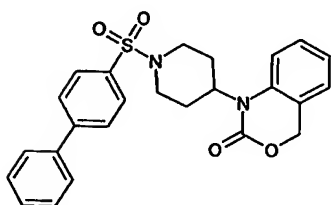
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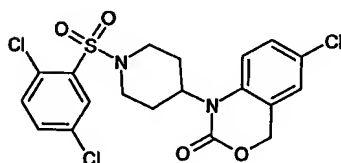
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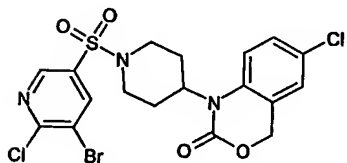
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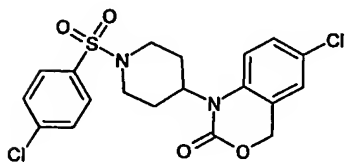
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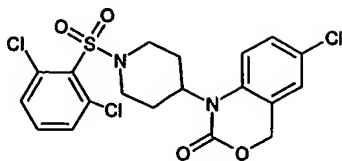
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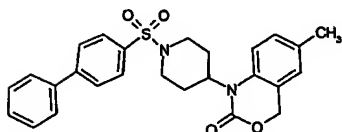
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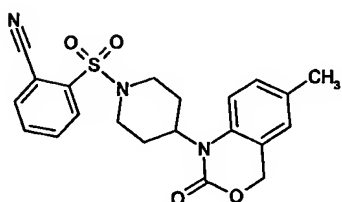
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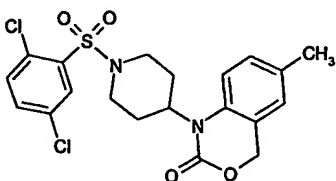
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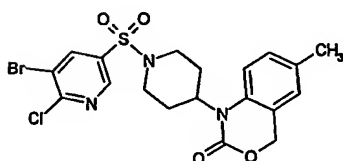
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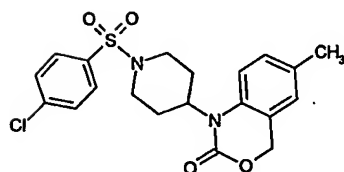
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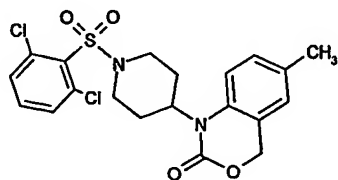
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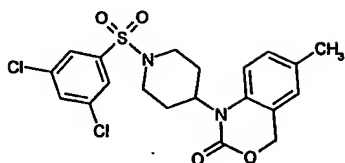
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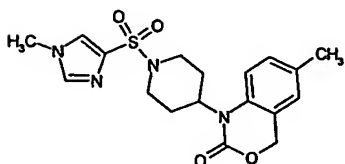
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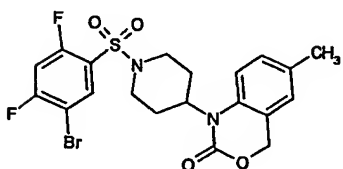
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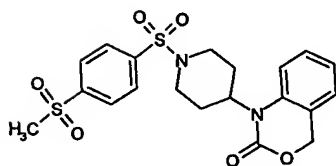
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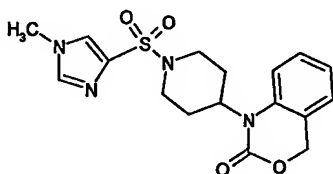
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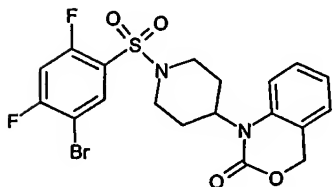
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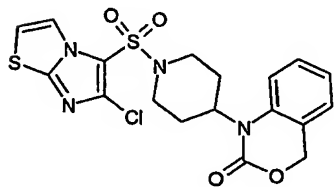
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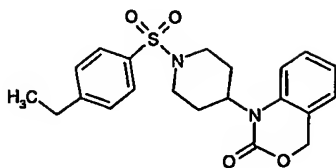
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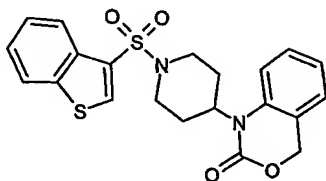
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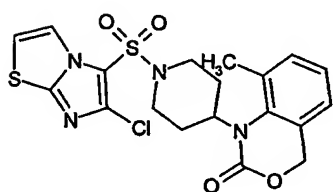
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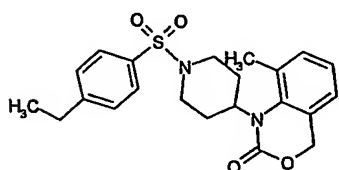
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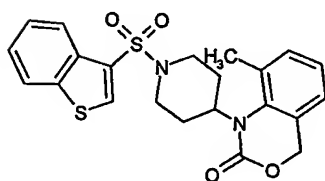
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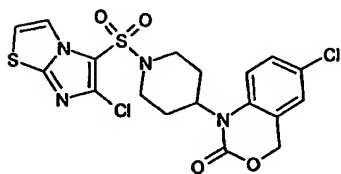
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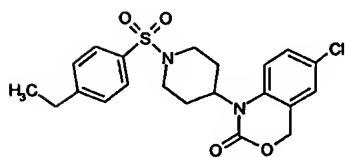
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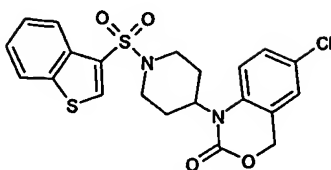
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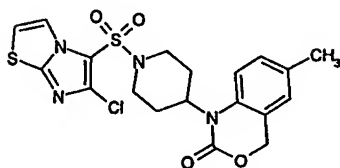
6-Chloro-1-[1-(6-chloro-imidazo[2,1-  
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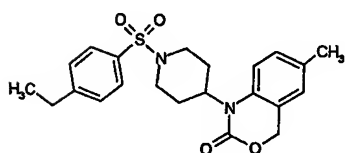
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benzenesulfonyl)-piperidin-4-yl]-1,4-  
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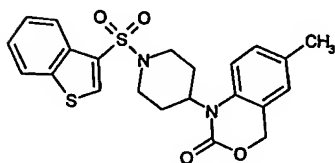
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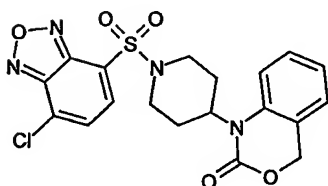
1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one



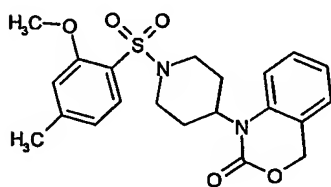
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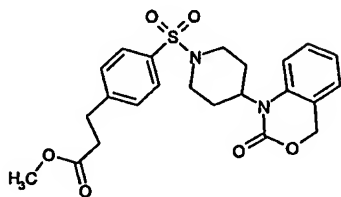
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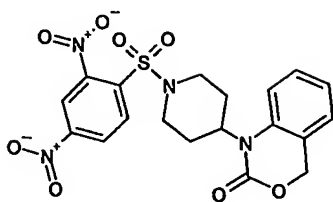
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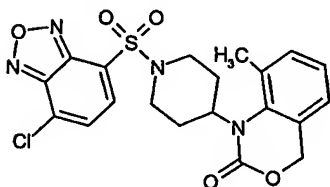
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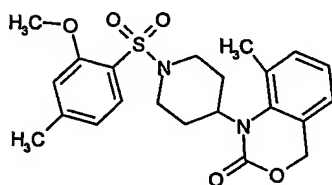
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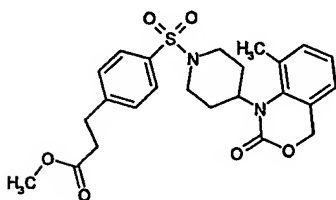
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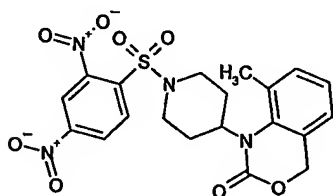
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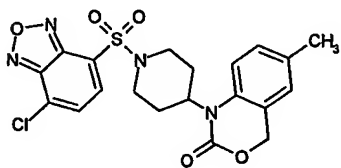
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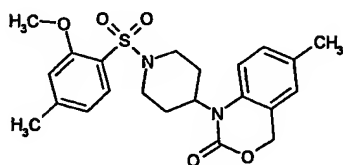
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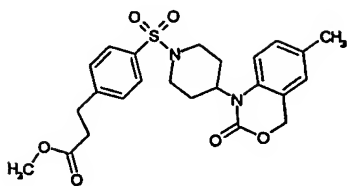
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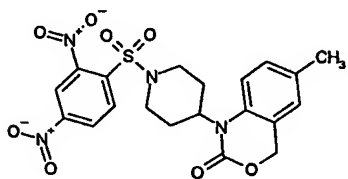
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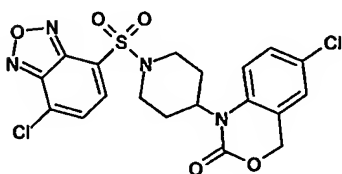
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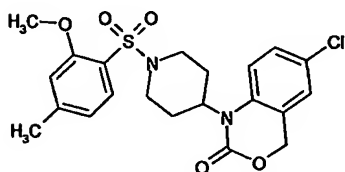
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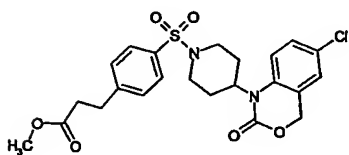
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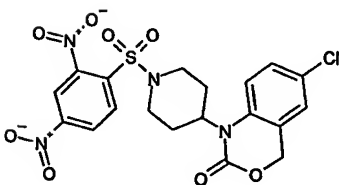
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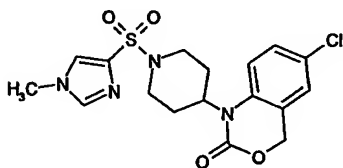
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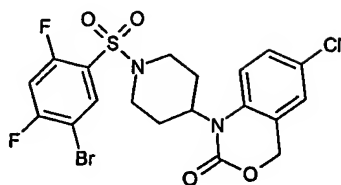
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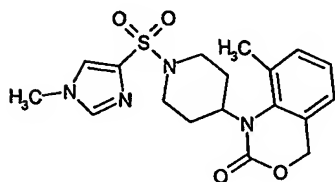
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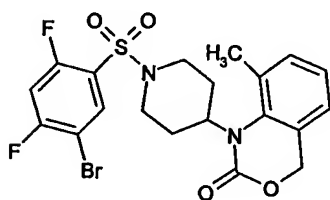
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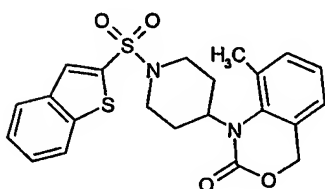
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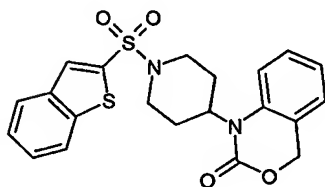
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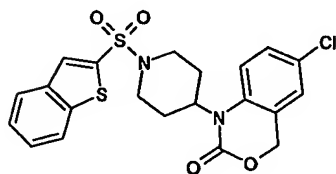
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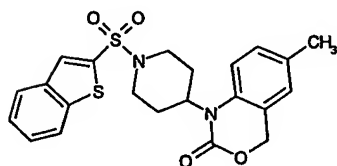
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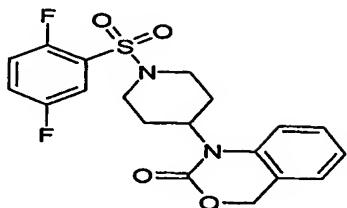
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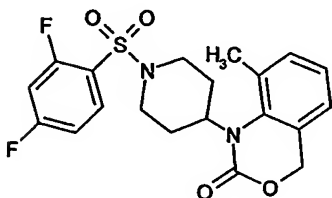
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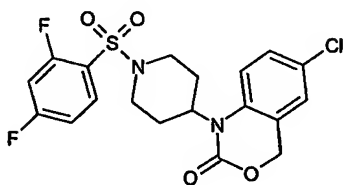
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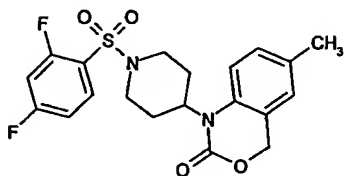
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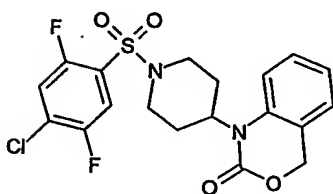
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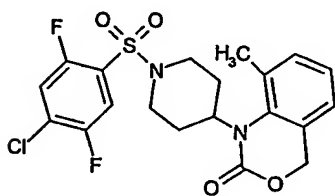
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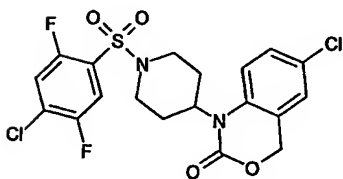
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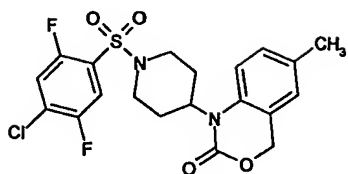
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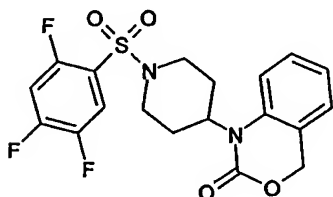
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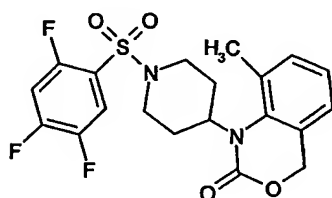
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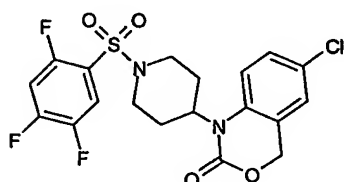
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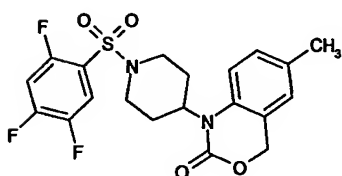
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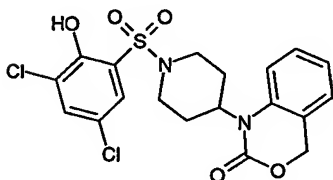
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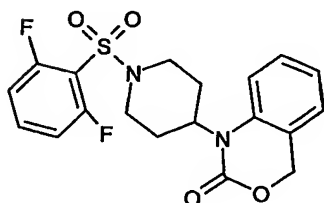
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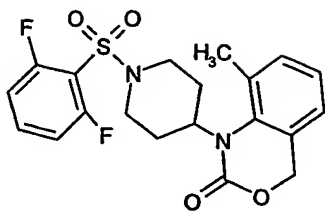
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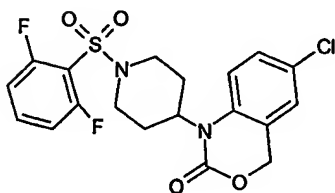
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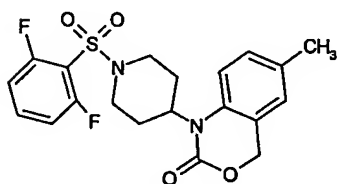
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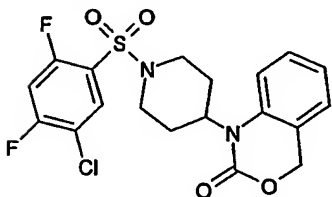
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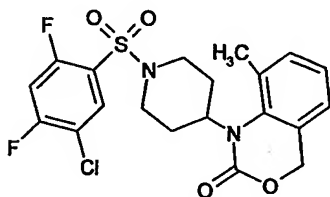
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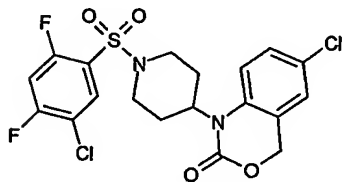
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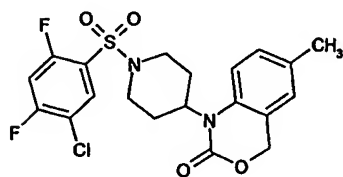
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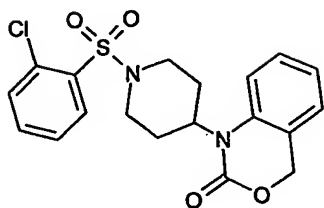
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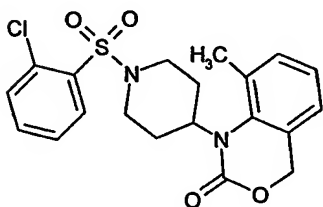
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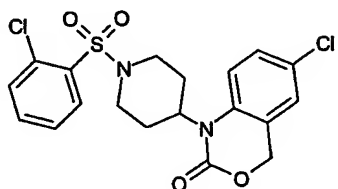
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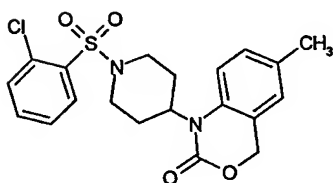
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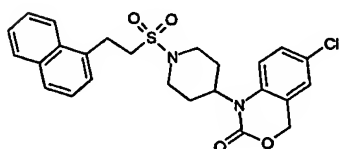
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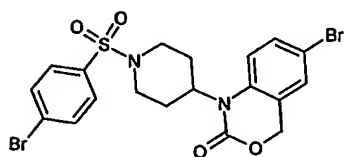
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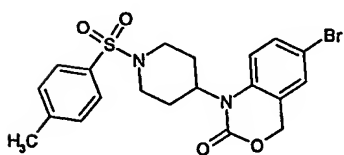
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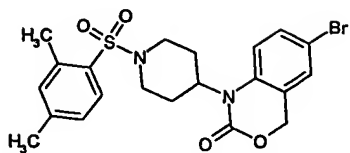
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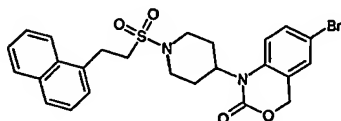
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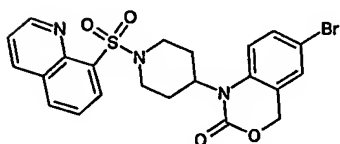
6-Bromo-1-[1-(toluene-4-  
sulfonyl)-piperidin-4-yl]-1,4-  
dihydro-benzo[d][1,3]oxazin-  
2-one



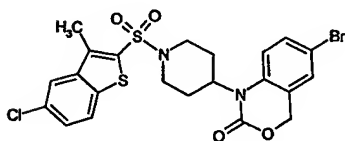
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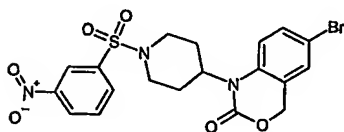
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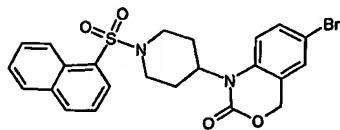
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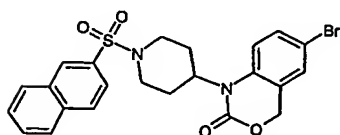
6-Bromo-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



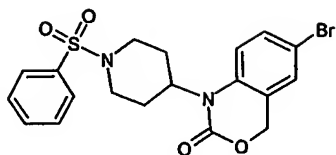
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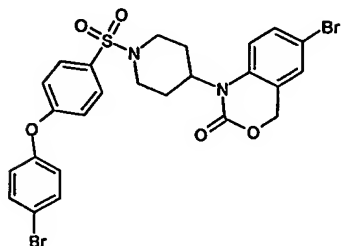
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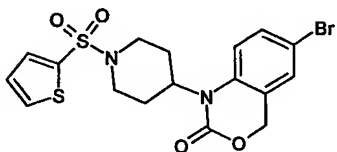
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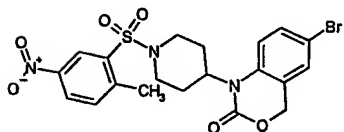
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6-Bromo-1-{1-[4-(4-bromophenoxy)benzenesulfonyl]piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one



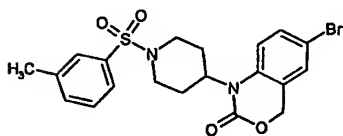
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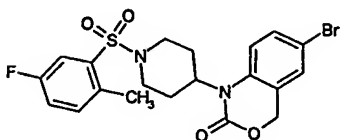
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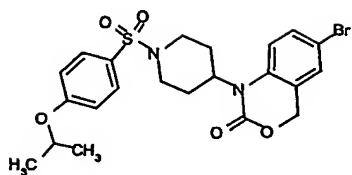
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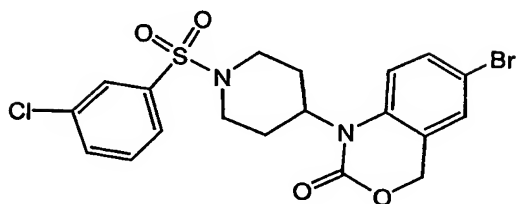
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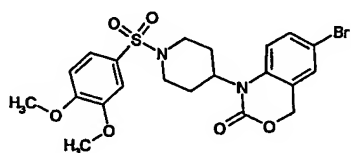
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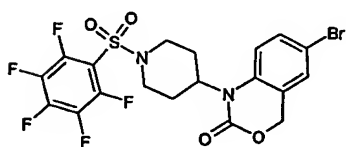
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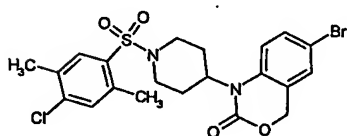
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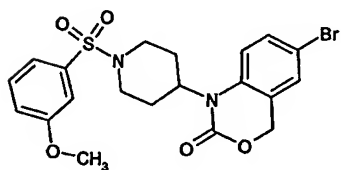
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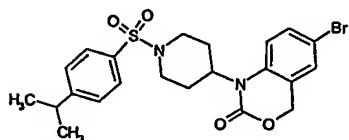
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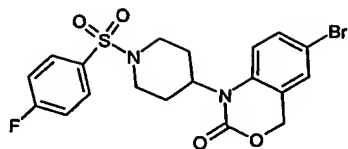
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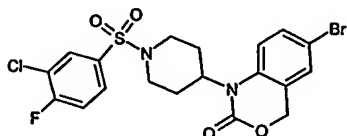
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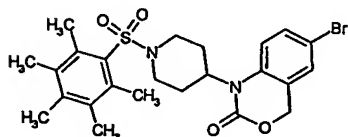
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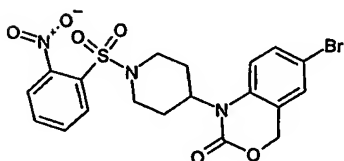
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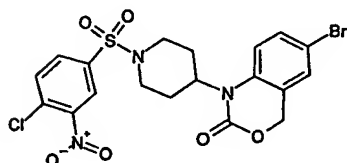
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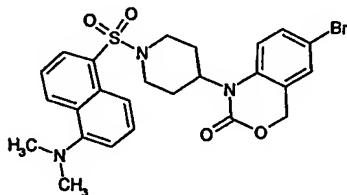
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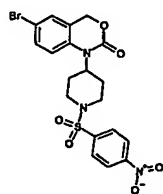
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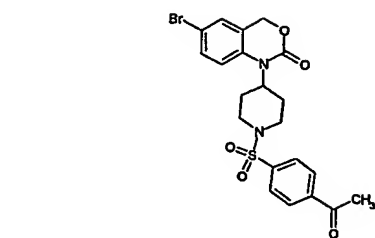
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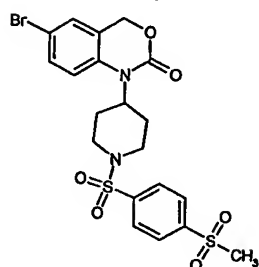
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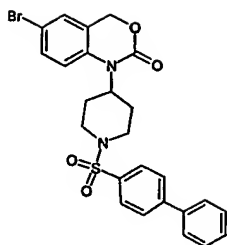
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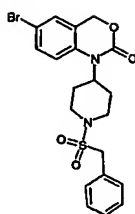
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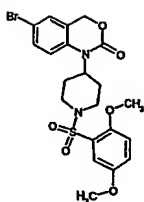
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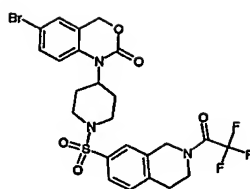
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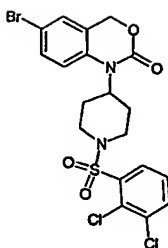
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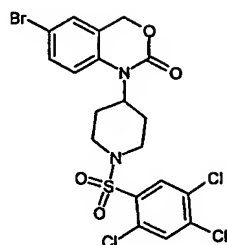
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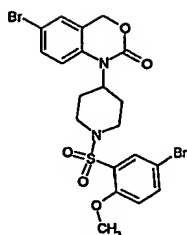
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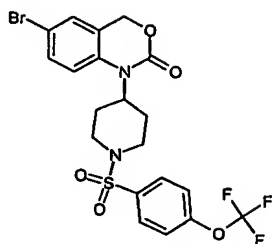
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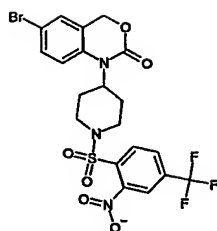
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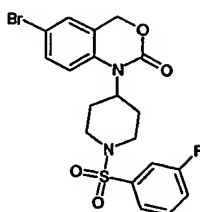
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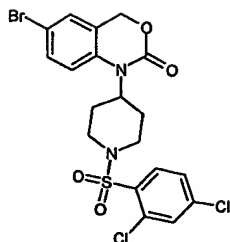
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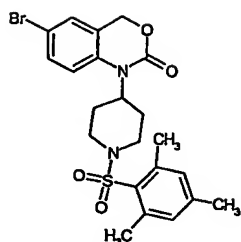
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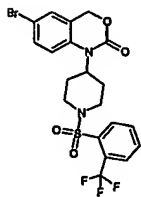
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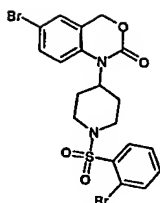
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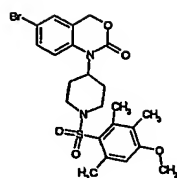
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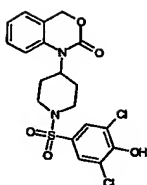
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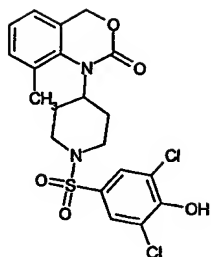
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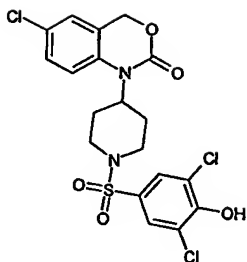
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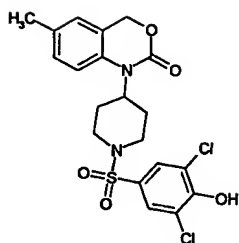
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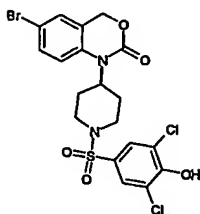
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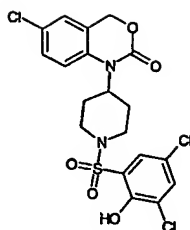
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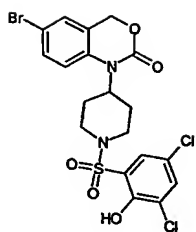
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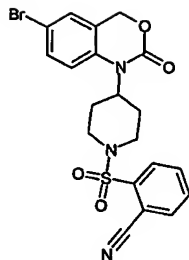
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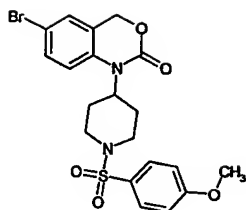
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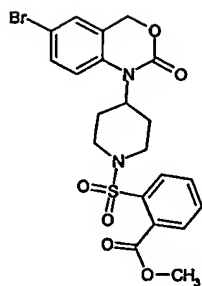
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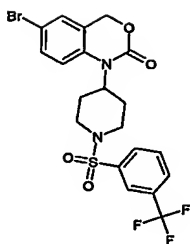
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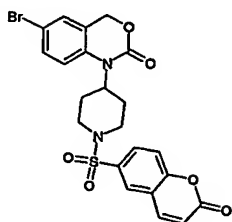
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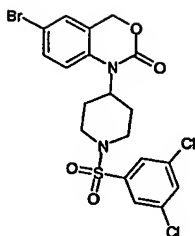
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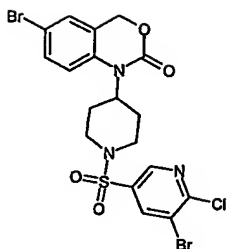
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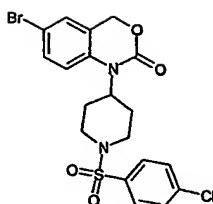
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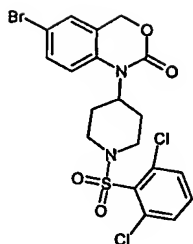
6-Bromo-1-[1-(2,5-dichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



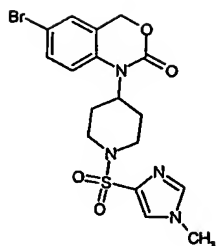
6-Bromo-1-[1-(5-bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



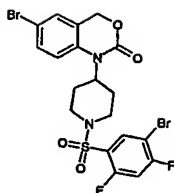
6-Bromo-1-[1-(4-chlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(2,6-dichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(5-bromo-2,4-difluorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one

Furthermore, particularly preferred is the use of one or more benzoxazinone-derived sulfonamide compounds of general formula (Ib) selected from the group consisting of:

N°	Compound
1	1-[1-(Naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
2	1-[1-(Toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
3	1-(1-Phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
4	1-(1-Benzenesulfonyl-piperidin-4-yl)-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
5	6-Chloro-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
6	6-Chloro-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
7	6-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
8	6-Chloro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
9	6-Chloro-1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
10	1-[1-(Thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
11	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
12	2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
13	1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
14	1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
15	1-[1-(2-Naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
16	8-Methyl-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
17	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
18	2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
19	1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
20	1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
21	8-Methyl-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
22	4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide

23	2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
24	1-[1-(3-Trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
25	2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
26	8-Methyl-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
27	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
28	2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
29	6-Chloro-1-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
30	2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
31	6-Chloro-1-[1-(2,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
32	6-Chloro-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
33	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
34	1-[1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
35	1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
36	8-Methyl-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
37	8-Methyl-1-[1-(phenylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
38	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
39	6-Chloro-1-[1-(4-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
40	1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
41	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
42	1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
43	1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
44	6-Chloro-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
45	6-Chloro-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
46	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
47	8-Methyl-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

48	8-Methyl-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
49	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
50	8-Methyl-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
51	6-Chloro-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
52	1-(1-Ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
53	1-[1-(Propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
54	1-[1-(Propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
55	6-Chloro-1-(1-ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
56	6-Chloro-1-[1-(propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
57	6-Chloro-1-[1-(propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
58	6-Chloro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
59	1-[1-(4-Nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
60	6-Methyl-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
61	6-Methyl-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
62	6-Methyl-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
63	1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
64	6-Methyl-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
65	6-Methyl-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
66	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
67	6-Methyl-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
68	1-(1-Benzenesulfonyl-piperidin-4-yl)-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
69	1-[1-(4-Chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
70	1-[1-(5-Dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
71	1-[1-(4-Chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
72	1-[1-(4-Chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
73	6-Chloro-1-[1-(4-chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
74	6-Chloro-1-[1-(5-dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
75	1-[1-(4-Methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
76	1-[1-(4-Methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
77	6-Chloro-1-[1-(4-methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
78	1-[1-(4-Methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
79	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
80	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
81	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
82	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
83	6-Chloro-1-[1-(2,3-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
84	1-[1-(2,3-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
85	1-[1-(2,4,5-Trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
86	8-Methyl-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
87	6-Chloro-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
88	6-Methyl-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
89	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
90	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
91	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
92	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
93	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
94	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
95	6-Chloro-1-[1-(2,5-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
96	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
97	1-(1-Pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one

98	8-Methyl-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
99	6-Chloro-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
100	6-Methyl-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
101	1-{1-[2-(2,2,2-Trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
102	8-Methyl-1-{1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
103	6-Chloro-1-{1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
104	6-Methyl-1-{1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
105	1-[1-(2-Methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
106	8-Methyl-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
107	6-Chloro-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
108	6-Methyl-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
109	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
110	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
111	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
112	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
113	1-[1-(4-Chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
114	1-[1-(4-Chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
115	6-Chloro-1-[1-(4-chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
116	1-[1-(4-Chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
117	1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
118	1-[1-(4-Isopropyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
119	1-[1-(4-Isopropyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
120	6-Chloro-1-[1-(4-isopropyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
121	1-[1-(4-Isopropyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
122	1-[1-(3-Chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

123	1-[1-(3-Chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
124	6-Chloro-1-[1-(3-chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
125	1-[1-(3-Chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
126	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
127	6-Methyl-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
128	6-Methyl-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
129	1-[1-(4-Trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
130	1-[1-(2-Nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
131	1-[1-(3-Fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
132	1-[1-(2,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
133	1-[1-(2,4,6-Trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
134	1-[1-(2-Trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
135	8-Methyl-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
136	8-Methyl-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
137	1-[1-(3-Fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
138	1-[1-(2,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
139	8-Methyl-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
140	8-Methyl-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
141	1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
142	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
143	1-[1-(3-Nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
144	1-[1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
145	1-[1-(3-Methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
146	1-[1-(2-Nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
147	8-Methyl-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

148	1-(1-Benzenesulfonyl-piperidin-4-yl)-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
149	1-[1-(3-Methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
150	1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
151	1-{1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl}-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
152	6-Methyl-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
153	1-[1-(Toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
154	1-[1-(5-Fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
155	1-[1-(4-Isopropoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
156	1-[1-(3-Chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
157	1-[1-(3,4-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
158	1-(1-Pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
159	8-Methyl-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
160	1-[1-(5-Fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3] oxazin-2-one
161	1-[1-(4-Isopropoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3] oxazin-2-one
162	1-[1-(3-Chloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
163	1-[1-(3,4-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3] oxazin-2-one
164	8-Methyl-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
165	6-Methyl-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
166	1-[1-(5-Fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
167	1-[1-(4-Isopropoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
168	1-[1-(3-Chloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
169	1-[1-(3,4-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
170	6-Methyl-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
171	6-Methyl-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
172	6-Methyl-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

173	1-[1-(3-Fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
174	1-[1-(2,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
175	6-Methyl-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
176	6-Methyl-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
177	1-[1-(3-Methoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
178	6-Methyl-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
179	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
180	1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
181	6-Methyl-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
182	2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]benzoic acid methyl ester
183	6-Methyl-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
184	6-Chloro-1-[1-(4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
185	6-Chloro-1-[1-(3,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
186	1-{1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl}-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
187	6-Chloro-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
188	6-Chloro-1-[1-(3-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
189	6-Chloro-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
190	6-Chloro-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
191	6-Chloro-1-[1-(5-fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
192	6-Chloro-1-[1-(4-isopropoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
193	6-Chloro-1-[1-(3-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
194	6-Chloro-1-[1-(3,4-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
195	6-Chloro-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
196	6-Chloro-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
197	6-Chloro-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

198	6-Chloro-1-[1-(3-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
199	6-Chloro-1-[1-(2,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
200	6-Chloro-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
201	6-Chloro-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
202	1-[1-(2-Oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
203	1-[1-(3,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
204	1-[1-(2,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
205	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
206	1-[1-(4-Chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
207	1-[1-(2,6-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
208	8-Methyl-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
209	1-[1-(3,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
210	1-[1-(2,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
211	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
212	1-[1-(4-Chloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
213	1-[1-(2,6-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
214	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
215	6-Chloro-1-[1-(2,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
216	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
217	6-Chloro-1-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
218	6-Chloro-1-[1-(2,6-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
219	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
220	2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
221	1-[1-(2,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
222	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

223	1-[1-(4-Chloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
224	1-[1-(2,6-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
225	1-[1-(3,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
226	6-Methyl-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
227	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
228	1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
229	1-[1-(1-Methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
230	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
231	1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
232	1-[1-(4-Ethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
233	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
234	1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
235	1-[1-(4-Ethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
236	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
237	6-Chloro-1-[1-(6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
238	6-Chloro-1-[1-(4-ethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
239	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
240	1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
241	1-[1-(4-Ethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
242	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
243	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
244	1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
245	3-{4-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
246	1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
247	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

248	1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
249	3-{4-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
250	1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
251	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
252	1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
253	3-{4-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
254	1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
255	6-Chloro-1-[1-(7-chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
256	6-Chloro-1-[1-(2-methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
257	3-{4-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
258	6-Chloro-1-[1-(2,4-dinitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
259	6-Chloro-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
260	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
261	8-Methyl-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
262	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
263	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
264	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
265	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
266	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
267	1-[1-(2,5-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
268	1-[1-(2,5-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
269	6-Chloro-1-[1-(2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
270	1-[1-(2,5-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
271	1-[1-(4-Chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
272	1-[1-(4-Chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

273	6-Chloro-1-[1-(4-chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
274	1-[1-(4-Chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
275	1-[1-(2,4,5-Trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
276	8-Methyl-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
277	6-Chloro-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
278	6-Methyl-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
279	1-[1-(3,5-Dichloro-2-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
280	1-[1-(2,6-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
281	1-[1-(2,6-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
282	6-Chloro-1-[1-(2,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
283	1-[1-(2,6-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
284	1-[1-(5-Chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
285	1-[1-(5-Chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
286	6-Chloro-1-[1-(5-chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
287	1-[1-(5-Chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
288	1-[1-(2-Chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
289	1-[1-(2-Chloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
290	6-Chloro-1-[1-(2-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
291	1-[1-(2-Chloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
292	6-Chloro-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
293	6-Bromo-1-[1-(4-bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
294	6-Bromo-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
295	6-Bromo-1-[1-(2,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
296	6-Bromo-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
297	6-Bromo-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

298	6-Bromo-1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
299	6-Bromo-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
300	6-Bromo-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
301	6-Bromo-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
302	1-(1-Benzenesulfonyl-piperidin-4-yl)-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
303	6-Bromo-1-[1-[4-(4-bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
304	6-Bromo-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
305	6-Bromo-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
306	6-Bromo-1-[1-(4-bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
307	6-Bromo-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
308	6-Bromo-1-[1-(5-fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
309	6-Bromo-1-[1-(4-isopropoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
310	6-Bromo-1-[1-(3-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
311	6-Bromo-1-[1-(3,4-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
312	6-Bromo-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
313	6-Bromo-1-[1-(4-chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
314	6-Bromo-1-[1-(3-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
315	6-Bromo-1-[1-(4-isopropyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
316	6-Bromo-1-[1-(4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
317	6-Bromo-1-[1-(3-chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
318	6-Bromo-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
319	6-Bromo-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
320	6-Bromo-1-[1-(4-chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
321	6-Bromo-1-[1-(5-dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
322	6-Bromo-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

323	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
324	6-Bromo-1-[1-(4-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
325	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
326	6-Bromo-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
327	6-Bromo-1-[1-(2,5-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
328	6-Bromo-1-[1-(2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
329	6-Bromo-1-[1-(2,3-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
330	6-Bromo-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
331	6-Bromo-1-[1-(5-bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
332	6-Bromo-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
333	6-Bromo-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
334	6-Bromo-1-[1-(3-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
335	6-Bromo-1-[1-(2,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
336	6-Bromo-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
337	6-Bromo-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
338	6-Bromo-1-[1-(2-bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
339	6-Bromo-1-[1-(4-methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
340	1-[1-(3,5-Dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
341	1-[1-(3,5-Dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
342	6-Chloro-1-[1-(3,5-dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
343	1-[1-(3,5-Dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
344	6-Bromo-1-[1-(3,5-dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
345	6-Chloro-1-[1-(3,5-dichloro-2-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
346	6-Bromo-1-[1-(3,5-dichloro-2-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
347	2-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile

348	6-Bromo-1-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
349	2-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
350	6-Bromo-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
351	6-Bromo-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
352	6-Bromo-1-[1-(3,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
353	6-Bromo-1-[1-(2,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
354	6-Bromo-1-[1-(5-bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
355	6-Bromo-1-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
356	6-Bromo-1-[1-(2,6-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
357	6-Bromo-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
358	6-Bromo-1-[1-(5-bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
359	6-Bromo-1-[1-(4-ethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
360	6-Bromo-1-[1-(6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
361	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
362	6-Bromo-1-[1-(7-chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
363	6-Bromo-1-[1-(2-methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
364	3-{4-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
365	6-Bromo-1-[1-(2,4-dinitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
366	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
367	6-Bromo-1-[1-(2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
368	6-Bromo-1-[1-(4-chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
369	6-Bromo-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
370	6-Bromo-1-[1-(2,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
371	6-Bromo-1-[1-(5-chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
372	6-Bromo-1-[1-(2-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

373	6-Bromo-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
374	N-{4-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-2-chloro-phenyl}-acetamide
375	1-[1-(2,3,4-Trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
376	8-Methyl-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
377	6-Chloro-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
378	6-Methyl-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
379	N-{2-Chloro-4-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
380	1-[1-(3,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
381	1-[1-(3,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
382	6-Chloro-1-[1-(3,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
383	1-[1-(3,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
384	6-Bromo-1-[1-(3,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
385	N-{2-Chloro-4-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
386	1-[1-(2-Chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
387	1-[1-(2-Chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
388	6-Chloro-1-[1-(2-chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
389	1-[1-(2-Chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
390	6-Bromo-1-[1-(2-chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
391	N-{2-Chloro-4-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
392	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
393	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
394	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
395	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
396	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
397	N-{2-Chloro-4-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide

398	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
399	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
400	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
401	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
402	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
403	1-(1-Ethanesulfonyl-piperidin-4-yl)-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
404	1-[1-(2,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
405	1-[1-(2,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
406	6-Chloro-1-[1-(2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
407	1-[1-(2,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
408	6-Bromo-1-[1-(2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
409	8-Methyl-1-[1-(propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
410	1-[1-(3,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
411	1-[1-(3,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
412	6-Chloro-1-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
413	1-[1-(3,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
414	6-Bromo-1-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
415	8-Methyl-1-[1-(propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
416	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
417	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
418	6-Chloro-1-[1-(2-chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
419	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
420	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
421	8-Methyl-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
422	1-[1-(2,3,4-Trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

423	8-Methyl-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
424	6-Chloro-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
425	6-Methyl-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
426	6-Bromo-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
427	1-[1-(2,3,5,6-Tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
428	1-[1-(Thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
429	8-Methyl-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
430	6-Chloro-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
431	6-Methyl-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
432	6-Bromo-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
433	6-Chloro-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
434	1-[1-(2,4,6-Trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
435	8-Methyl-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
436	6-Chloro-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
437	6-Methyl-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
438	6-Bromo-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
439	6-Methyl-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
440	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
441	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
442	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
443	6-Bromo-1-[1-(2-bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
444	6-Bromo-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
445	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
446	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
447	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one

448	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
449	6-Bromo-1-[1-(4-bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
450	1-[1-(4-Phenoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
451	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
452	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
453	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
454	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
455	6-Bromo-1-[1-(3-bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
456	8-Methyl-1-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
457	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
458	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
459	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
460	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
461	6-Bromo-1-[1-(4-tert-butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
462	6-Chloro-1-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
463	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
464	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
465	6-Chloro-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
466	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
467	6-Bromo-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
468	8-Methyl-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
469	6-Chloro-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
470	6-Methyl-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
471	6-Bromo-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
472	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

473	6-Chloro-1-[1-(3-chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
474	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
475	6-Bromo-1-[1-(3-chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
476	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
477	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
478	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
479	6-Bromo-1-[1-(4-butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
480	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
481	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
482	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
483	6-Bromo-1-[1-(4-bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
484	1-[1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
485	6-Chloro-1-[1-[4-(1,1-dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
486	1-[1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
487	6-Bromo-1-[1-[4-(1,1-dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
488	1-(1-Ethenesulfonyl-piperidin-4-yl)-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
489	3-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
490	3-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
491	3-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
492	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
493	6-Chloro-1-[1-(3-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
494	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
495	6-Bromo-1-[1-(3-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
496	N-{4-Methyl-5-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiazol-2-yl}-acetamide
497	N-{5-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide

498	N-{4-Methyl-5-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiazol-2-yl}-acetamide
499	N-{5-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
500	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
501	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
502	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
503	6-Bromo-1-[1-(2-bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
504	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
505	6-Chloro-1-[1-(5-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
506	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
507	6-Bromo-1-[1-(5-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
508	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
509	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
510	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
511	6-Bromo-1-[1-(4-bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
512	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
513	1-[1-(4-Propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
514	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
515	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
516	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
517	1-[1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
518	N-{4-Methyl-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiazol-2-yl}-acetamide
519	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
520	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
521	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
522	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

523	1-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
524	6-Fluoro-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
525	6-Fluoro-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
526	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
527	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
528	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
529	1-[1-(4-(1,1-Dimethyl-propyl)-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
530	N-{5-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
531	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
532	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
533	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
534	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
535	6-Fluoro-1-[1-(isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
536	6-Fluoro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
537	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
538	6-Fluoro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
539	6-Fluoro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
540	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
541	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
542	8-Methoxy-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
543	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
544	8-Methoxy-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
545	8-Methoxy-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
546	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
547	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one

548	5-Chloro-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
549	5-Chloro-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
550	5-Chloro-1-[1-(3-chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
551	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
552	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
553	5-Chloro-1-[1-[4-(1,1-dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
554	N-{5-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
555	5-Chloro-1-[1-(3-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
556	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
557	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
558	5-Chloro-1-[1-(5-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
559	5-Chloro-1-[1-(isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
560	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
561	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
562	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
563	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
564	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
565	1-[1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
566	N-{5-[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
567	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
568	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
569	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
570	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
571	1-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
572	1-[1-(4-Methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

573	6-Chloro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
574	6-Methyl-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
575	8-Methyl-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
576	6-Fluoro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
577	8-Methoxy-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
578	5-Chloro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
579	5-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
580	5-Chloro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
581	5-Chloro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
582	5-Chloro-1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
583	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
584	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
585	6-Bromo-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
586	2-Chloro-4-fluoro-5-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
587	2-Chloro-5-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-fluoro-benzoic acid
588	2-Chloro-4-fluoro-5-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
589	2-Chloro-4-fluoro-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
590	2-Chloro-4-fluoro-5-[4-(8-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
591	2-Chloro-5-[4-(5-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-fluoro-benzoic acid
592	3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
593	3-[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
594	3-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
595	1-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
596	6-Chloro-1-[1-(isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
597	-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
598	6,7-Difluoro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
599	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
600	6,7-Difluoro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
601	6,7-Difluoro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
602	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
603	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
604	1-[1-(5-Dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
605	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
606	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
607	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
608	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
609	6,7-Difluoro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
610	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
611	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
612	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
613	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
614	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
615	1-[1-(5-Isloxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
616	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
617	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
618	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
619	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
620	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
621	1-[1-(5-Isloxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
622	5-Chloro-1-[1-(4-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
623	5-Chloro-1-[1-(4-fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
624	5-Chloro-1-[1-(dibenzofuran-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
625	5-Chloro-1-[1-(2,3-dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
626	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
627	5-Chloro-1-[1-(5-isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
628	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
629	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
630	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
631	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
632	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
633	1-[1-(5-Isioxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
634	6-Chloro-1-[1-(4-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
635	6-Chloro-1-[1-(4-fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
636	6-Chloro-1-[1-(dibenzofuran-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
637	6-Chloro-1-[1-(2,3-dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
638	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
639	6-Chloro-1-[1-(5-isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
640	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
641	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
642	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
643	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
644	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
645	1-[1-(5-Isioxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
646	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
647	6,7-Difluoro-1-[1-(4-fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
648	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
649	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
650	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
651	6,7-Difluoro-1-[1-(5-isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
652	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
653	1-[1-(5-Methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
654	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
655	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
656	8-Methyl-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
657	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
658	6-Chloro-1-[1-(1,2-dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
659	6-Chloro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
660	6-Chloro-1-[1-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
661	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
662	8-Methoxy-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
663	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
664	5-Chloro-1-[1-(1,2-dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
665	5-Chloro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
666	5-Chloro-1-[1-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
667	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
668	6-Methyl-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
669	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
670	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
671	6-Fluoro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
672	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
673	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-

	dihydro-benzo[d][1,3]oxazin-2-one
674	6,7-Difluoro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
675	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
676	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
677	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
678	N-{5-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
679	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
680	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
681	N-{5-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
682	5-Chloro-1-[1-(5-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
683	5-Chloro-1-[1-(5-chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
684	N-{5-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
685	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
686	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
687	N-{5-[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
688	2,5-Dimethyl-4-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-furan-3-carboxylic acid methyl ester
689	8-Methyl-1-[1-(2-oxo-2,3-dihydro-benzothiazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
690	1-[1-(4-Fluoro-3-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
691	8-Methyl-1-[1-(2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
692	1-[1-(4-Cyclohexyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
693	2,5-Dimethyl-4-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-furan-3-carboxylic acid methyl ester
694	1-[1-(4-Fluoro-3-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
695	1-[1-(2-Oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
696	1-[1-(4-Cyclohexyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
697	2-Fluoro-5-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
698	2-Fluoro-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-

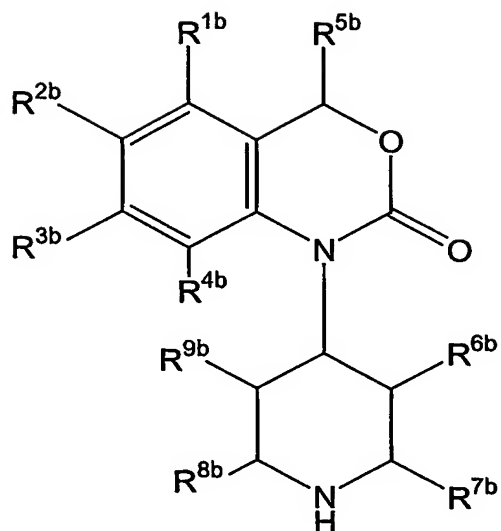
	benzoic acid
699	1-[1-(2-Oxo-2,3-dihydro-benzothiazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
700	1-[1-(5-Pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
701	3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
702	3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
703	1-{5-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
704	1-[1-(2-Chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
705	1-[1-(3,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
706	8-Methyl-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
707	3-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
708	3-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
709	1-{5-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
710	1-[1-(2-Chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
711	1-[1-(3,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
712	5-Chloro-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
713	3-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
714	3-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
715	1-{5-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
716	5-Chloro-1-[1-(2-chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
717	5-Chloro-1-[1-(3,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
718	6-Methyl-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
719	3-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
720	3-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
721	1-{5-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
722	1-[1-(2-Chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
723	1-[1-(3,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

724	6-Chloro-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
725	3-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
726	3-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
727	1-{5-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
728	6-Chloro-1-[1-(2-chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
729	6-Chloro-1-[1-(3,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
730	1-[1-(5-Methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
731	1-[1-(2,2-Dimethyl-chroman-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
732	1-[1-(4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
733	1-[1-(2,3-Dihydro-benzo[1,4]dioxine-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
734	1-[1-(1,3,5-Trimethyl-1H-pyrazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
735	1-[1-(3-Methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
736	8-Methyl-1-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
737	1-[1-(2,2-Dimethyl-chroman-6-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
738	8-Methyl-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
739	1-[1-(2,3-Dihydro-benzo[1,4]dioxine-6-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
740	8-Methyl-1-[1-(1,3,5-trimethyl-1H-pyrazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
741	8-Methyl-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
742	8-Methoxy-1-[1-(1,3,5-trimethyl-1H-pyrazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
743	8-Methoxy-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
744	1-[1-(Benzo[d]isoxazol-3-ylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
745	1-[1-(2,2,4,6,7-Pentamethyl-2,3-dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
746	6-Methyl-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-1H-pyrimidine-2,4-dione
747	1-[1-(3-Methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
748	1-[1-(2,2,5,7,8-Pentamethyl-chroman-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

749	1,4-Dimethyl-6-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-1,4-dihydro-quinoxaline-2,3-dione
750	1-[1-(1H-Imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
751	1-[1-(2-Oxo-1,2,3,4-tetrahydro-quinoline-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
752	7-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-1,5-dihydro-benzo[b][1,4]diazepine-2,4-dione
753	8-Methyl-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
754	6-Chloro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
755	5-Chloro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
756	8-Methoxy-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
757	1-[1-(Pyridine-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
758	1-[1-(6,7-Dihydroxy-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
759	Acetic acid 3-acetoxy-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-2-yl ester
760	1-[1-(1H-Benzoimidazole-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
761	1-[1-(1H-Benzoimidazole-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
762	1-[1-(1H-Benzoimidazole-2-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
763	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
764	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
765	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
766	5-Chloro-1-[1-(2,5-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
767	1-[1-(5-Dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
768	5-Chloro-1-[1-(5-dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
769	6-Chloro-1-[1-(5-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
770	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
771	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
772	6-Chloro-1-[1-(5-chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
773	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

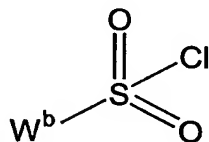
774	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
775	6-Methyl-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
776	6-Fluoro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
777	6,7-Difluoro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
778	6-Chloro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
779	6-Methyl-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
780	6-Fluoro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
781	6,7-Difluoro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
782	5-Chloro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
783	6-Chloro-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
784	6-Methyl-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
785	6-Fluoro-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
786	8-Methoxy-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
787	5-Chloro-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

The benzoxazinone-derived sulphonamide compounds of general formula (Ib), wherein  $R^{1b}$ - $R^{9b}$  and  $W^b$  have the meaning given above, may be prepared preferably by way of reaction of at least one piperidine compound of general formula (IIb) and/or a corresponding salt thereof, preferably a hydrochloride salt,



(IIb)

wherein  $R^{1b}$  to  $R^{9b}$  have the meaning given above, with at least one compound of general formula (IIIb),



(IIIb)

wherein  $W^b$  has the meaning given above, in a suitable reaction medium, optionally in the presence of at least one base and/or at least one auxiliary agent, to yield a compound of general formula (Ib).

Suitable reaction media include e.g. organic solvents, such as ethers, preferably diethyl ether, dioxane, tetrahydrofuran, dimethyl glycol ether, or alcohols, e.g. methanol, ethanol, propanol, isopropanol, butanol, isobutanol, tert-butanol, or hydrocarbons, preferably benzene, toluene, xylene, hexane, cyclohexane, petroleum ether, or halogenated hydrocarbons, e.g. dichloromethane, trichloromethane,

tetrachloromethane, dichloroethylene, trichloroethylene, chlorobenzene or/and other solvents, preferably ethyl acetate, triethylamine, pyridine, dimethylsulfoxide, diethylformamide, hexamethylphosphoramide, acetonitril, acetone or nitromethane, are included. Mixtures based one or more of the afore mentioned solvents may also be used.

Bases that may be used in the processes according to the present invention are generally organic or inorganic bases, preferably alkali metal hydroxides, e.g. sodium hydroxide or potassium hydroxide, or obtained from other metals such as barium hydroxide or different carbonates, preferably potassium carbonate, sodium carbonate, calcium carbonate, or alkoxides, e.g. sodium methoxide, potassium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide or potassium tert-butoxide, or organic amines, preferably triethylamine, diisopropylethylamine or heterocycles, e.g. 1,4-diazabicyclo[2.2.2] octane, 1,8-diazabicyclo[5.4.0]undec-7-ene pyridine, diamino pyridine, dimethylaminopyridine, methylpiperidine or morpholine. Alkali metals such as sodium or its hydrides, e.g. sodium hydride, may also be used. Mixtures based one or more of the afore mentioned bases may also be used.

During the synthetic reactions described above or while preparing the compounds of general formulas (IIb) or (IIIb) the protection of sensitive groups or of reagents may be necessary and/or desirable. This can be performed by using conventional protective groups like those described in the literature [Protective groups in Organic Chemistry, ed. J. F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, 1991. Said literature description is hereby incorporated by reference as part of the disclosure. The protective groups may also be eliminated as convenient by means well-known to those skilled in the art.

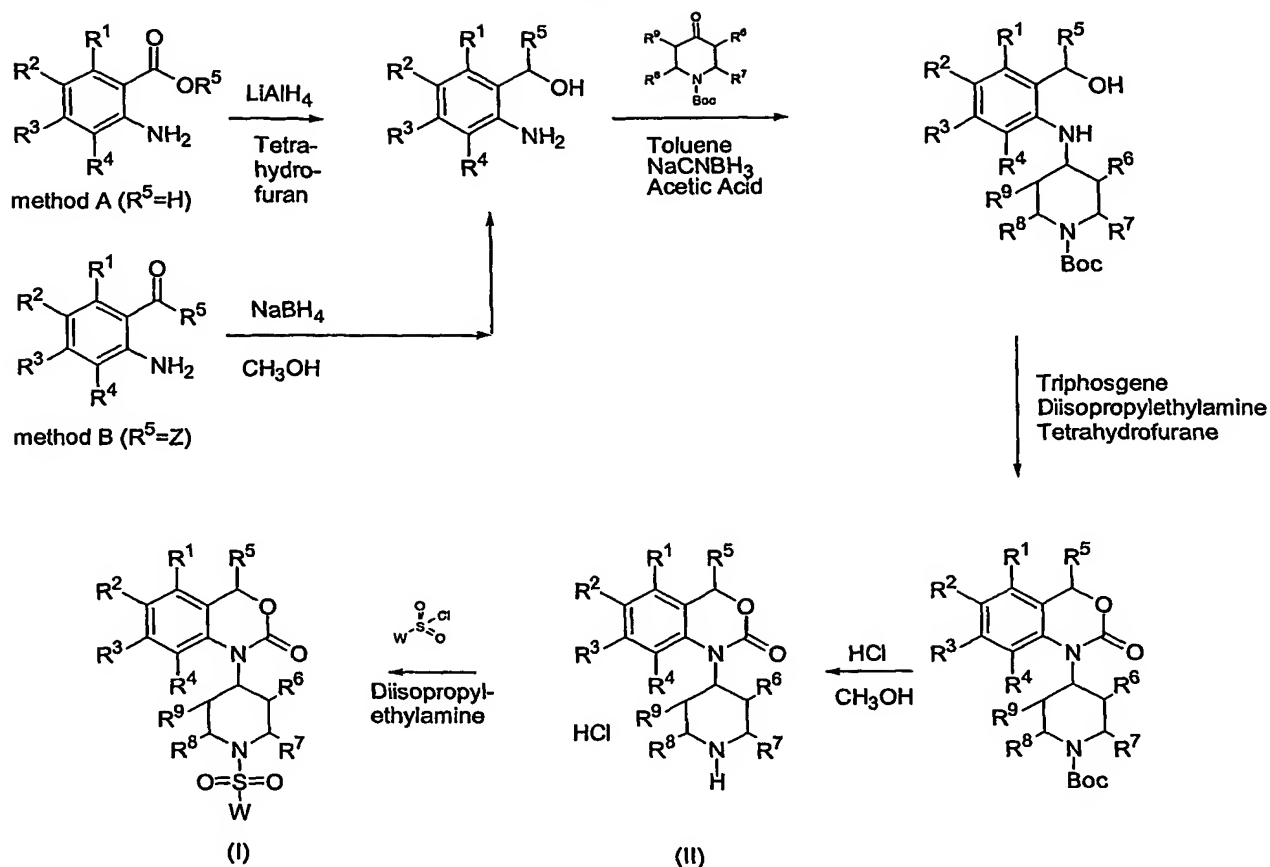
The compounds of general formulas (IIb) and (IIIb) are either commercially available or can be produced according to methods known to those skilled in the art. The reaction of compounds of general formulas (IIb) and (IIIb) to yield benzoxazinone-derived sulphonamide compounds of general formula (Ib) may also be facilitated by conventional methods known to those skilled in the art.

The substituted benzoxazinone compounds of general formula (IIb), wherein  $R^{5b}$  represents H, are preferably synthesized from substituted anthranilic acid or a corresponding ester via the corresponding substituted benzylalcohol (see scheme 1, method A). By reductive amination with 1-Boc-(tert.-Butylcarbonyloxy)-4-piperidone the Boc-piperidin-moiety is introduced into the substituted benzylalcohol. The benzoxazinone-ring is formed by cyclisation with triphosgene. The elimination of the Boc-protecting group is carried out by treatment in acidic media according to the method described in Williams et al., J. Med. Chem. 1995 38, 4634 and later by Bell et al., J. Med. Chem., 1998, 41, 2146 which are hereby incorporated by reference and form part of the disclosure. By reacting such a substituted benzoxazinone compound of general formula (IIb) with a substituted sulfonyl chloride of general formula (IIIb) compounds of general formula (Ib) are obtained.

By reduction of the corresponding ketones via conventional methods known to those skilled in the art, e.g. by reduction with sodium borohydride (see scheme 1, method B,  $R^{5b}=Z$ ) benzoxazinone derived sulphonamide compounds of general formula (Ib), wherein  $R^{5b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical (denoted by Z in method B) can be obtained.

The respective reagents used in said process for the preparation of benzoxazinone derived sulphonamide compounds of general formula (Ib) are either commercially available or can be obtained by methods well known to those skilled in the art.

#### Scheme 1:



The salts of benzoxazinone-derived sulphonamide compounds of general formula (Ib), may be prepared in a way that at least one compound of general formula (Ib) having at least one basic group is reacted with at least one inorganic and/or organic acid, preferably in the presence of a suitable reaction medium. Suitable reaction media are, for example, the ones given above. Suitable inorganic acids include hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, nitric acid, suitable organic acids are e.g. citric acid, maleic acid, fumaric acid, tartaric acid, or derivatives thereof, p-toluenesulfonic acid, methanesulfonic acid or camphersulfonic acid.

The salts of benzoxazinone-derived sulphonamide compounds of general formula (Ib), may be prepared in a way that at least one compound of general formula (Ib) having at least one acidic group is reacted with one or more suitable bases, preferably in the presence of a suitable reaction medium. Suitable bases are e.g. hydroxides, carbonates or alkoxides, which include suitable cations, derived e.g. from alkaline metals, alkaline earth metals or organic cations, e.g.  $[NH_nR_{4-n}]^+$ , wherein n is

0, 1, 2, 3 or 4 and R represents a branched or unbranched C<sub>1-4</sub>-alkyl-radical. Suitable reaction media are, for example, the ones given above.

Solvates, preferably hydrates, of the Benzoxazinone-derived sulphonamide compounds of general formula (Ib) or of the salts thereof may also be obtained by standard procedures known to those skilled in the art.

If the Benzoxazinone-derived compounds of general formula (Ib) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

The purification and isolation of the Benzoxazinone-derived sulphonamide compounds of general formula (Ib) or a corresponding stereoisomer, or salt, or solvate respectively, if required, may be carried out by conventional methods known to those skilled in the art, e.g. chromatographic methods or recrystallization.

If one or more of the residues R<sup>1c</sup>, R<sup>3c</sup>, R<sup>4c</sup> and R<sup>5c</sup> represents an alkyl radical, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If R<sup>1c</sup> represents a phenyl radical or a benzyl radical, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl and branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy.

If R<sup>2c</sup> represents a saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which is substituted with one or more substituents and/or if it comprises a saturated or unsaturated, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, which is substituted with one or more substituents, unless defined otherwise, each of

the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy and benzyl, preferably from the group consisting of branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bicyclic cycloaliphatic ringsystem may, independent from one another, preferably be selected from the group consisting of nitrogen, sulphur and oxygen, more preferably the heteroatom is nitrogen.

If R<sup>4c</sup> and R<sup>5c</sup> together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least one further heteroatom as ring member containing heterocyclic ring, which is substituted with one or more substituents and/or which is condensed with a saturated or unsaturated, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, which is substituted with one or more substituents, unless otherwise defined, each of the substituents, may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy and benzyl, preferably from the group consisting of branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl and benzyl. If the heterocyclic ring contains one or more further heteroatoms and/or one or both of the mono- or bicyclic rings contain one or more heteroatoms, these heteroatoms may, independent from one another, preferably be selected from the group consisting of nitrogen, sulphur and oxygen, more preferably the heteroatom is nitrogen.

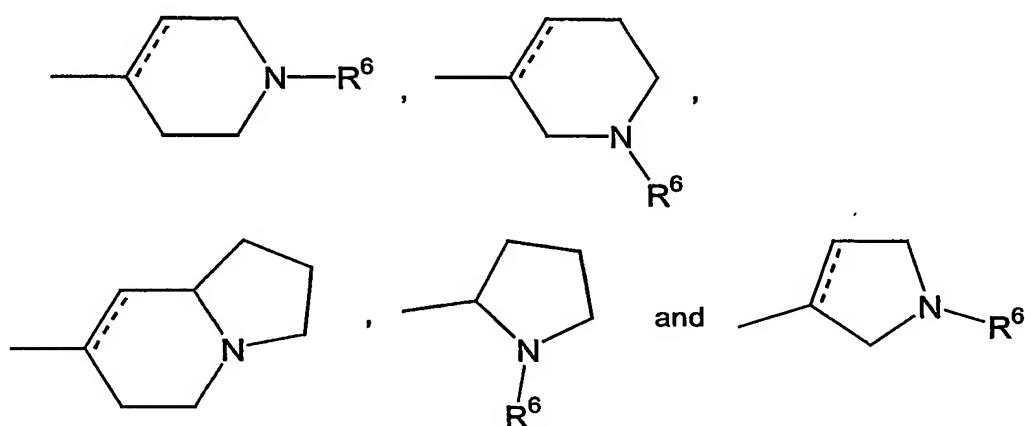
If A<sup>c</sup> represents a mono- or polycyclic aromatic ringsystem, which is substituted with one or more substituents, and which may be bonded via an optionally at least mono-substituted alkylene-, alkenylene- or alkynylene group and/or may contain at least one heteroatom as a ring member, unless otherwise defined, each of the substituents, may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy, an optionally at least mono-substituted phenyl radical and 5- or 6 membered heteroaryl, preferably from the group consisting of halogen, branched or

unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of fluorine, chlorine, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, an optionally at least mono-substituted phenyl radical, and 5- or 6-membered heteroaryl. If one or more of the rings of the mono- or polycyclic aromatic ringsystem contains one or more heteroatoms, these heteroatoms – like the heteroatoms of the afore mentioned 5-or 6 membered heteroaryl radical – may preferably be selected from the group consisting of oxygen, sulphur and nitrogen. If the afore mentioned phenyl radical is itself substituted with one or more substituents, each of the substituents may preferably be selected from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkoxy, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR<sup>8c</sup>R<sup>9c</sup>-moiety, wherein R<sup>8c</sup> and R<sup>9c</sup>, identical or different, represent hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl.

If the afore mentioned alkylene-, alkenylene- or alkynylene group is substituted with one or more substituents, each of the substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy or an optionally at least mono-substituted phenyl radical. If said phenyl radical is itself substituted by one or more substituents, each of the substituents may preferably be selected from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkoxy, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR<sup>8c</sup>R<sup>9c</sup>-moiety, wherein R<sup>8c</sup> and R<sup>9c</sup>, identical or different, represent hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl.

Preferably used are sulphonamide derivatives of general formula (Ic), wherein R<sup>1c</sup> represents hydrogen, an optionally at least mono-substituted, linear or branched C<sub>1-4</sub>-alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical, preferably hydrogen, a linear or branched C<sub>1-4</sub>-alkyl radical or a benzyl radical, more preferably hydrogen, and R<sup>2c</sup> to R<sup>5c</sup>, A<sup>c</sup> and nc are as defined above.

Preference is also given to the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{2c}$  represents a  $-NR^{4c}R^{5c}$  moiety or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing 5- or 6-membered cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, preferably a  $-NR^{4c}R^{5c}$  moiety or a moiety selected from the group consisting of



wherein, if present, the dotted line represents an optional chemical bond and  $R^6$  represents hydrogen, a linear or branched  $C_1$ - $C_4$ -alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1c}$ ,  $R^{3c}$ - $R^{5c}$ ,  $A^c$  and  $nc$  are as defined above.

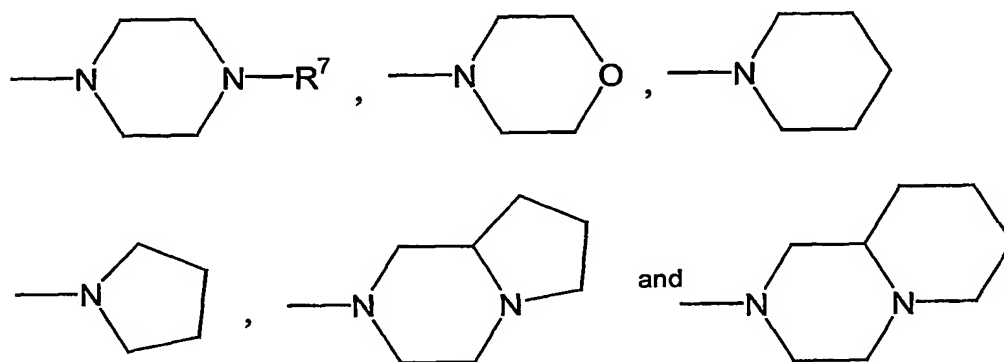
Also preferred is the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{3c}$  represents hydrogen or an optionally at least mono-substituted, linear or branched  $C_1$ - $C_4$ -alkyl radical, preferably hydrogen or a linear or branched  $C_1$ - $C_4$ -alkyl radical, more preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1c}$ ,  $R^{2c}$ ,  $R^{4c}$ ,  $R^{5c}$ ,  $A^c$  and  $nc$  are as defined above.

Furthermore, preference is also given to the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{4c}$  and  $R^{5c}$ , identical or different, represent hydrogen or an optionally at least mono-substituted, linear or branched  $C_1$ - $C_4$ -alkyl radical, or

$R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated or unsaturated, 5- or 6-membered heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic aliphatic ringsystem, wherein the ring(s) is/are 5-, 6- or 7-membered, and  $R^{1c}$ ,  $R^{2c}$ ,  $R^{3c}$ ,  $A^c$  and  $nc$  are as defined above.

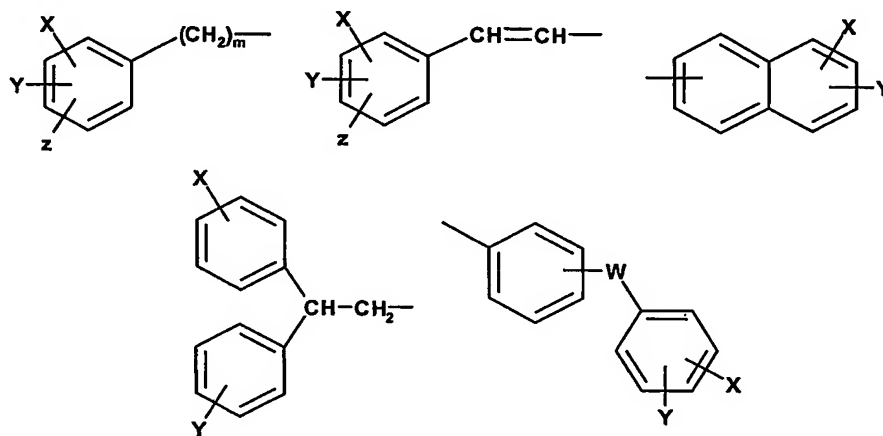
Particularly preferred is the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{4c}$  and  $R^{5c}$ , identical or different, represent hydrogen or a linear or branched  $C_1$ - $C_4$ -alkyl radical, preferably a linear or branched  $C_1$ - $C_4$ -alkyl radical, or

$R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form a moiety selected from the group consisting of



wherein  $R^7$  represents hydrogen, a linear or branched  $C_1$ - $C_4$ -alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1c}$ - $R^{3c}$ ,  $A^c$  and  $nc$  are as defined above.

Moreover, the use of sulphonamide derivatives of general formula (Ic) is preferred, wherein A<sup>c</sup> represents an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via a an optionally at least mono-substituted C<sub>1</sub>-C<sub>4</sub>-alkylene group, an optionally at least mono-substituted C<sub>2</sub>-C<sub>4</sub>-alkenylene or an optionally at least mono-substituted C<sub>2</sub>-C<sub>4</sub>-alkynylene group and/or may contain at least one heteroatom as a ring member, preferably an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered and wherein one or both of the rings contain(s) at least one heteroatom, or a moiety selected from the group consisting of



wherein X, Y, Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkoxy, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR<sup>8</sup>R<sup>9</sup>-moiety, wherein R<sup>8</sup> and R<sup>9</sup>, identical or different, represent hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl,

W represents a single chemical bond between the two rings, a CH<sub>2</sub>-group, O, S or a NR<sup>10</sup>-moiety, wherein R<sup>10</sup> is hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl and

m is 0, 1, 2, 3 or 4.

and R<sup>1c</sup>-R<sup>5c</sup> and nc are as defined above.

Most preferred is the use of one or more sulphonamide derivatives selected from the group consisting of:

- [1] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [2] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- [3] Hydrochloride N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- [4] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-3,5-dichlorobenzenesulphonamide,
- [5] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,
- [6] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,
- [7] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [8] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- [9] N-[3-(2-dimethylamino-ethyl)-1*H*-indol-5-yl]-6-chloroimidazo[2,1-*b*]thiazol-5-sulphonamide,
- [10] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [11] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide hydrochloride,
- [12] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- [13] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride,

- [14] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,
- [15] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,
- [16] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,
- [17] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-2-sulphonamide,
- [18] N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- [19] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [20] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-(2-pyridil)thiophene-2-sulphonamide,
- [21] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-2,1,3- benzothiadiazol-4-sulphonamide,
- [22] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,
- [23] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloronaphthalene-2-sulphonamide,
- [24] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenoxybenzenesulphonamide,
- [25] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,
- [26] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide,
- [27] N-[3-[2-(morpholin-4-yl)ethyl]-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

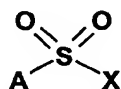
- [28] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}naphthalene-1-sulphonamide,
- [29] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,
- [30] N-[3-dimethylaminomethyl-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [31] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,
- [32] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [33] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [34] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,
- [35] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,
- [36] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-trans- $\beta$ -styrenesulphonamide,
- [37] N-[3-(4-methylpiperazin-1-yl)methyl-1H-indol-5-yl]-trans- $\beta$ -styrenesulphonamide,
- [38] N-[3-(octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [39] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-6-chloroimidazo[2,1-b]thiazol-5-sulphonamide,
- [40] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}naphthalene-2-sulphonamide,
- [41] N-[3-(4-methylpiperazin-1-yl)methyl-1H-indol-5-yl]- $\alpha$ -toluenesulphonamide,
- [42] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

- [43] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [44] N-[3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [45] N-[3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]naphthalene-1-sulphonamide,
- [46] N-[3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]naphthalene-2-sulphonamide,
- [47] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,
- [48] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,
- [49] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,
- [50] N-[3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl]quinoline-8-sulphonamide,
- [51] N-[3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl]-4-phenylbenzenesulphonamide,
- [52] N-[3-(4-methylpiperazin-1-yl)ethyl]-1H-indol-5-yl]naphthalene-2-sulphonamide and
- [53] N-[3-(4-methylpiperazin-1-yl)ethyl]-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide.

The sulphonamide derivatives of general formula (Ic), wherein  $R^{1c}$ ,  $R^{2c}$ ,  $R^{3c}$ ,  $nc$  and  $A^c$  have the above defined meaning, may preferably be prepared according to the following methods, wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $n$  and  $A$  are  $R^{1c}$ ,  $R^{2c}$ ,  $R^{3c}$ ,  $nc$  and  $A^c$ .

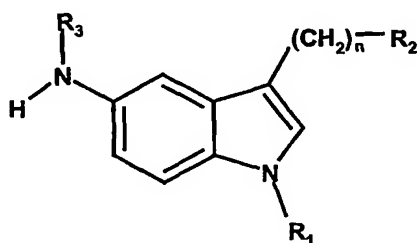
**METHOD A:**

At least one compound of general formula (IIc),



(IIc),

wherein A has the meaning as defined above in the general formula (Ic) and X is a suitable leaving group, preferably a halogen atom, more preferably chlorine; is reacted with at least one substituted 5-aminoindol of general formula (IIIc)



(IIIc)

wherein  $R_1$ ,  $R_2$ ,  $R_3$  and  $n$  have the meaning as defined above, or a suitably protected derivative thereof, and, if present, the protective groups are removed, in order to obtain the corresponding sulphonamide derivative of general formula (Ic), which may be purified and/or may be isolated by conventional methods known to those skilled in the art.

The reaction between the compounds of general formulas (IIc) and (IIIc) is usually carried out in the presence of an organic reaction medium, such as an dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofurane or dioxane, a halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, an aprotic dipolar solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Mixtures of at least two of the above mentioned classes of compounds or of at least two compounds of one class may, of course, also be used.

The reaction is preferably carried out in the presence of a suitable base, e.g. an inorganic base such as hydroxides and/or carbonates of alkali metals, or an organic base, particularly triethylamine or pyridine.

The most suitable reaction temperatures range from 0° C to ambient temperature, i.e. approximately 25 °C, and the reaction time is preferably from 5 minutes to 24 hours.

The resulting sulphonamide derivative of general formula (Ic) may be purified and/or isolated according to conventional methods known to those skilled in the art.

Preferably the sulphonamide derivatives of general formula (Ic) can be isolated by evaporating the reaction medium, adding water and eventually adjusting the pH so that it is obtained as a solid that can be isolated by filtration; or it can be extracted by a solvent immiscible with water, such as chloroform, and purified by chromatography or recrystallisation from a suitable solvent.

The compounds of general formula (IIc) are commercially available or can be prepared according to standard methods known to those skilled in the art, e.g. by methods analogous to those described in the literature [E.E. Gilbert, *Synthesis*, **1969**, 1, 3]. The compounds of general formula (IIIc) may also be prepared according to standard methods known to those skilled in the art, e.g. by methods analogous to those described in the literature [J.E. Macor, R. Post and K. Ryan, *Synt Comm.*, **1993**, 23, 1, 65-72.; J. Guillaume, C. Dumont, J. Laurent and N. Nédélec, *Eur. J. Med. Chem.*, **1987**, 22, 33-43; M.L. Saccarello, R. Stradi, *Synthesis*, **1979**, 727]. The respective literature descriptions are incorporated by reference and form part of the disclosure.

## **METHOD B**

The sulphonamide derivatives of general formula (Ic), wherein R<sup>1</sup>, R<sup>2</sup>, n and A are as defined above and R<sup>3</sup> represents an optionally at least mono-substituted, linear or branched C<sub>1</sub>-C<sub>4</sub> alkyl radical, may also be prepared by alkylation of a corresponding sulphonamide derivative of general formula (Ic), wherein R<sup>1</sup>, R<sup>2</sup>, n and A are as

defined above and  $R^3$  represents a hydrogen atom, with an alkyl halogenide or a dialkyl sulphate.

The alkylation reaction is preferably carried out in the presence of a suitable base, such as hydroxides and/or carbonates of alkali metals, metal hydrides, alkoxides such as sodium methoxide or potassium tert-butoxide, organometallic compounds such as butyl lithium or tert.-butyl lithium, in the presence of an organic reaction medium, such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, a hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, an aprotic dipolar solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Mixtures of at least two of the above mentioned classes of compounds and/or of at least two compounds of one class may, of course, also be used.

The most suitable reaction temperatures range from 0° C to the boiling point of the reaction medium, and reaction times preferably range from 1 to 24 hours.

The resulting sulphonamide derivative of general formula (Ic) can preferably be isolated by filtration, concentrating the filtrate at reduced pressure, adding water and eventually adjusting the pH so that it is obtained as a solid that can be isolated by filtration, or it can be extracted with a solvent immiscible in water such as chloroform and purified by chromatography or recrystallisation from a suitable solvent.

**METHOD C**

By condensation of a compound of general formula (Ic), wherein  $R_1$ ,  $R_3$ , and A are as defined above, n is 0 and  $R_2$  represents a hydrogen atom, with a suitably substituted 4-piperidone the corresponding compound of general formula (Ic) is obtained, wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a suitably substituted 1,2,3,6-tetrahydropyridine-4-yl radical.

The reaction can take place in both an acid and a basic reaction medium, preferably in a suitable solvent, preferably at temperatures ranging from 25 to 150°C.

Suitable basic conditions may be provided by the use of inorganic bases such as sodium or potassium hydroxide, or organic bases such as pyrrolidine or triethylamine in solvents such as methanol or ethanol. Preferably, solutions of sodium methoxide in methanol under reflux are used.

Reaction times range from 1 to 48 hours.

Suitable acidic conditions may be provided by the use of hydrochloric acid in ethanol or trifluoroacetic acid in acetic acid at temperatures ranging preferably from 50 to 100 °C and reaction times ranging from 1 to 48 hours.

The resulting sulphonamide derivative of general formula (Ic) can be isolated by dilution in water, eventually adjusting the pH, to obtain a solid that can be isolated by filtration; or it can be extracted with a solvent immiscible in water such as chloroform and purified by chromatography or by recrystallisation from a suitable solvent.

The compounds of general formula (Ic) wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a hydrogen atom, can be prepared according to the method A from a corresponding 5-aminoindol.

**METHOD D**

The compound of general formula (Ic) wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a suitably substituted 4-piperidiny radical, can be prepared by reducing a compound of general formula (Ic) wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a suitably substituted 1,2,3,6-tetrahydropyridin-4-yl radical prepared according to the method C.

Hydrogenation preferably takes place with the aid of a metallic catalyst such as palladium, platinum or rhodium on a suitable support such as carbon, aluminum oxide or barium sulphate, preferably palladium on carbon, with an initial hydrogen pressure of between 1 and 10 atmospheres, preferably between 2 and 5 atmospheres, in a solvent such as methanol or ethanol. The reaction time ranges from 1 hour to 3 days.

The resulting sulphonamide can be isolated by filtering the catalyst and concentrating the filtrate at reduced pressure. The product recovered can be used as is or it can be purified by chromatography or by recrystallisation from a suitable solvent.

**METHOD E**

The salts, preferably the pharmacologically acceptable salts of compounds with the general formula (Ic) can be prepared by conventional methods known to those skilled in the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulphuric, nitric acids or with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluensulphonic acid, methansulphonic acid, etc., in a suitable solvent such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone and obtained with the usual techniques of precipitation or crystallisation of the corresponding salts.

Preferred physiologically acceptable salts of the sulphonamide derivatives of general formula (Ic) are the additions salts of mineral acids, such as hydrochloric acid, hydrobromic acid, phosphoric acid, sulphuric acid, nitric acid, and of organic acids,

such as citric acid, maleic acid, tartaric acid or derivatives thereof, p-toluenesulphonic acid, methansulphonic acid, camphorsulphonic acid, etc.

The solvates, preferably the physiologically acceptable solvates, particularly hydrates, of the sulphonamide derivatives of general formula (Ic) or of the corresponding physiologically acceptable salts may be prepared by conventional methods known to those skilled in the art.

During one of the synthesis sequences described above, or in the preparation of suitable reactands used it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules employed. This can be performed by means of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed J. F.W. McOmie, Plenum Press, **1973**; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, **1991**]. The protective groups can be eliminated in a suitable latter stage by methods known to those skilled in the art. The respective literature descriptions are hereby incorporated by reference and form part of the disclosure.

If the sulphonamide derivatives of general formula (Ic) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

If one or more of the  $R^{2d}$ - $R^{9d}$  moieties are an alkyl radical which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If  $R^{1d}$  is a saturated or unsaturated cycloaliphatic radical, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of

hydroxy, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi- cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as an heteroatom.

If R<sup>8d</sup> and R<sup>9d</sup> together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi- cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

If A<sup>d</sup> is a mono or poly-cyclic aromatic ring system, which is substituted by one or more substituents, which can be bonded by means of an alkylene, alkenylene or alkynylene group, which is optionally at least monosubstituted, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl optionally at least monosubstituted and heteroaryl of 5 or 6 members, much more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-

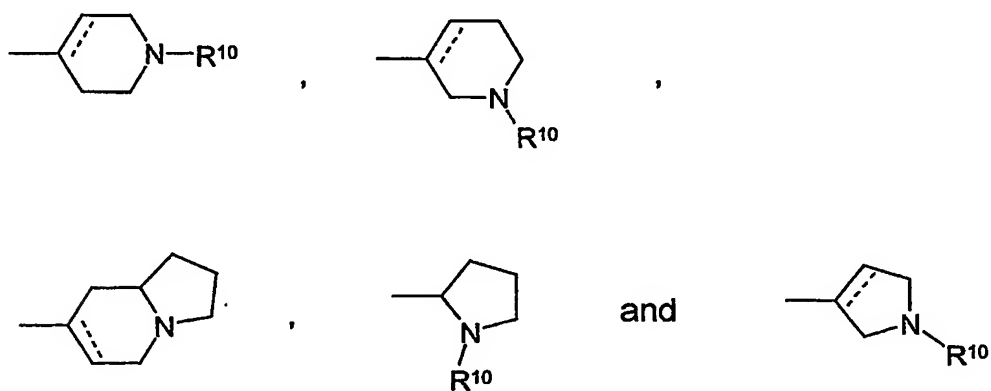
C<sub>6</sub> alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6 members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12d</sup>R<sup>13d</sup> radical, wherein R<sup>12d</sup> and R<sup>13d</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

The substituents for A<sup>d</sup> may preferably be selected from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, -O-phenyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, even more preferably from the group consisting of fluorine, chlorine, -O-phenyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of these substituents may preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and a -NR<sup>12d</sup>R<sup>13d</sup> radical, wherein R<sup>12d</sup> and R<sup>13d</sup>, identical or different, represent hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is itself substituted by one or more substituents, each one of the

substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12d</sup>R<sup>13d</sup> radical, wherein R<sup>12d</sup> and R<sup>13d</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Sulfonamide derivatives of general formula (Id) are preferred, wherein R<sup>1d</sup> is an -NR<sup>8d</sup>R<sup>9d</sup> radical or a saturated or unsaturated, optionally at least monosubstituted cycloaliphatic radical of 5 or 6 members, which can optionally contain at least one heteroatom as a ring member, and which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5 or 6 members, preferably an -NR<sup>8d</sup>R<sup>9d</sup> radical or a radical chosen from the group consisting of



where, if present, the dotted line is an optional chemical bond, and R<sup>10</sup> is hydrogen, a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl radical or a benzyl radical, preferably hydrogen or a C<sub>1</sub>-C<sub>2</sub> alkyl radical, and R<sup>2d</sup>-R<sup>9d</sup>, A<sup>d</sup> and nd are defined as above.

Sulfonamide derivatives of general formula (Id) are also preferred, wherein R<sup>2d</sup>, R<sup>3d</sup>, R<sup>5d</sup>, R<sup>6d</sup> and R<sup>7d</sup> are hydrogen, a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl radical, a linear or branched C<sub>2</sub>-C<sub>6</sub> alkenyl radical, or a linear or branched C<sub>2</sub>-C<sub>6</sub> alkynyl radical, preferably hydrogen and R<sup>1d</sup>, R<sup>4d</sup>, R<sup>8d</sup>, R<sup>9d</sup>, A<sup>d</sup> and nd are defined as above.

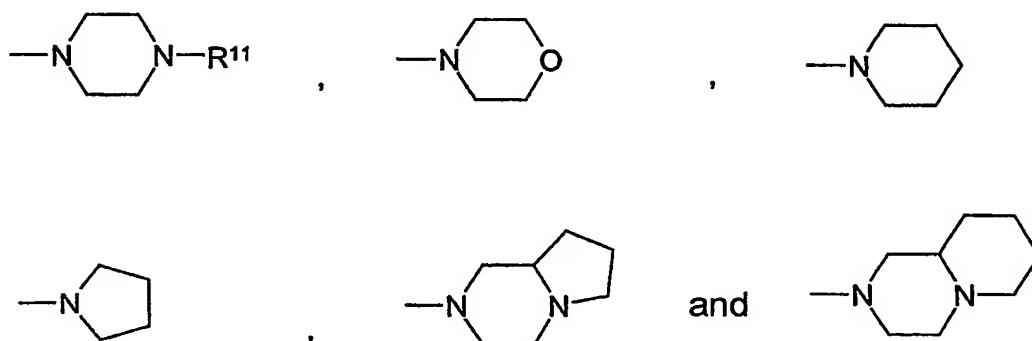
The use of sulfonamide derivatives of general formula (Id) is also preferred, wherein  $R^{4d}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, preferably hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, more preferably hydrogen or  $C_1$ - $C_2$  alkyl radical and  $R^{1d}$ - $R^{3d}$ ,  $R^{5d}$ - $R^{9d}$ ,  $A^d$  and  $nd$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Id) are also preferred, wherein  $R^{8d}$  and  $R^{9d}$ , identical or different, are hydrogen or a linear or branched optionally at least monosubstituted  $C_1$ - $C_6$  alkyl radical, or

$R^{8d}$  and  $R^{9d}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, which is optionally at least mono-substituted, which can contain at least one additional heteroatom as a ring member, and/or which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5, 6 or 7 members, and  $R^{1d}$ - $R^{7d}$ ,  $A^d$  and  $nd$  are defined as above.

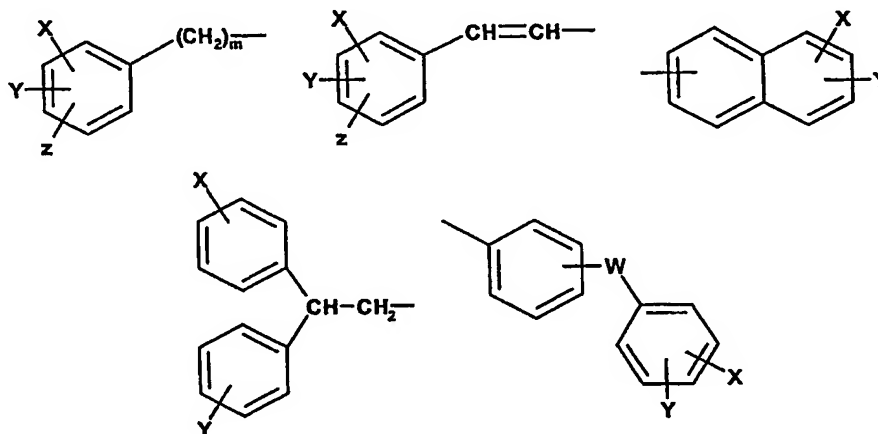
Particularly preferred is the use of sulfonamide derivatives of general formula (Id), wherein  $R^{8d}$  and  $R^{9d}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

$R^{8d}$  and  $R^{9d}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



wherein  $R^{11}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1d}$ - $R^{9d}$ ,  $A^d$  and  $nd$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Id) are preferred, wherein  $A^d$  is a mono or poly-cyclic aromatic ring system, which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members and which can be bonded by means of an optionally at least monosubstituted  $C_1$ - $C_6$  alkylene group, an optionally at least monosubstituted  $C_2$ - $C_6$  alkenylene group or an optionally at least monosubstituted  $C_2$ - $C_6$  alkynylene group, and/or which may contain at least one heteroatom as a ring member, preferably a mono or poly-cyclic aromatic ring system which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members and in which one or more of the rings contain(s) at least one heteroatom or a radical chosen from the group consisting of



in which X, Y and Z are each one independently chosen from a group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, trifluoromethyl radical, cyano radical and an  $NR^{12}R^{13}$  radical, in which  $R^{12}$  and  $R^{13}$ , identical or different, are hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

W is a single chemical bond between the two rings, a  $CH_2$ , O, S group or an  $NR^{14}$  radical, wherein  $R^{14}$  is hydrogen or linear or branched  $C_1$ - $C_6$  alkyl, and

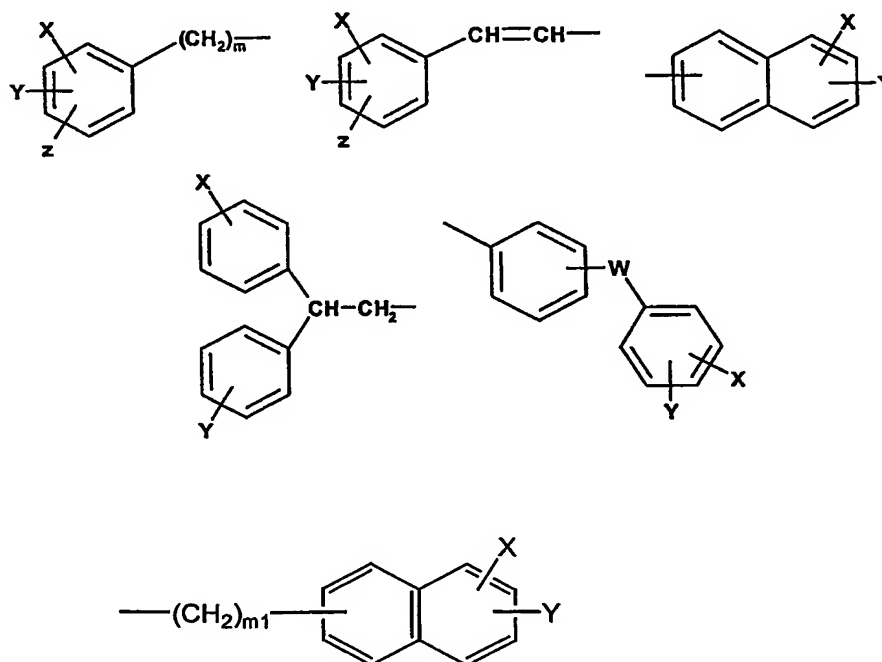
m is 0, 1, 2, 3 or 4;

and  $R^{1d}$ - $R^{11d}$  and  $nd$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Id) are preferred,  $A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably  $A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and a  $-NR^{12}R^{13}$  radical,

wherein  $R^{12}$  and  $R^{13}$ , identical or different, each represent hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

W represents a single chemical bond between the two rings, a  $CH_2$ , O, S group or a  $NR^{14}$  radical,

wherein  $R^{14}$  is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl,

m is 0, 1, 2, 3 or 4 and

m1 is 1 or 2, preferably 2, and  $R^{1d}$ - $R^{9d}$  and nd are defined as above.

Also, particularly preferred are compounds of general formula (Id) given above,

wherein

$R^{1d}$  represents a  $-NR^{8d}R^{9d}$  radical,

$R^{2d}$ ,  $R^{3d}$ ,  $R^{5d}$ ,  $R^{6d}$  and  $R^{7d}$  each represent hydrogen,

$R^{4d}$  represents hydrogen,

$R^{8d}$  and  $R^{9d}$ , identical or different, each represent methyl, ethyl, n-propyl, iso-propyl, more preferably methyl,

and

$A^d$  represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, benzo[b]thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of chlorine, methyl, phenyl and -O-phenyl and/or which may be bonded via a  $C_{1-2}$  alkylene group, and

nd is 2;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

The most preferred compounds of general formula (Id) may be selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenylbenzenesulfonamide,
- [5] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-2-(naphthalene-1-yl)ethanesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenoxybenzenesulfonamide,
- [7] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-3,5-dichlorobenzenesulfonamide and

and their corresponding salts and solvates.

Furthermore, the most preferred compounds of general formula (Id) may be selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenylbenzenesulfonamide,
- [5] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-2-(naphthalene-1-yl)-ethanesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenoxybenzenesulfonamide,
- [7] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-3,5-dichlorobenzenesulfonamide and
- [8] 6-chloro-N-[1-(2-dimethylaminoethyl)-1H-indol-4-yl]-imidazo[2,1-b]thiazole-5-sulfonamide

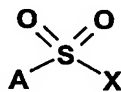
and their corresponding salts and solvates.

The present invention likewise refers to the salts, preferably the physiologically acceptable salts of the compounds of general formula (Id), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (IId) and (IIId) are  $R^{1d}$ - $R^{7d}$ ,  $A^d$  and  $nd$ .

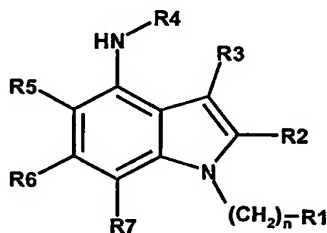
The derivatives of general formula (Id), wherein  $R^{1d}$ - $R^{9d}$ , nd and  $A^d$  have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (IId),



(IId)

wherein A has the previously mentioned meaning in the general formula (Id), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 4-aminoindole of general formula (IIId)



(IIId)

wherein  $R^1$ - $R^7$  and nd have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (Id), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction between the compounds of general Formula (IId) and (IIId) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium.

Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine or pyridine.

The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

The resulting sulfonamide derivative of general Formula (Id) can be purified and/or isolated according to conventional methods known in the state of the art.

Preferably, the sulfonamide derivatives of general Formula (Id) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (IId) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E.Gilbert, *Synthesis*, 1969, 1, 3]. The compounds of general formula (IIId) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in: [Abou-Gharbia, Magid; Patel, Usha; Tokolics, Joseph; Freed, Meier. *European Journal of Medicinal Chemistry* (1988), 23(4), 373-7].

The sulfonamide derivatives of general Formula (Id), wherein  $R^{1d}$ - $R^{3d}$ ,  $R^{5d}$ - $R^{9d}$ , nd and  $A^d$  have the previously indicated meaning and  $R^{4d}$  is an alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general Formula (Id), wherein  $R^{1d}$ - $R^{3d}$ ,  $R^{5d}$ - $R^{9d}$ , nd and  $A^d$  have the previously indicated meaning, and  $R^{4d}$  is an hydrogen atom, with an alkyl halogenide or a dialkyl sulfate.

The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides, alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The most suitable reaction temperatures range between 0°C and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

Preferably, the resulting sulfonamide derivative of general Formula (Id) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The pharmaceutically acceptable salts of the compounds of general formula (Id), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Id) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic,

methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Id) or of the corresponding, physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (Id) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

If one or more of the  $R^{2e}$ - $R^{9e}$  moieties are an alkyl radical which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If  $R^{1e}$  is a saturated or unsaturated cycloaliphatic radical, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl,

linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi- cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as a heteroatom.

If R<sup>8e</sup> and R<sup>9e</sup> together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, more preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi- cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

If A<sup>e</sup> is a mono or poly-cyclic aromatic ring system, which is substituted by one or more substituents, and which can be bonded by means of an optionally at least monosubstituted alkylene, alkenylene or alkynylene group, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl optionally at least monosubstituted and heteroaryl of 5 or 6 members, much more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6

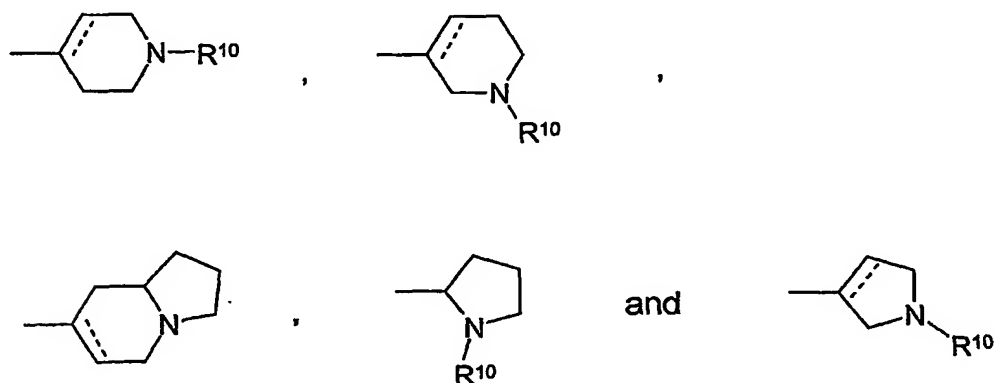
members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12e</sup>R<sup>13e</sup> radical, wherein R<sup>12e</sup> and R<sup>13e</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Preferably the substituents for A<sup>e</sup> may also be selected from the group consisting of nitro, -O-phenyl, -O-C<sub>1-6</sub> alkyl, -C(=O)-C<sub>1-6</sub> alkyl, hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of nitro, -O-phenyl, -C(=O)-C<sub>1-6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, even more preferably from the group consisting of nitro, -O-phenyl, -O-CH<sub>3</sub>, -C(=O)-CH<sub>3</sub>, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of these substituents may preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and a -NR<sup>12e</sup>R<sup>13e</sup> radical, wherein R<sup>12e</sup> and R<sup>13e</sup>, identical or different, represent hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said

phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12e</sup>R<sup>13e</sup> radical, wherein R<sup>12e</sup> and R<sup>13e</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Sulfonamide derivatives of general formula (Ie) are preferred, wherein R<sup>1e</sup> is an -NR<sup>8e</sup>R<sup>9e</sup> radical or a saturated or unsaturated cycloaliphatic radical of 5 or 6 members, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member and wherein the ring/rings is/are of 5 or 6 members, preferably an -NR<sup>8e</sup>R<sup>9e</sup> radical or a radical chosen from the group consisting of



where, if present, the dotted line is an optional chemical bond, and R<sup>10</sup> is hydrogen, a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl radical or a benzyl radical, preferably hydrogen or a C<sub>1</sub>-C<sub>2</sub> alkyl radical, and R<sup>2e</sup>-R<sup>9e</sup>, A<sup>e</sup> and ne are defined as above.

Sulfonamide derivatives of general formula (Ie) are also preferred, wherein R<sup>2e</sup>, R<sup>3e</sup>, R<sup>4e</sup>, R<sup>6e</sup> and R<sup>7e</sup>, are hydrogen, a linear or branched C<sub>2</sub>-C<sub>6</sub> alkyl radical, a linear or branched C<sub>1</sub>-C<sub>6</sub> alkenyl radical, or a linear or branched C<sub>2</sub>-C<sub>6</sub> alkynyl radical, preferably hydrogen and R<sup>1e</sup>, R<sup>5e</sup>, R<sup>8e</sup>, R<sup>9e</sup>, A<sup>e</sup> and ne are defined as above.

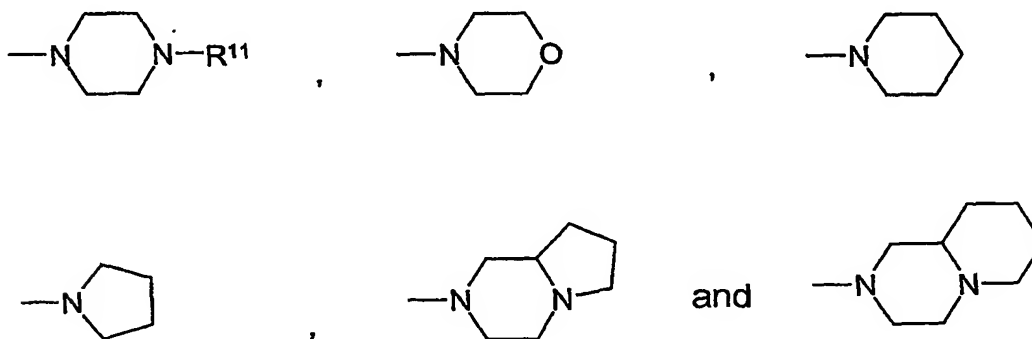
The use of sulfonamide derivatives of general formula (Ie) is also preferred, wherein  $R^{5e}$ , is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, preferably hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, more preferably hydrogen or an  $C_1$ - $C_2$  alkyl radical and  $R^{1e}$ - $R^{4e}$ ,  $R^{6e}$ - $R^{9e}$ ,  $A^e$  and  $ne$  are defined as above.

Furthermore, the use of sulfonamide derivatives of general formula (Ie) is also preferred, wherein  $R^{8e}$  and  $R^{9e}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, or

$R^{8e}$  and  $R^{9e}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, which is optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5, 6 or 7 members, and  $R^{1e}$ - $R^{7e}$ ,  $A^e$  and  $ne$  are defined as above.

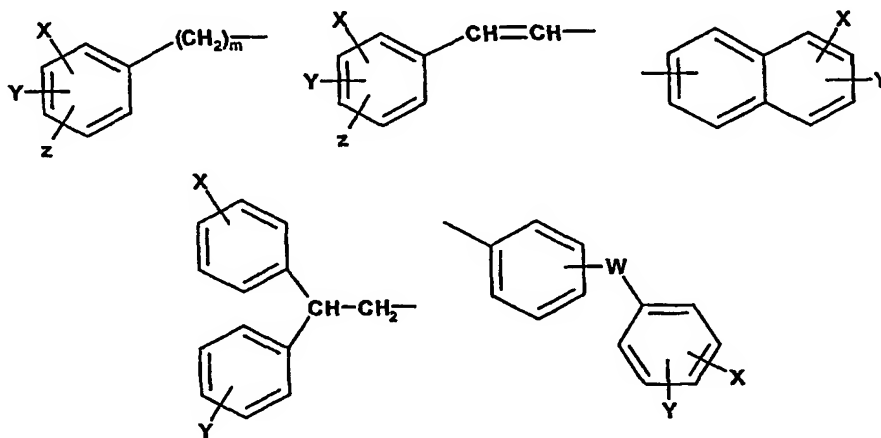
Particularly preferred is the use of sulfonamide derivatives of general formula (Ie), wherein  $R^{8e}$  and  $R^{9e}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

$R^{8e}$  and  $R^{9e}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



wherein  $R^{11}$ , if it is present, is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1e}$ - $R^{9e}$ ,  $A^e$  and  $ne$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ie) are preferred, wherein  $A^e$  is a mono or poly-cyclic aromatic ring system, which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members, which can be bonded by means of an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least monosubstituted  $C_2$ - $C_6$  alkenylene group, or an optionally at least monosubstituted  $C_2$ - $C_6$  alkynylene group, and/or can contain at least one heteroatom as a ring member, preferably a mono or poly-cyclic aromatic ring system which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members and in which one or more of the rings contain(s) at least one heteroatom or a radical chosen from the group consisting of



in which X, Y and Z are each one independently chosen from a group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, trifluoromethyl radical, cyano radical and an  $NR^{12}R^{13}$  radical, in which  $R^{12}$  and  $R^{13}$ , identical or different, are hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

W is a single chemical bond between the two rings, a  $CH_2$ , O, S group or an  $NR^{14}$  radical, wherein  $R^{14}$  is hydrogen or linear or branched  $C_1$ - $C_6$  alkyl, and

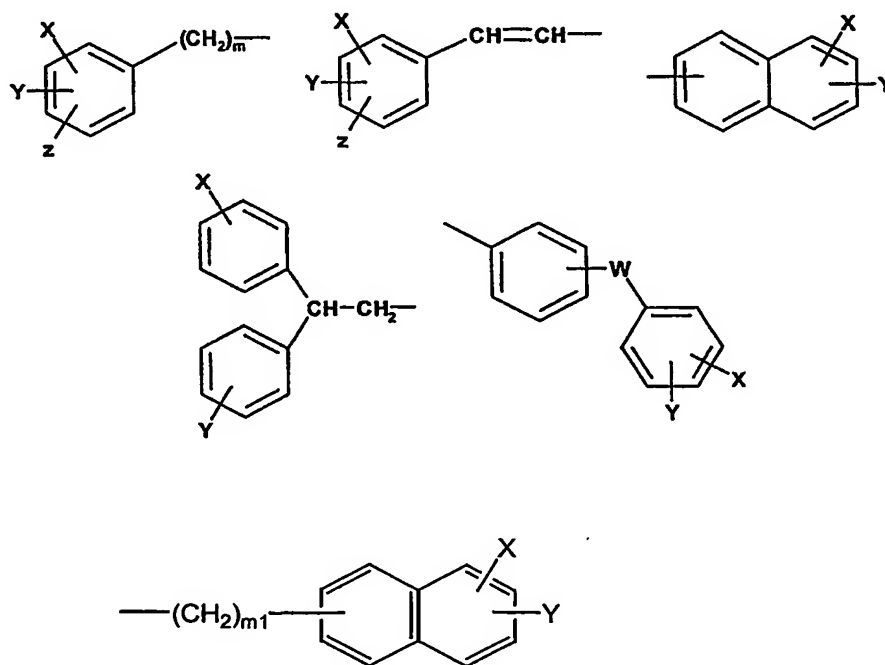
m is 0, 1, 2, 3 or 4;

and  $R^{1e}$ - $R^{11e}$  and ne are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ie) are preferred, wherein  $A^e$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably  $A^e$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, nitro, acetyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and a -NR<sup>12</sup>R<sup>13</sup> radical,

wherein R<sup>12</sup> and R<sup>13</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

W represents a single chemical bond between the two rings, a CH<sub>2</sub>, O, S group or a NR<sup>14</sup> radical,

wherein R<sup>14</sup> is hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

m is 0, 1, 2, 3 or 4 and

m<sub>1</sub> is 1 or 2, preferably 2, and R<sup>1e</sup>-R<sup>11e</sup> and n<sub>e</sub> are defined as above.

Also preferred are compounds of general formula (Ie),

wherein

R<sup>1e</sup> represents a -NR<sup>8e</sup>R<sup>9e</sup> radical,

R<sup>2e</sup> represents hydrogen or an alkyl radical selected from the group consisting of methyl, ethyl, n-propyl and iso-propyl, more preferably hydrogen or methyl,

R<sup>3e</sup>, R<sup>4e</sup>, R<sup>6e</sup> and R<sup>7e</sup> each represent hydrogen,

R<sup>5e</sup> represents hydrogen,

R<sup>8e</sup> and R<sup>9e</sup>, identical or different, each represent methyl, ethyl, n-propyl or iso-propyl, more preferably methyl or ethyl,

or

R<sup>8e</sup> and R<sup>9e</sup> together with the bridging nitrogen form a 5- or 6-membered heterocyclic ring, more preferably form pyrrolidine or piperidine,

A<sup>e</sup> represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, quinoliny, benzo[b]thiophenyl, benzo[1,2,5]thiadiazolyl, thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of fluorine, bromine, chlorine, methyl, phenyl, nitro, -C(=O)-CH<sub>3</sub>, -O-CH<sub>3</sub> and -O-phenyl and/or which may be bonded via a C<sub>1-2</sub> alkylene group or a C<sub>2</sub> alkenylene group,

and

ne is 2 or 3,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

The most preferred compounds of general formula (Ie) may be selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloronaphthalene-1-sulfonamide,

- [5] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzenesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-quinoline-8- sulfonamide,
- [7] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-phenoxybenzenesulfonamide,
- [8] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methylbenzenesulfonamide,
- [9] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chlorothiophene-2-sulfonamide,
- [10] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo[1,2,5]thiadiazole-4-sulfonamide,
- [11] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [12] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3,5-dichlorobenzenesulfonamide,
- [13] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-bromobenzenesulfonamide,
- [14] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-nitrobenzenesulfonamide,
- [15] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-1-phenylmethanesulfonamide,
- [16] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- [17] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,
- [18] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]- 5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [19] *trans*-N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-2-phenylethenesulfonamide,

- [20] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4,5-dichlorothiophene-2-sulfonamide,
- [21] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-acetylbenzenesulfonamide,
- [22] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-bromobenzenesulfonamide,
- [23] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methoxybenzenesulfonamide,
- [24] N-[3-(2-diethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [25] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-nitrobenzenesulfonamide,
- [26] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-fluorobenzenesulfonamide,
- [27] N-[1-(2-diethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [28] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]- ]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

The most preferred compounds of general formula (Ie) may also be selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloronaphthalene-1-sulfonamide,

- [5] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzenesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-quinoline-8- sulfonamide,
- [7] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-phenoxybenzenesulfonamide,
- [8] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methylbenzenesulfonamide,
- [9] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chlorothiophene-2-sulfonamide,
- [10] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo[1,2,5]thiadiazole-4-sulfonamide,
- [11] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [12] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3,5-dichlorobenzenesulfonamide,
- [13] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-bromobenzenesulfonamide,
- [14] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-nitrobenzenesulfonamide,
- [15] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-1-phenylmethanesulfonamide,
- [16] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- [17] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,
- [18] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]- 5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [19] *trans*-N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-2-phenylethenesulfonamide,

- [20] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4,5-dichlorothiophene-2-sulfonamide,
- [21] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-acetylbenzenesulfonamide,
- [22] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-bromobenzenesulfonamide,
- [23] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methoxybenzenesulfonamide,
- [24] N-[3-(2-diethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [25] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-nitrobenzenesulfonamide,
- [26] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-fluorobenzenesulfonamide,
- [27] N-[1-(2-diethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [28] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [29] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-naphthalene-2-sulfonamide,
- [30] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-naphthalene-1-sulfonamide,
- [31] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-4-phenylbenzenesulfonamide,
- [32] 5-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-3-methylbenzo[b]thiophene-2-sulfonamide,
- [33] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-2-sulfonamide,

- [34] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-1-sulfonamide,
- [35] 6-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide,
- [36] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenylbenzenesulfonamide,
- [37] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-2-(naphth-1-yl)ethanesulfonamide,
- [38] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenoxybenzenesulfonamide,
- [39] 3,5-dichloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)benzenesulfonamide,
- [40] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide,
- [41] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide and
- [42] N-(1-(2-(dimethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide,
- [43] 5-chloro-3-methyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzo[b]thiophene-2-sulfonamide,
- [44] N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-2-sulfonamide,
- [45] N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide,

- [46] 6-chloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide,
- [47] 4-phenyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide,
- [48] 2-(naphth-1-yl)-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)ethanesulfonamide,
- [49] 4-phenoxy-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide,
- [50] 3,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonylamide,
- [51] 4,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)thiophene-2-sulfonamide and
- [52] 5-chloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide,

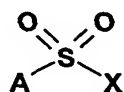
and their corresponding salts and solvates.

The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (Ie), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (Ile) to (Ve) are  $R^{1e}$ - $R^{7e}$ ,  $A^e$  and ne.

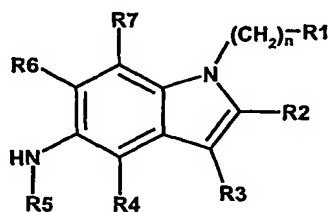
The derivatives of general formula (Ie), wherein  $R^{1e}$ - $R^{9e}$ , ne and  $A^e$  have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (Ile),



(Ile)

wherein A has the previously mentioned meaning in the general formula (Ie), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 5-aminoindole of general formula (IIIe)



(IIIe)

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (Ie), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction between the compounds of general Formula (Ile) and (IIIe) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine, N-ethyldiisopropylamine or pyridine.

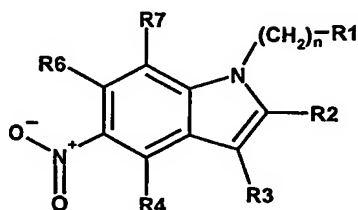
The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

The resulting sulfonamide derivative of general Formula (Ie) can be purified and/or isolated according to conventional methods known in the state of the art.

Preferably, the sulfonamide derivatives of general Formula (Ie) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (Ile) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E.Gilbert, Synthesis, 1969, 1, 3]. The compounds of general formula (IIle) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature: Pigerol, Charles; De Cointet de Fillain, Paul; Eymard, Pierre; Werbenec, Jean Pierre; Broll, Madeleine. (Labaz S. A., Fr.). Ger. Offen. (1977). DE 2727047 19771229. Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias. Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes. WO 0315769 A1 20030227. One of them consists of nitro group reduction of derivatives of general formula (IVe) by methods known in the art, as for example: BRATTON, L. D.; ROTH, B. D.; TRIVEDI, B. K.; UNANGST, P. C.; J Heterocycl Chem , 2000, 37 (5), 1103-1108. FANGHAENEL, E.; CHTCHEGLOV, D.; J Prakt Chem/Chem-Ztg, 1996, 338 (8), 731-737. KUYPER, L. F.; BACCANARI, D. P.; JONES, M. L.; HUNTER, R. N.; TANSIK, R. L.; JOYNER, S.

S.; BOYTOS, C. M.; RUDOLPH, S. K.; KNICK, V.; WILSON, H. R.; CADDELL, J. M.; FRIEDMAN, H. S.; ET AL.; J Med Chem, 1996, 39 (4), 892-903,

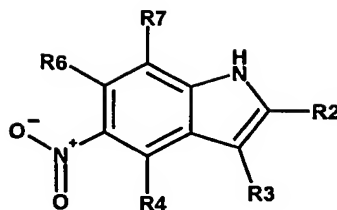


(IVe)

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (IIIe), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The compounds of general formula (IVe) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature: Journal of Heterocyclic Chemistry, 37(5), 1103-1108; 2000; Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias. Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes WO 0315769 A1 20030227; Baxter, Andrew; Brough, Stephen; Mcinally, Thomas; Mortimore, Michael; Cladingboel, David. Preparation of N-aryl-1-adamantaneacetamides and analogs as purinergic P2Z receptor antagonists WO 9929660 A1 19990617 ; Pigerol, Charles; De Cointet de Fillain, Paul; Eymard, Pierre; Werbenec, Jean Pierre; Broll, Madeleine. Indole derivatives. Ger. Offen. (1977), DE 2727047 19771229

One of them consists in the alkylation of nitro derivatives of general formula (Ve) by methods known in the art, as for example: BHAGWAT, S. S.; GUDE, C.; Tetrahedron Lett, 1994, 35 (12), 1847-1850. BRATTON, L. D.; ROTH, B. D.; TRIVEDI, B. K.; UNANGST, P. C.; J Heterocycl Chem, 2000, 37 (5), 1103-1108



(Ve)

wherein  $R^2$ - $R^7$  and  $n$  have the previously mentioned meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (Ile), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The compounds of general formula (Ve) are commercially available or can also be prepared according to standard methods known in the state of the art, as for example YAMASHKIN, S. A.; YUROVSKAYA, M. A.; Chem Heterocycl Compd (N Y) 1999, 35 (12), 1426-1432. OTTONI, O.; CRUZ, R.; KRAMMER, N. H.; Tetrahedron Lett, 1999, 40 (6), 1117-1120. EZQUERRA, J.; PEDREGAL, C.; LAMAS, C.; BARLUENGA, J.; PEREZ, M.; GARCIA-MARTIN, M. A.; GONZALEZ, J. M.; J Org Chem, 1996, 61 (17), 5804-5812. FADDA, A. A.; Indian J Chem, Sect B: Org Chem Incl Med Chem, 1990, 29 (11), 1017-1019. KATRITZKY, A. R.; RACHWAL, S.; BAYYUK, S.; Org Prep Proced Int, 1991, 23 (3), 357-363. Inada, A.; Nakamura, Y.; Morita, Y.; Chem Lett, 1980, 1287.

The respective literature descriptions are incorporated by reference and form part of the disclosure.

The sulfonamide derivatives of general Formula (Ie), wherein  $R^{1e}$ - $R^{4e}$ ,  $R^{6e}$ - $R^{7e}$ ,  $A^e$ ,  $n^e$  and  $A^e$  have the previously indicated meaning and  $R^{5e}$  is an alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general Formula (Ie), wherein  $R^{1e}$ - $R^{4e}$ ,  $R^{6e}$ - $R^{7e}$ ,  $n^e$  and  $A^e$  have the previously indicated meaning, and  $R^{5e}$  is an hydrogen atom, with an alkyl halogenide or dialkyl sulfate.

The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides, alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The most suitable reaction temperatures range between 0°C and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

Preferably, the resulting sulfonamide derivative of general Formula (Ie) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The pharmaceutically acceptable salts of the compounds of general formula (Ie), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Ie) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic,

methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Ie) or of the corresponding physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (Ie) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

If one or more of the residues  $R^{2f}$ - $R^{9f}$  represents an alkyl radical, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If  $R^{1f}$  is a saturated or unsaturated cycloaliphatic radical, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl,

linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi- cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as an heteroatom.

If R<sup>8f</sup> and R<sup>9f</sup> together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi- cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

If A<sup>f</sup> is a mono or poly-cyclic aromatic ring system, substituted by one or more substituents, and which can be bonded by means of an optionally at least monosubstituted alkylene, alkenylene or alkynylene, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl optionally at least monosubstituted and heteroaryl of 5 or 6 members, more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6 members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more

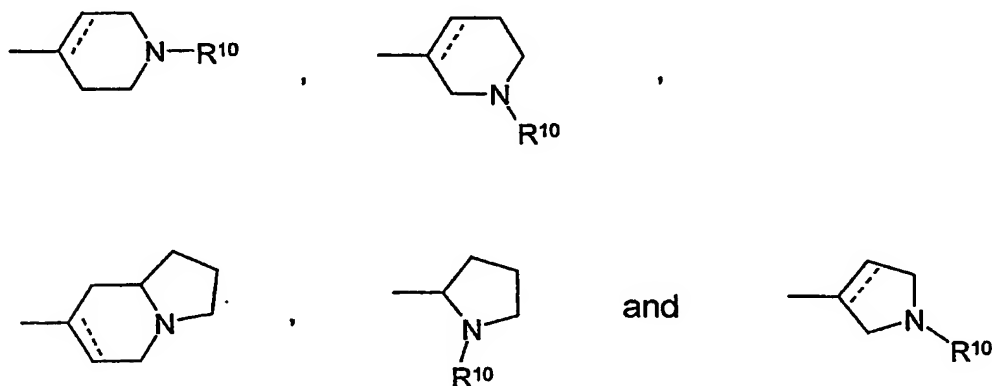
heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12f</sup>R<sup>13f</sup> radical, wherein R<sup>12f</sup> and R<sup>13f</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Preferably the substituents for A<sup>f</sup> may also be selected from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl and 5- to 6-membered heteroaryl, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, -O-phenyl, optionally at least mono-substituted phenyl and 5- to 6-membered heteroaryl, even more preferably from the group consisting of fluorine, chlorine, -O-phenyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, optionally at least mono-substituted phenyl and 5- to 6-membered heteroaryl. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of these substituents may preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and a -NR<sup>12f</sup>R<sup>13f</sup> radical, wherein R<sup>12f</sup> and R<sup>13f</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12f</sup>R<sup>13f</sup>

radical, wherein  $R^{12f}$  and  $R^{13f}$ , identical or different, are hydrogen or linear or branched  $C_1$ - $C_6$  alkyl.

Sulfonamide derivatives of general formula (If) are preferred, wherein  $R^{1f}$  is an  $-NR^{8f}R^{9f}$  radical or a saturated or unsaturated cycloaliphatic radical of 5 or 6 members, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5 or 6 members, preferably an  $-NR^{8f}R^{9f}$  radical or a radical chosen from the group consisting of



where, if present, the dotted line is an optional chemical bond, and  $R^{10}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{2f}$ - $R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

Sulfonamide derivatives of general formula (If) are also preferred, wherein  $R^{2f}$ ,  $R^{3f}$ ,  $R^{4f}$ ,  $R^{5f}$  and  $R^{7f}$  are hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical, a linear or branched  $C_2$ - $C_6$  alkenyl radical, or a linear or branched  $C_2$ - $C_6$  alkynyl radical, preferably hydrogen and  $R^{1f}$ ,  $R^{6f}$ ,  $R^{8f}$ ,  $R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

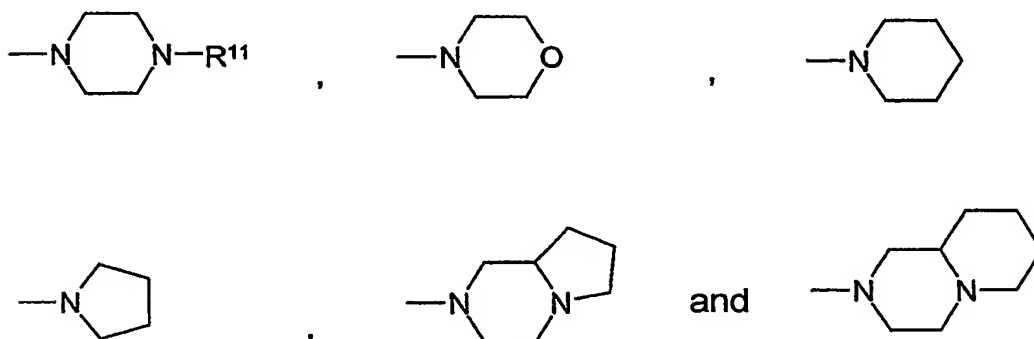
Sulfonamide derivatives of general formula (If) are also preferred, wherein  $R^{6f}$ , is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical, which is optionally at least monosubstituted, preferably hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, more preferably hydrogen or an  $C_1$ - $C_2$  alkyl radical and  $R^{1f}$ - $R^{5f}$ ,  $R^{7f}$ - $R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (If) are also preferred, wherein  $R^{8f}$  and  $R^{9f}$ , identical or different, are hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical, which is optionally at least monosubstituted, or

$R^{8f}$  and  $R^{9f}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, which is optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5, 6 or 7 members, and  $R^{1f}$ - $R^{7f}$ ,  $A^f$  and  $nf$  are defined as above.

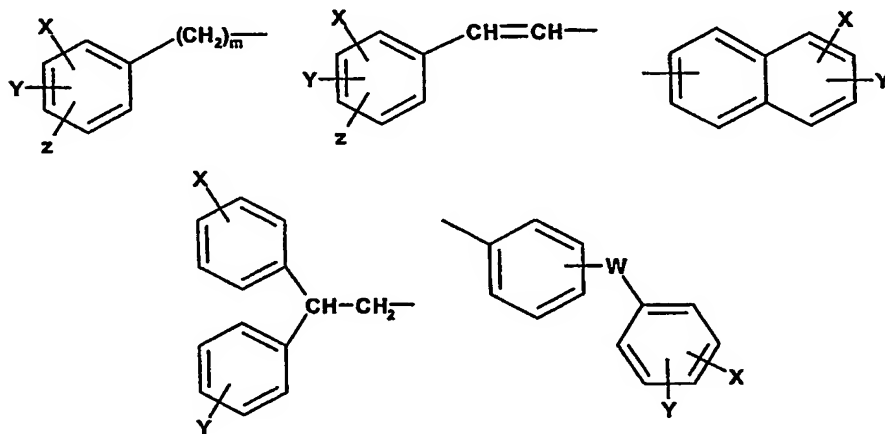
Particularly preferred are sulfonamide derivatives of general formula (If), wherein  $R^{8f}$  and  $R^{9f}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

$R^{8f}$  and  $R^{9f}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



wherein  $R^{11}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1f}$ - $R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (If) are preferred, wherein  $A^f$  is a mono or poly-cyclic aromatic ring system, which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members and which can be bonded by means of an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least monosubstituted  $C_2$ - $C_6$  alkenylene group or optionally at least monosubstituted, or a  $C_2$ - $C_6$  alkynylene group and/or can contain at least one heteroatom as a ring member, preferably a mono or poly-cyclic aromatic ring system that is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members and in which one or more of the rings contain(s) at least one heteroatom or a radical chosen from the group consisting of



in which X, Y and Z are each one independently chosen from a group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, trifluoromethyl radical, cyano radical and an  $NR^{12f}R^{13f}$  radical, in which  $R^{12f}$  and  $R^{13f}$ , identical or different, are hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

W is a single chemical bond between the two rings, a  $CH_2$ , O, S group or an  $NR^{14}$  radical, wherein  $R^{14}$  is hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

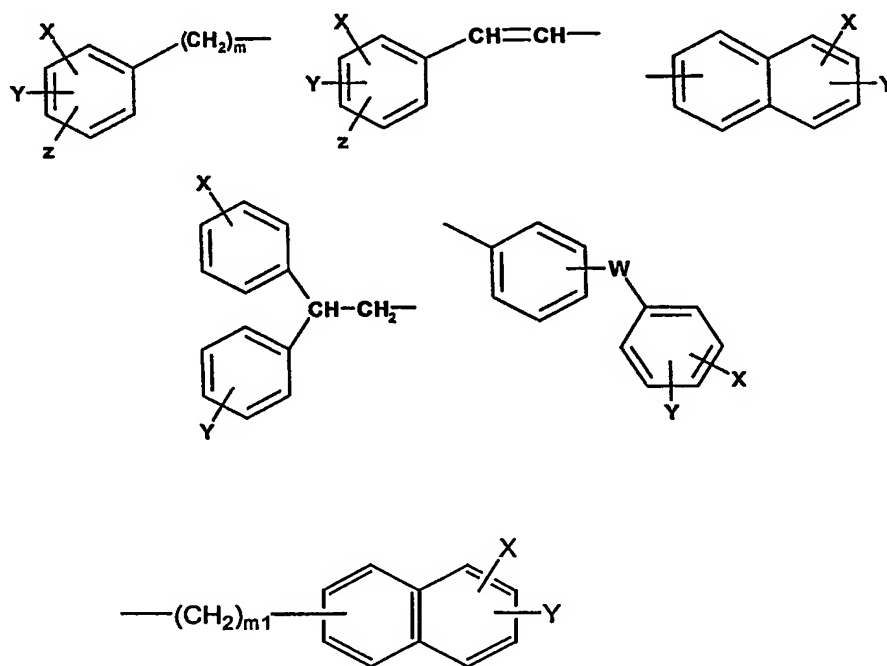
m is 0, 1, 2, 3 or 4;

and  $R^{1f}$ - $R^{11f}$  and  $nf$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (If) are preferred, wherein  $A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably  $A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and a -NR<sup>12</sup>R<sup>13</sup> radical,

wherein R<sup>12</sup> and R<sup>13</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

W represents a single chemical bond between the two rings, a CH<sub>2</sub>, O, S group or a NR<sup>14</sup> radical,

wherein R<sup>14</sup> is hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

m is 0, 1, 2, 3 or 4 and

m<sub>1</sub> is 1 or 2, preferably 2, and R<sup>1f</sup>-R<sup>9f</sup> and n<sub>f</sub> are defined as above.

Also preferred are compounds of general formula (If),

wherein

R<sup>1f</sup> represents a -NR<sup>8f</sup>R<sup>9f</sup> radical,

R<sup>2f</sup>, R<sup>3f</sup>, R<sup>4f</sup>, R<sup>5f</sup> and R<sup>7f</sup> each represent hydrogen,

R<sup>6f</sup> represents hydrogen,

R<sup>8f</sup> and R<sup>9f</sup>, identical or different, each represent methyl, ethyl, n-propyl or n-propyl, more preferably methyl,

or

R<sup>8f</sup> and R<sup>9f</sup>, together with the bridging nitrogen atom form a 5- or 6-membered heterocyclic ring, more preferably form a pyrrolidine ring or a piperidine ring

and

Af represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, benzo[b]thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of chlorine, methyl, phenyl and -O-phenyl and/or which may be bonded via a C<sub>1-2</sub> alkylene group,

and nf is 2,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

Those most preferred sulfonamide derivatives of general formula (If) may be selected from the group consisting of

- [1] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-2-sulfonamide,
- [3] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-1-sulfonamide,
- [4] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [5] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenylbenzenesulfonamide,
- [6] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-2-(naphthalene-1-yl)-

ethanesulfonamide,

[7] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenoxybenzenesulfonamide,

[8] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-3,5-dichlorobenzenesulfonamide,

and their corresponding salts and solvates.

Those most preferred sulfonamide derivatives of general formula (If) may also be selected from the group consisting of

[1] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,

[2] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-2-sulfonamide,

[3] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-1-sulfonamide,

[4] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

[5] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenylbenzenesulfonamide,

[6] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-2-(naphthalene-1-yl)-ethanesulfonamide,

[7] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenoxybenzenesulfonamide,

[8] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-3,5-dichlorobenzenesulfonamide,

[9] 5-Chloro-3-methyl-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-benzo[b]thiophene-2-sulfonamide,

- [10] N-(1-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-6-yl)-naphthyl-2-sulfonamide,
- [11] N-[1-[2-Pyrrolidin-1-yl]ethyl]-1H-indol-6-yl]-naphthalene-1-sulfonamide,
- [12] 6-Chloro-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-imidazo[2,1-b]thiazole-5-sulfonamide,
- [13] 4-Phenyl-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-benzenesulfonamide
- [14] 2-(Naphth-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-ethansulfonamide,
- [15] 4-Phenoxy-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-benzenesulfonamide  
and
- [16] 3,5-Dichloro-N-(1-(2-(pyrrolidin-1-yl)-1H-indol-6-yl)-benzenesulfonamide,

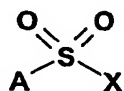
and their corresponding salts and solvates.

The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (If), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (If) to (Vf) are  $R^{1f}$ - $R^{7f}$ ,  $A^f$  and nf.

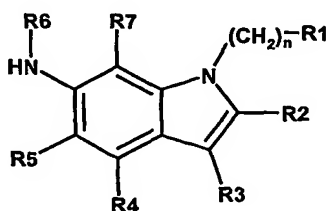
The derivatives of general formula (If), wherein  $R^{1f}$ - $R^{9f}$ , nf and  $A^f$  have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (II<sub>f</sub>),



(II<sub>f</sub>)

wherein A has the previously mentioned meaning in the general formula (I<sub>f</sub>), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 6-aminoindole of general formula (III<sub>f</sub>)



(III<sub>f</sub>)

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (I<sub>f</sub>), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction between the compounds of general Formula (II<sub>f</sub>) and (III<sub>f</sub>) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine, N-ethyldiisopropylamine or

pyridine.

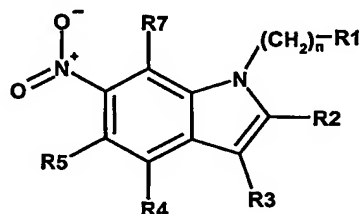
The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

The resulting sulfonamide derivative of general Formula (If) can be purified and/or isolated according to conventional methods known in the state of the art.

Preferably, the sulfonamide derivatives of general Formula (If) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (IIf) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E.Gilbert, Synthesis, 1969, 1, 3]. The compounds of general formula (IIIf) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [Ham, Peter; Gaster, Laramie Mary; King, Francis David; Duckworth, David Malcolm. Preparation of N-heteroaryl-4'-oxadiazolylbiphenylcarboxamides as 5HT<sub>1D</sub> antagonists. WO 9532967 A1 19951207; Basanagoudar, L.D.; Siddappa, S. Cyanoethylation of nitroindoles. Journal of the Indian Chemical Society (1972), 49 (8), 811-13.; Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang. Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases. WO 0266470 A1 20020829. European Journal of Medicinal Chemistry, 23 (4), 373-7; 1988]. One of them consists of nitro group reduction of derivatives of general formula (IVf) by methods known in the art, as for example YAMASHKIN, S.A.; YUROVSKAYA, M.A.;

Chem Heterocycl Compd (N.Y.), 1999, 35 (12), 1426-1432. BOOTHROYD, S.R.; KERR, M.A.; Tetrahedron Lett, 1995, 36 (14), 2411-2414. MACOR, J.E.; POST, R.; RYAN, K.; Synth Common, 1993, 23 (1), 65-72,

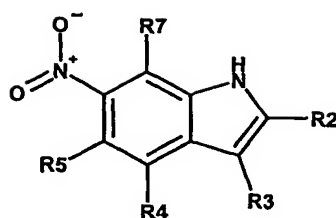


(IVf)

wherein  $R^1$ - $R^7$  and  $n$  have the previously indicated meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (IIIf), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The compounds of general formula (IVf) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the European Journal of Medicinal Chemistry, 23 (4), 373-7; 1988; Farmaco, 51 (1), 75-8; 1996; Heterocycles, 55 (6), 1151-1159; 2001; Ham, Peter; Gaster, Laramie Mary; King, Francis David; Duckworth, David Malcolm. Preparation of N-heteroaryl-4'-oxadiazolylbiphenylcarboxamides as 5HT1D antagonists, WO 9532967 A1 19951207.

One of them consists in the alkylation of nitro derivatives of general formula (IVf) by methods known in the art: MACCHIA, M.; MANERA, C.; NENCETTI, S.; ROSSELLO, A.; BROCCALI, G.; LIMONTA, D.; Farmaco, Ed Sci [FRPSAX] 1996, 51 (1), 75-78. BHAGWAT, S. S.; GUDE, C.; Tetrahedron Lett, 1994, 35 (12), 1847-1850. BRATTON, L.D.; ROTH, B.D.; TRIVEDI, B.K.; UNANGST, P.C.; J Heterocycl Chem, 2000, 37 (5), 1103-1108,



(Vf)

wherein  $R^2$ - $R^7$  and  $n$  have the previously mentioned meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (III<sub>f</sub>), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The compounds of general formula (Vf) are commercially available or can also be prepared according to standard methods known in the state of the art, as for example OTTONI, O.; CRUZ, R.; KRAMMER, N.H.; Tetrahedron Lett [TELEAY] 1999, 40 (6), 1117-1120. VOROB'EVA, S.L.; BUYANOV, V.N.; SUVOROV, N.N.; Khim Geterosikl Soedin [KGSSAQ] 1991, (5), 636-637. KATRITZKY, A.R.; RACHWAL, S.; BAYYUK, S.; Org Prep Proceed Int [OPPIAK] 1991, 23 (3), 357-363. MOSKALEV, N.; MAKOSZA, M.; Heterocycles [HTCYAM] 2000, 52 (2), 533-536.

The respective literature descriptions are incorporated by reference and form part of the disclosure.

The sulfonamide derivatives of general formula (If), wherein  $R_{1f}$ ,  $n_f$  and  $A^f$  have the previously indicated meaning and  $R^{6f}$  is an alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general Formula (If), wherein  $R^{1f}$ - $R^{5f}$ ,  $R^{7f}$ ,  $n_f$  and  $A^f$  have the previously indicated meaning, and  $R^{6f}$  is an hydrogen atom, with an alkyl halogenide or dialkyl sulfate.

The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides, alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The most suitable reaction temperatures range between 0°C and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

Preferably, the resulting sulfonamide derivative of general formula (If) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The pharmaceutically acceptable salts of the compounds of general formula (If), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (If) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic,

methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (If) or of the corresponding physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (If) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

If one or more of the  $R^{2g}$ - $R^{9g}$  moieties represent an alkyl radical which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If  $R^{1g}$  represents a saturated or unsaturated cycloaliphatic radical, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. The

heteroatoms of the cycloaliphatic radical and/or of the mono- or bi- cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as an heteroatom.

If  $R^{8g}$  and  $R^{9g}$  together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi- cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

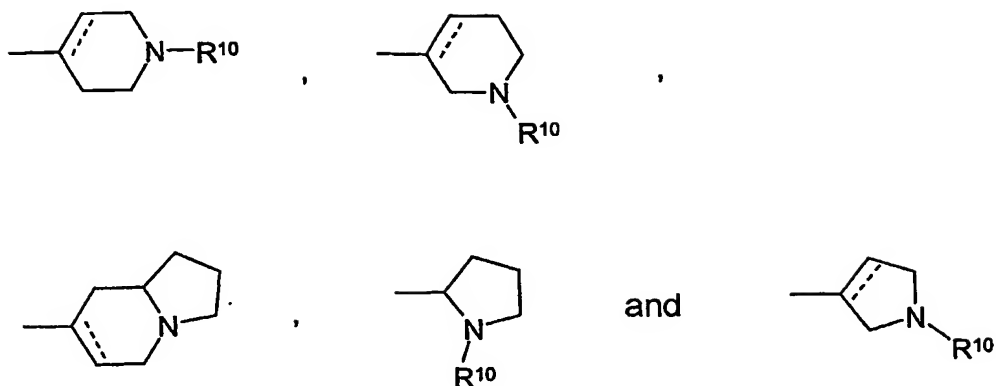
If  $A^g$  is a mono or poly-cyclic aromatic ring system, which is substituted by one or more substituents, and which can be bonded by means of an optionally at least mono-substituted alkylene, alkenylene or alkynylene, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, more preferably from the group consisting of halogen, linear or branched  $C_1$ - $C_6$  alkyl, phenyl optionally at least monosubstituted and heteroaryl of 5 or 6 members, much more preferably from the group consisting of fluorine, chlorine, linear or branched  $C_1$ - $C_6$  alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6 members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, trifluoromethyl radical, cyano radical and an  $NR^{12g}R^{13g}$  radical, wherein  $R^{12g}$  and  $R^{13g}$ , identical or different, are hydrogen or linear or

branched C<sub>1</sub>-C<sub>6</sub> alkyl.

The substituents of A<sup>9</sup> may also preferably be selected from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl, -O-phenyl and 5- to 6-membered heteroaryl, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, optionally at least mono-substituted phenyl, -O-phenyl and 5- to 6-membered heteroaryl, even more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, optionally at least mono-substituted phenyl, -O-phenyl, and 5- to 6-membered heteroaryl. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents may be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12g</sup>R<sup>13g</sup> radical, wherein R<sup>12g</sup> and R<sup>13g</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12g</sup>R<sup>13g</sup> radical, wherein R<sup>12g</sup> and R<sup>13g</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Sulfonamide derivatives of general formula (Ig) are preferred, wherein R<sup>1g</sup> is an -NR<sup>8g</sup>R<sup>9g</sup> radical or a saturated or unsaturated cycloaliphatic radical of 5 or 6 members, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5 or 6 members, preferably an -NR<sup>8g</sup>R<sup>9g</sup> radical or a radical chosen from the group consisting of



where, if present, the dotted line is an optional chemical bond, and  $R^{10}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{2g}$ - $R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

Sulfonamide derivatives of general formula (Ig) are also preferred, wherein  $R^{2g}$ ,  $R^{3g}$ ,  $R^{4g}$ ,  $R^{5g}$  and  $R^{6g}$ , are hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical, a linear or branched  $C_2$ - $C_6$  alkenyl radical, or a linear or branched  $C_2$ - $C_6$  alkynyl radical, preferably hydrogen. and  $R^{1g}$ ,  $R^{7g}$ ,  $R^{8g}$ ,  $R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

The use of sulfonamide derivatives of general formula (Ig) is also preferred, wherein  $R^{7g}$ , is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, which is optionally at least monosubstituted, preferably hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, more preferably hydrogen or an  $C_1$ - $C_2$  alkyl radical and  $R^{1g}$ - $R^{6g}$ ,  $R^{8g}$ ,  $R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

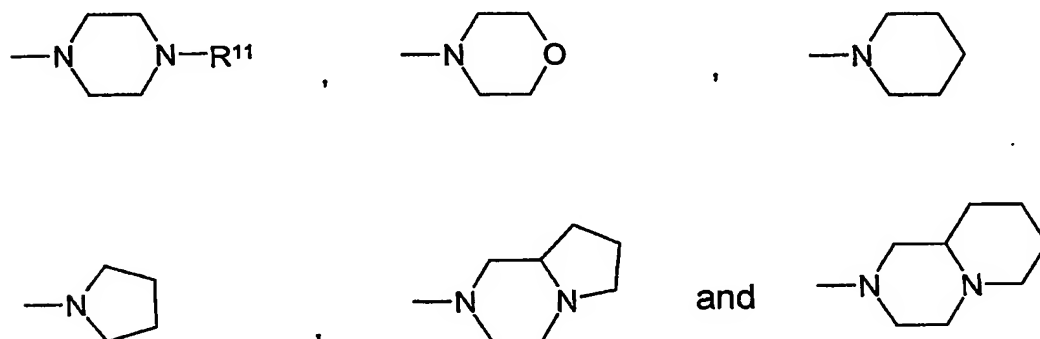
Furthermore, sulfonamide derivatives of general formula (Ig) are also preferred, wherein  $R^{8g}$  and  $R^{9g}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, which is optionally at least monosubstituted, or

$R^{8g}$  and  $R^{9g}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, which is optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5, 6 or 7 members, and

$R^{1g}$ - $R^{7g}$ ,  $A^g$  and ng are defined as above.

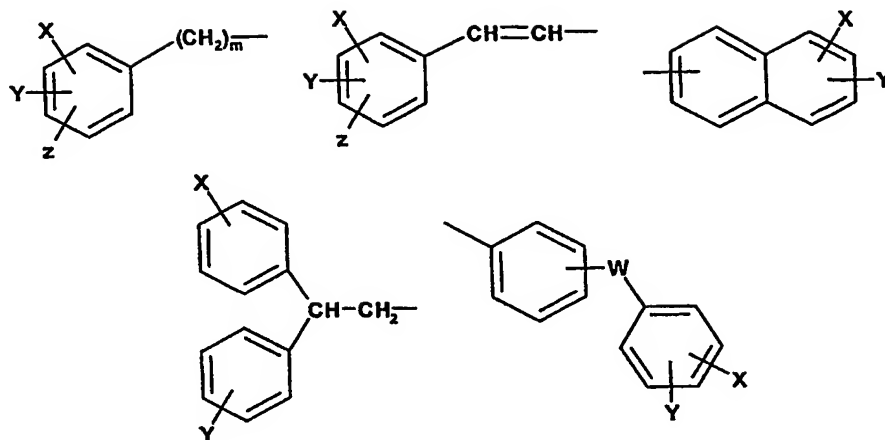
Particularly preferred is the use of sulfonamide derivatives of general formula (Ig), wherein  $R^{8g}$  and  $R^{9g}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

$R^{8g}$  and  $R^{9g}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



wherein  $R^{11}$ , if it is present, is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1g}$ - $R^{9g}$ ,  $A^g$  and ng are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ig) are preferred, wherein  $A^g$  is a mono or poly-cyclic aromatic ring system, which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members, and which can be bonded by means of an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least monosubstituted  $C_2$ - $C_6$  alkenylene group, or an optionally at least monosubstituted  $C_2$ - $C_6$  alkynylene group, and/or can contain at least one heteroatom as a ring member, preferably a mono or poly-cyclic aromatic ring system, which is optionally at least monosubstituted, wherein the ring/rings is/are of 5 or 6 members and in which one or more of the rings contain(s) at least one heteroatom or a radical chosen from the group consisting of



in which X, Y and Z are each one independently chosen from a group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1-C_6$  alkyl, linear or branched  $C_1-C_6$  alkoxy, linear or branched  $C_1-C_6$  alkylthio, trifluoromethyl radical, cyano radical and an  $NR^{12}R^{13}$  radical, in which  $R^{12}$  and  $R^{13}$ , identical or different, are hydrogen or linear or branched  $C_1-C_6$  alkyl,

W is a single chemical bond between the two rings, a  $CH_2$ , O, S group or an  $NR^{14}$  radical, wherein  $R^{14}$  is hydrogen or linear or branched  $C_1-C_6$  alkyl, and

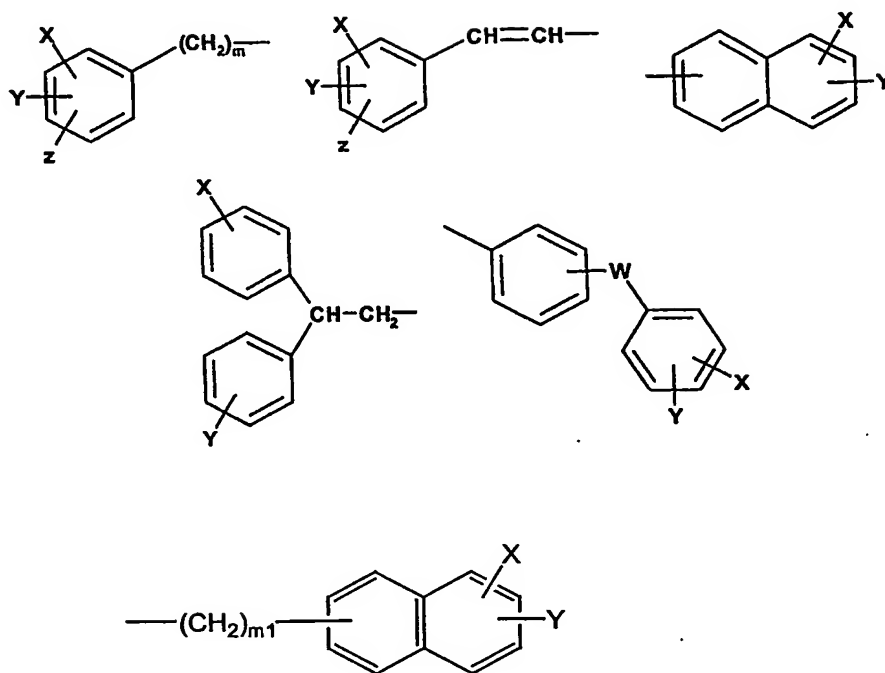
m is 0, 1, 2, 3 or 4;

and  $R^{19}-R^{119}$  and ng are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ig) are preferred, wherein  $A^9$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1-C_6$  alkylene group, an optionally at least mono-substituted  $C_2-C_6$  alkenylene group or an optionally at least mono-substituted  $C_2-C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably  $A^9$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and a  $-NR^{12}R^{13}$  radical,

wherein  $R^{12}$  and  $R^{13}$ , identical or different, each represent hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

W represents a single chemical bond between the two rings, a  $CH_2$ , O, S group or a  $NR^{14}$  radical,

wherein  $R^{14}$  is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl,

m is 0, 1, 2, 3 or 4 and

$m_1$  is 1 or 2, preferably 2,

and  $R^{1g}$ - $R^{11g}$  and ng are defined as above.

Also preferred are compounds of general formula (Ig),

wherein

$R^{1g}$  is a  $-NR^{8g}R^{9g}$  radical,

$R^{2g}$ ,  $R^{3g}$ ,  $R^{4g}$ ,  $R^{5g}$  and  $R^{6g}$  each represent hydrogen,

$R^{7g}$  represents hydrogen,

$R^{8g}$  and  $R^{9g}$ , identical or different, each represent methyl, ethyl, n-propyl or iso-propyl, more preferably methyl,

or

$R^{8g}$  and  $R^{9g}$  together with the bridging nitrogen atom form a 5- or 6-membered heterocyclic ring, more preferably form a pyrrolidine or piperidine ring,

$A^g$  represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, benzo[b]thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of chlorine, methyl and phenyl and/or which may be bonded via a  $C_{1-2}$  alkylene group,

and

ng is 2;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

The most preferred compounds general formula (Ig) may be selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphthalene-1-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-4-phenylbenzenesulfonamide and
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide

and their corresponding salts and solvates

The most preferred compounds general formula (Ig) may also be selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphthalene-1-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-4-phenylbenzenesulfonamide and
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide
- [5] 5-chloro-3-methyl-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)-benzo[b]thiophen-2-sulfonamide,
- [6] N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)naphthalene-1-sulfonamide,

- [7] 6-chloro-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)imidazo[2,1-b]thiazole-5-sulfonamide and
- [8] 2-(naphth-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)ethansulfonamide

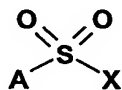
and their corresponding salts and solvates.

The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (Ig), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (IIg) and (IIIg) are  $R^{1g}$ - $R^{7g}$ ,  $A^g$  and ng.

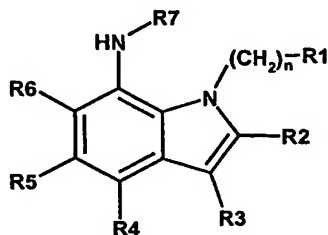
The derivatives of general formula (Ig), wherein  $R^{1g}$ - $R^{9g}$ , ng and  $A^g$  have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (IIg),



(IIg)

wherein A has the previously mentioned meaning in the general formula (Ilg), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 7-aminoindole of general formula (IIlg)



(IIlg)

wherein  $R^1$ - $R^7$  and  $n$  have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of general formula (Ilg), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction between the compounds of general formula (IIlg) and (IIIg) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine or pyridine.

The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

The resulting sulfonamide derivative of general Formula (I<sub>g</sub>) can be purified and/or isolated according to conventional methods known in the state of the art.

Preferably, the sulfonamide derivatives of general Formula (I<sub>g</sub>) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (II<sub>g</sub>) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E.Gilbert, *Synthesis*, 1969, 1, 3]. The compounds of general formula (III<sub>g</sub>) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in: [Abou-Gharbia, Magid; Patel, Usha; Tokolics, Joseph; Freed, Meier. *European Journal of Medicinal Chemistry* (1988), 23(4), 373-7].

The sulfonamide derivatives of general Formula (I<sub>g</sub>), wherein R<sup>1g</sup>, ng and A<sup>g</sup> have the previously indicated meaning and R<sup>7g</sup> is an alkyl radical, preferably a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl radical, optionally at least monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general formula (I<sub>g</sub>), wherein R<sup>1g</sup>-R<sup>6g</sup>, ng and A<sup>g</sup> have the previously indicated meaning, and R<sup>7g</sup> is an hydrogen atom, with an alkyl halogenide or dialkyl sulfate.

The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides, alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The most suitable reaction temperatures range between 0°C and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

Preferably, the resulting sulfonamide derivative of general formula (Ig) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The pharmaceutically acceptable salts of the compounds of general formula (Ig), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Ig) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Ig) or of the salts, preferably the corresponding, physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature

[Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (Ig) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

If one or more of the substituents  $R^{2h}$ - $R^{8h}$  represents an alkyl radical, an alkenyl radical, or an alkynyl radical, which is substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy, or a phenyl radical optionally at least monosubstituted. If said phenyl radical is the same one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, a linear or branched  $C_1$ - $C_6$  alkyl, a linear or branched  $C_1$ - $C_6$  alkoxy, a linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and an  $NR^{11h}R^{12h}$  radical, where  $R^{11h}$  and  $R^{12h}$ , identical or different, are defined like  $R^{7h}$  and  $R^{8h}$ .

If  $R^{1h}$  represents a saturated or unsaturated cycloaliphatic radical, which is optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, more preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi-cyclic cycloaliphatic ring can,

independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as a heteroatom.

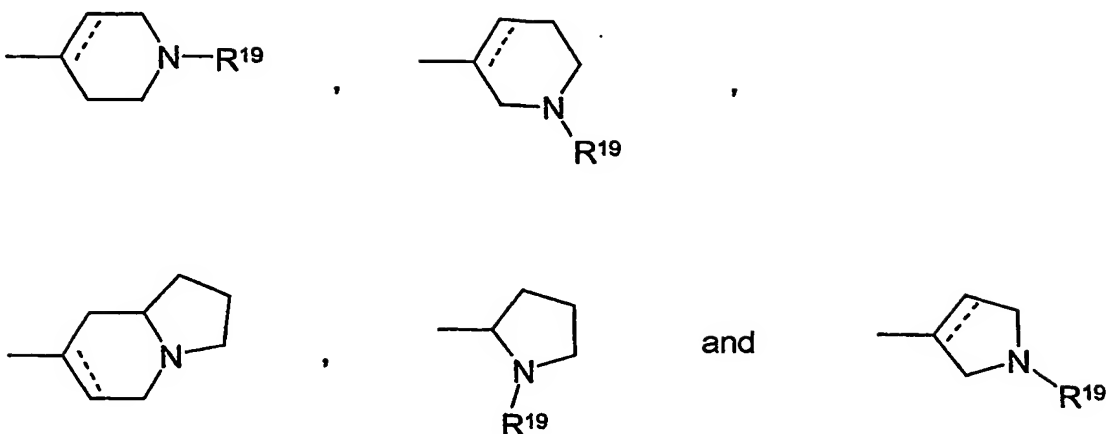
If  $R^{7h}$  and  $R^{8h}$  together with the nitrogen atom to which they are bonded form a saturated or unsaturated heterocyclic ring, which can optionally contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi- cyclic rings contains one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as a heteroatom.

If  $A^h$  represents an alkyl radical, an alkenyl radical, or an alkynyl radical, which is substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is the same one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and an  $NR^{13h}R^{14h}$  radical, where  $R^{13h}$  and  $R^{14h}$ , identical or different, are defined as  $R^{7h}$  and  $R^{8h}$ .

If  $B^h$  represents an alkyl radical, an alkenyl radical, or an alkynyl radical, which is substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1-C_6$  alkyl, linear or branched  $C_1-C_6$  alkoxy, linear or branched  $C_1-C_6$  perfluoroalkyl, linear or branched  $C_1-C_6$  perfluoroalkoxy, or a phenyl radical optionally at least monosubstituted. If said phenyl radical is the same one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched  $C_1-C_6$  alkyl, linear or branched  $C_1-C_6$  alkoxy, linear or branched  $C_1-C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and an  $NR^{15h}R^{16h}$  radical, where  $R^{15h}$  and  $R^{16h}$ , identical or different, are defined as  $R^{7h}$  and  $R^{8h}$ .

If  $A^h$  and  $B^h$  together with the carbon atom to which they are bonded form a saturated or unsaturated, but not aromatic, cycloalkyl ring, which is substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1-C_6$  alkyl, linear or branched  $C_1-C_6$  alkoxy, linear or branched  $C_1-C_6$  perfluoroalkyl, linear or branched  $C_1-C_6$  perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is the one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched  $C_1-C_6$  alkyl, linear or branched  $C_1-C_6$  alkoxy, linear or branched  $C_1-C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and an  $NR^{17h}R^{18h}$  radical, where  $R^{17h}$  and  $R^{18h}$ , identical or different, are defined like  $R^{7h}$  and  $R^{8h}$ .

Sulfonamide derivatives of general formula (Ih) are preferred, where  $R^{1h}$  represents an  $NR^{7h}R^{8h}$  radical or a saturated or unsaturated cycloaliphatic radical of 5 or 6 members, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5 or 6 members, preferably an  $-NR^{7h}R^{8h}$  radical or a radical chosen from the group consisting of



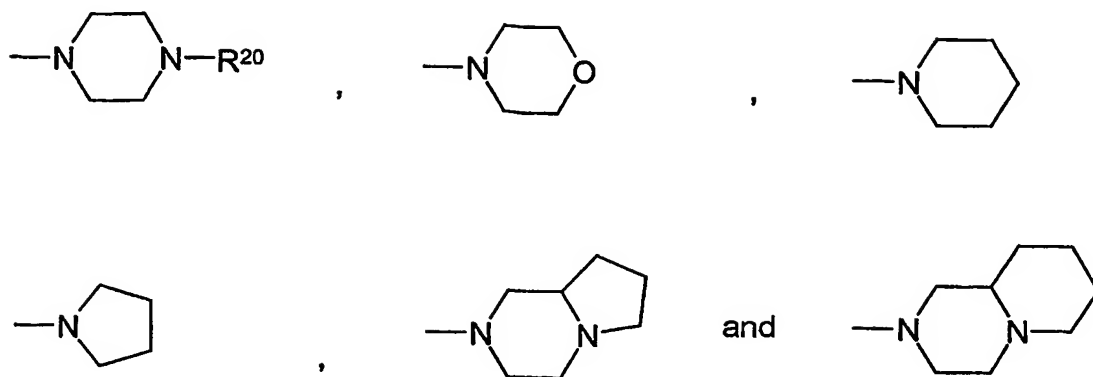
where, if present, the dotted line represents an optional chemical bond, and  $R^{19}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{2h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ih) are also preferred, where  $R^{7h}$  and  $R^{8h}$ , identical or different, are hydrogen, a linear or branched  $C_{1-6}$  alkyl radical, which is optionally at least monosubstituted, a linear or branched  $C_{2-6}$  alkenyl radical, which is optionally at least monosubstituted, or a linear or branched  $C_{2-6}$  alkynyl radical, which is optionally at least monosubstituted, or

$R^{7h}$  and  $R^{8h}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, which is optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or which can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, which is optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, and wherein the ring/rings is/are of 5, 6 or 7 members, and  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Particularly preferred are sulfonamide derivatives of general formula (Ih), where  $R^{7h}$  and  $R^{8h}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

$R^{7h}$  and  $R^{8h}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



where  $R^{20}$ , if present, is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ih) are preferred, where  $A^h$  and  $B^h$ , identical or different, are a linear or branched  $C_1$ - $C_6$  alkyl radical, a linear or branched  $C_1$ - $C_6$  alkenyl radical, or a linear or branched  $C_1$ - $C_6$  alkynyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

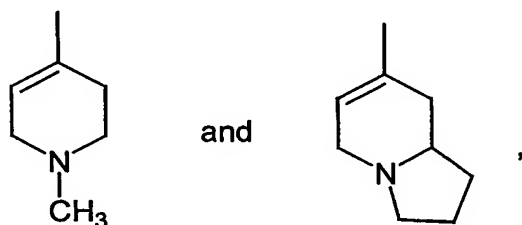
$A^h$  and  $B^h$ , together with the carbon atom to which they are bonded, form a saturated or unsaturated, but not aromatic, cycloalkyl ring, which is optionally substituted by one or more substituents, preferably a  $C_3$ - $C_8$  cycloalkyl ring. Particularly preferred a cyclohexyl ring.

Sulfonamide derivatives of general Formula (Ih) are also preferred, wherein  $R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$ ,  $R^{5h}$  and  $R^{6h}$ , identical or different, independently from one another, are, hydrogen, halogen, cyano, nitro, a linear or branched  $C_1$ - $C_6$  alkyl radical, a linear or branched  $C_1$ - $C_6$  alkenyl radical, a linear or branched  $C_1$ - $C_6$  alkynyl radical,  $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylthio, hydroxy, trifluoromethyl,  $C_{3-8}$  cycloalk(en)yl,  $C_{1-6}$ -alkylcarbonyl, phenylcarbonyl or a  $-NR^{9h}R^{10h}$  group, where  $R^{9h}$  and  $R^{10h}$ , are defined as  $R^{7h}$  and  $R^{8h}$ .

Also preferred are compounds of general formula (Ih),

wherein

$R^{1h}$  represents an unsaturated, optionally at least one nitrogen atom as a ring member containing 5- or 6-membered cycloaliphatic radical, which may be substituted by a methyl group and/or which may be condensed with a 5-membered cycloaliphatic ring, more preferably  $R^1$  represents a moiety selected from the group consisting of



$R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$  and  $R^{6h}$  each represent hydrogen,

$R^{5h}$  represents H, fluorine, chlorine, nitro or a  $-NR^9R^{10}$  group,

$R^{9h}$  and  $R^{10h}$  each represent hydrogen,

$A^h$  and  $B^h$  together with the carbon atom to which they are bonded form a saturated or unsaturated, but not aromatic,  $C_3$ - $C_8$  cycloalkyl ring, more preferably form a cyclohexyl ring,

and

nh is 0;

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemate or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding physiologically acceptable salt thereof or a corresponding solvate thereof.

Those most preferred compounds of general formula (Ih) are selected from the group consisting of

- [1] 1-Cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole,
- [2] 5-Chloro-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole,
- [3] 5-Amino-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole and
- [4] 1-Cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole hydrochloride

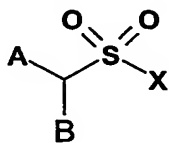
and their corresponding salts and solvates.

The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (Ih), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

Below, the residues  $R^1$ - $R^6$ , A, B and n in the general formulas (IIh) to (IVh) are  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and nh.

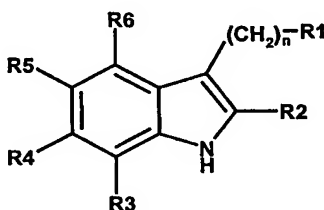
The derivatives of general formula (Ih), wherein  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and nh have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (IIh),



(IIh)

wherein A and B have the previously mentioned meaning in the general formula (Ih), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted indole of general formula (IIIh)



(IIIh)

where  $\text{R}^1$ - $\text{R}^6$  and  $n$  have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (Ih), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction is preferably carried out in the presence of a suitable strong base, for example, lithium diisopropylamide, butyllithium, sodium hydride, or sodium bis(trimethylsilyl)amide in an inert solvent, such as tetrahydrofuran, hexane or dimethylformamide.

The most suitable reaction temperatures range between  $-100^\circ\text{C}$  and room temperature, and the reaction time is preferably comprised between 5 minutes and 24 hours. The preferred conditions are sodium hydride in dimethylformamide at approximately  $0^\circ\text{C}$ .

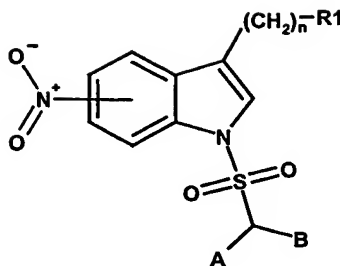
The resulting sulfonamide derivative of general formula (Ih) can be purified and/or isolated according to conventional methods known in the state of the art.

Preferably, the sulfonamide derivatives of general formula (Ih) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (IIh) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [KHANNA, V.; TAMILSELVAN, P.; KALRA, S.J.S.; IQBAL, J.; Tetrahedron 1994, 35 (32), 5935-5938; L.N. Aristarkhova et al., *J. Org. Chem. USSR*, **1970**, 6, 2454-2458; E.E. Gilbert, *Synthesis*, **1969**, 1,3]. The compounds of general Formula (IIIh) can also be prepared according to standard methods known in the state of the art, for example, methods similar to those described in the literature. Substituted aromatic 5-HT<sub>1f</sub> agonist, WO9846570. Piperidine-indole compounds having 5-HT<sub>6</sub> affinity, US 6,133,287.

The respective descriptions in the literature are incorporated by reference and form part of the disclosure.

The sulfonamide derivatives of general formula (Ih), wherein R<sup>2h</sup>, R<sup>3h</sup>, R<sup>4h</sup>, R<sup>5h</sup> or R<sup>6h</sup> are an amino group by reduction of the nitro group of derivatives of general formula (IVh) by methods known in the art, for example BRATTON, L.D.; ROTH, B.D.; TRIVEDI, B.K.; UNANGST, P.C.; *J. Heterocycl Chem*, 2000, 37 (5), 1103-1108. FANGHAENEL, E.; CHTCHEGLOV, D.; *J Prakt Chem/Chem-Ztg*, 1996, 338 (8), 731-737. KUYPER, L.F.; BACCANARI, D.P.; JONES, M.L.; HUNTER, R.N.; TANSIK, R.L.; JOYNER, S.S.; BOYTOS, C.M.; RUDOLPH, S.K.; KNICK, V.; WILSON, H.R.; CADDELL, J.M.; FRIEDMAN, H.S.; ET AL.; *J Med Chem*, 1996, 39 (4), 892-903,



(IVh)

and the others  $\text{R}^1\text{-R}^6$ , A, B and n have the previously mentioned meaning, or one of their derivatives suitably protected, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general Formula (Ih), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The respective literature descriptions are incorporated by reference and form part of the disclosure.

The pharmaceutically acceptable salts of the compounds of general Formula (Ih), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Ih) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Ih) or of the corresponding physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general Formula (Ih) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

The active substance combination according to this invention comprises preferably 1-99% by weight of the component (A) and 99-1% by weight of the component (B), more preferably 10-80% by weight of the component (A) and 90-20% by weight of the component (B), these percentages being based on the total weight of both components (A) and (B).

Another aspect of the present invention is a medicament, which comprises an inventive active substance combination and optionally one or more pharmacologically acceptable adjuvants.

Said medicament is particularly suitable for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y5-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably of the irritable bowel syndrome, for prophylaxis and/or treatment of Peripheral Nervous System Disorders, Central Nervous System Disorders, arthritis, epilepsy, anxiety, panic, depression, cognitive disorders, memory disorders, cardiovascular diseases, senile dementia processes, such as Alzheimer's, Parkinson's and/or Huntington's Disease, schizophrenia, psychosis, infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder), pain, hypertensive syndrome, inflammatory diseases, immunologic diseases or for improvement of cognition.

Said medicament is more particularly suitable for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y5-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably of the irritable bowel syndrome.

Another aspect of the present invention is the use of an inventive active substance combination for the manufacture of a medicament for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y5-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably of the irritable bowel syndrome, for prophylaxis and/or treatment of Peripheral Nervous System Disorders, Central Nervous System Disorders, arthritis, epilepsy, anxiety,

panic, depression, preferably bipolar disorders, cognitive disorders, memory disorders, cardiovascular diseases, senile dementia processes, neurodegenerative disorders, preferably Alzheimer's disease, Parkinson's disease, Huntington's disease and/or multiple sclerosis, schizophrenia, psychosis, infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder), pain, hypertensive syndrome, inflammatory diseases, immunologic diseases or for improvement of cognition.

Particularly preferred is the use of an inventive active substance combination for the manufacture of a medicament for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y<sub>5</sub>-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably of the irritable bowel syndrome.

Those skilled in the art understand that the components (A) and (B) of the active substance combination according to the present invention may be administered simultaneously or sequentially to one another, whereby in each case components (A) and (B) may be administered via the same or different administration pathways, e.g. orally or parenterally. preferably both components (A) and (B) are administered simultaneously in one and the same administration form.

Yet another aspect of the present invention are pharmaceutical formulations in different pharmaceutical forms comprising an inventive active substance combination and optionally one or more pharmacologically acceptable adjuvants.

As well known to somebody skilled in the art the pharmaceutical formulations may - depending on their route of administration, also contain one or more auxiliary substances known to those skilled in the art.

The pharmaceutical formulations according to the present invention may be produced according to standard procedures known to those skilled in the art, e.g. from the tables of contents from „Pharmaceutics: the Science of Dosage Forms“, Second Edition, Aulton, M.E. (Ed.) Churchill Livingstone, Edinburgh (2002); „Encyclopedia of Pharmaceutical Technology“, Second Edition, Swarbrick, J. and Boylan J.C. (Eds.), Marcel Dekker, Inc. New York (2002); „Modern Pharmaceutics“, Fourth Edition, Banker G.S. and Rhodes C.T. (Eds.) Marcel Dekker, Inc. New York 2002 and „The Theory and Practice of Industrial Pharmacy“, Lachman L., Lieberman H. and Kanig J. (Eds.), Lea & Febiger, Philadelphia (1986). The respective descriptions are incorporated by reference and are part of the disclosure.

Preferred pharmaceutical formulations are solid pharmaceutical forms, preferably tablets, chewing tablets, chewing gums, dragées, capsules, suppositories, powder preparations, transdermal therapeutic systems, transmucosal therapeutic systems, preferably tablets or capsules.

Preferred pharmaceutical formulations are also liquid and semi-liquid pharmaceutical forms such as drops or such as juice, sirup, solution, emulsion, suspension, preferably drops or solutions.

In an additional preferred embodiment, the pharmaceutical formulations are in the form of multiple particles, preferably microtablets, microcapsules, microspheroids, granules, crystals and pellets, optionally compacted in a tablet, filled in a capsule or suspended in a suitable liquid.

The pharmaceutical formulations according to the present invention are particularly suitable for oral, intravenous, intramuscular, subcutaneous, intrathecal, epidural, buccal, sublingual, pulmonal, rectal, transdermal, nasal or intracerebroventricular application, more particularly for oral, intravenous or intraperitoneal application.

In one embodiment of the present invention the pharmaceutical formulation comprises at least one of the components (A) and (B) of the active substance combination at least partially in a sustained-release form.

By incorporating one or both of these components (A) and (B) at least partially or completely in a sustained-release form it is possible to extend the duration of their effect, allowing for the beneficial effects of such a sustained-release form, e.g. the maintenance of even concentrations in the blood.

Suitable sustained-release forms as well as materials and methods for their preparation are known to those skilled in the art, e.g. from the tables of contents from „Modified-Release Drug Delivery Technology“, Rathbone, M.J. Hadgraft, J. and Roberts, M.S. (Eds.), Marcel Dekker, Inc., New York (2002); „Handbook of Pharmaceutical Controlled Release Technology“, Wise, D.L. (Ed.), Marcel Dekker, Inc. New York, (2000); „Controlled Drug Delivery“, Vol. I, Basic Concepts, Bruck, S.D. (Ed.), CRC Press Inc., Boca Raton (1983) and from Takada, K. and Yoshikawa, H., „Oral Drug delivery“, Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 728-742; Fix, J., „Oral drug delivery, small intestine and colon“, Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 698-728. The respective descriptions are incorporated by reference and are part of the disclosure.

If the pharmaceutical formulation according to the present invention comprises at least one of the components (A) and (B) at least partially in a sustained-release form, said sustained release may preferably be achieved by the application of at least one coating or provision of a matrix comprising at least one sustained-release material.

The sustained-release material is preferably based on an optionally modified, water-insoluble, natural, semisynthetic or synthetic polymer, or a natural, semisynthetic or synthetic wax or fat or fatty alcohol or fatty acid, or on a mixture of at least two of these afore mentioned components.

The water-insoluble polymers used to produce a sustained-release material are preferably based on an acrylic resin, which is preferably selected from the group of poly(meth)acrylates, particularly preferably poly(C<sub>1-4</sub>)alkyl (meth)acrylates, poly(C<sub>1-4</sub>)dialkylamino(C<sub>1-4</sub>)alkyl (meth)acrylates and/or copolymers or mixtures thereof, and very particularly preferably copolymers of ethyl acrylate and methyl

methacrylate with a monomer molar ratio of 2:1 (Eudragit NE30D<sup>®</sup>), copolymers of ethyl acrylate, methyl methacrylate and trimethylammonium ethyl methacrylate-chloride with a monomer molar ratio of 1:2:0.1 (Eudragit RS<sup>®</sup>), copolymers of ethyl acrylate, methyl methacrylate and trimethylammonium ethyl methacrylate-chloride with a monomer molar ratio of 1:2:0.2 (Eudragit RL<sup>®</sup>), or a mixture of at least two of the above-mentioned copolymers. These coating materials are commercially available as 30 wt.% aqueous latex dispersions, i.e. as Eudragit RS30D<sup>®</sup>, Eudragit NE30D<sup>®</sup> or Eudragit RL30D<sup>®</sup>, and may also be used as such for coating purposes.

In another embodiment, the sustained-release material is based on water-insoluble cellulose derivatives, preferably alkyl celluloses, particularly preferably ethyl cellulose, or cellulose esters, e.g. cellulose acetate. Aqueous ethyl cellulose dispersions are commercially available, for example, under the trademarks Aquacoat<sup>®</sup> or Surelease<sup>®</sup>.

As natural, semisynthetic or synthetic waxes, fats or fatty alcohols, the sustained-release material may be based on carnauba wax, beeswax, glycerol monostearate, glycerol monobehenate, glycerol ditripalmitostearate, microcrystalline wax, cetyl alcohol, cetylstearyl alcohol or a mixture of at least two of these components.

The afore mentioned polymers of the sustained-release material may also comprise a conventional, physiologically acceptable plasticizer in amounts known to those skilled in the art.

Examples of suitable plasticizers are lipophilic diesters of a C<sub>6</sub>-C<sub>40</sub> aliphatic or aromatic dicarboxylic acid and a C<sub>1</sub>-C<sub>8</sub> aliphatic alcohol, e.g. dibutyl phthalate, diethyl phthalate, dibutyl sebacate or diethyl sebacate, hydrophilic or lipophilic citric acid esters, e.g. triethyl citrate, tributyl citrate, acetyltributyl citrate or acetyltriethyl citrate, polyethylene glycols, propylene glycol, glycerol esters, e.g. triacetin, Myvacet<sup>®</sup> (acetylated mono- and diglycerides, C<sub>23</sub>H<sub>44</sub>O<sub>5</sub> to C<sub>25</sub>H<sub>47</sub>O<sub>7</sub>), medium-chain triglycerides (Miglyol<sup>®</sup>), oleic acid or mixtures of at least two of said plasticizers.

Aqueous dispersions of Eudragit RS<sup>®</sup> and optionally Eudragit RL<sup>®</sup> preferably contain triethyl citrate. The sustained-release material may comprise one or more plasticisers in amounts of, for example, 5 to 50 wt.% based on the amount of polymer(s) used.

The sustained-release material may also contain other conventional auxiliary substances known to those skilled in the art, e.g. lubricants, coloured pigments or surfactants.

The pharmaceutical formulation of the present invention may also comprise at least one of the components (A) and (B) covered by an enteric coating form which dissolves as a function of pH. Because of this coating, part or all of the pharmaceutical formulation can pass through the stomach undissolved and the components (A) and/or (B) are only released in the intestinal tract. The enteric coating preferably dissolves at a pH of between 5 and 7.5.

The enteric coating may be based on any enteric material known to those skilled in the art, e.g. on methacrylic acid/methyl methacrylate copolymers with a monomer molar ratio of 1:1 (Eudragit L<sup>®</sup>), methacrylic acid/methyl methacrylate copolymers with a monomer molar ratio of 1:2 (Eudragit S<sup>®</sup>), methacrylic acid/ethyl acrylate copolymers with a monomer molar ratio of 1:1 (Eudragit L30D-55<sup>®</sup>), methacrylic acid/methyl acrylate/methyl methacrylate copolymers with a monomer molar ratio of 7:3:1 (Eudragit FS<sup>®</sup>), shellac, hydroxypropyl methyl cellulose acetate-succinates, cellulose acetate-phthalates or a mixture of at least two of these components, which can optionally also be used in combination with the above-mentioned water-insoluble poly(meth)acrylates, preferably in combination with Eudragit NE30D<sup>®</sup> and/or Eudragit RL<sup>®</sup> and/or Eudragit RS<sup>®</sup>.

The coatings of the pharmaceutical formulations of the present invention may be applied by the conventional processes known to those skilled in the art, e.g. from Johnson, J.L., „Pharmaceutical tablet coating“, Coatings Technology Handbook (Second Edition), Satas, D. and Tracton, A.A. (Eds), Marcel Dekker, Inc. New York, (2001), 863-866; Carstensen, T., „Coating Tablets in Advanced Pharmaceutical Solids“, Swarbrick, J. (Ed.), Marcel Dekker, Inc. New York (2001), 455-468; Leopold, C.S., „Coated dosage forms for colon-specific drug delivery“, Pharmaceutical Science

& Technology Today, 2(5), 197-204 (1999), Rhodes, C.T. and Porter, S.C., Coatings, in Encyclopedia of Controlled Drug Delivery. Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 1, 299-311. The respective descriptions are incorporated by reference and are part of the disclosure.

In another embodiment, the pharmaceutical formulation of the present invention contains one or both of components (A) and (B) not only in sustained-release form, but also in non-sustained-release form. By combination with the immediately released form, a high initial dose can be achieved for the rapid onset of the beneficial effect. The slow release from the sustained-release form then prevents the beneficial effect from diminishing. Such a pharmaceutical formulation is particularly useful for the treatment of acute health problems.

This may be achieved, for example, by a pharmaceutical formulation having at least one immediate-release coating comprising at least one of the components (A) and (B) to provide for rapid onset of the beneficial effect after administration to the patient.

**Pharmaceutical Methods:****MEASUREMENTS OF FOOD INGESTION (BEHAVIOURAL MODEL)**

Male W rats (200-270 g) from Harlan, S.A. are used. The animals are acclimatized to the housings during at least 5 days prior to being subjected to any treatment. During this period, the animals are housed (in groups of five) in translucent cages and have free access to water and food. The animals are housed in individual cages at least 24 hours prior to starting the treatment.

The effect of the active substance combination and of each one of the components (A) and (B) on food ingestion in rats in fasting conditions is then determined as follows:

The rats are kept in fasting conditions for 23 hours in their individual cages. After this period, the rats are distributed in four groups. To three of these groups doses of the component (A) (with vehicle), of the component (B) (with vehicle) and of the active substance combination (vehicle) have been administered respectively by the intraperitoneal route. To the fourth group just vehicle has been administered in the same way.

Immediately after this, the rat is left in the cage with pre-weighed food and the accumulated food intake is measured after 1, 2, 4 and 6 hours.

This food ingestion measuring method is also described in publications of Kask et al., *European Journal of Pharmacology* 414 (2001), 215-224, and Turnbull et al., *Diabetes*, Vol. 51, August, 2002. The respective bibliographic descriptions are incorporated as a reference and they form part of the disclosure.

## Pharmacological Methods:

### Neuropeptide Y5 Receptor binding studies:

#### Method (I)

The experimental protocol follows the method by M. Gobbi et al. as described in M. Gobbi, T. Mennini, A. Vezzani: Autoradiographic Reevaluation of the Binding Properties of [ $^{125}$ I][Leu<sup>31</sup>, Pro<sup>34</sup>] Peptide YY and [ $^{125}$ I] Peptide YY<sub>3-36</sub> to Neuropeptide Y Receptor Subtypes in Rat Forebrain, *The Journal of Neurochemistry*, 1999, 72, 1663-1670, which is hereby incorporated by reference and is part of the disclosure, with modifications. Male Wistar rats are sacrificed by decapitation, their brains are rapidly removed and the cortex is dissected. Homogenization is performed in cold conditions in the buffer: 120 mM NaCl, 4.7 mM KCl, 2.2 mM CaCl<sub>2</sub>, 1.2 mM KH<sub>2</sub>PO<sub>4</sub>, 1.2 mM MgSO<sub>4</sub>, 25 mM NaHCO<sub>3</sub>, 5.5 mM glucose, pH 7.4, by means of a Ultra-Turrax homogenizer for 15 seconds at 13,500 rpm. The ratio between fresh tissue weight and buffer volume is of twenty times. The membrane is centrifuged for 10 min at 48,000 g. The supernatant is discarded and the pellet is washed, resuspended and recentrifuged three more times. The final membrane resuspension is performed in the buffer: 120 mM NaCl, 4.7 mM KCl, 2.2 mM CaCl<sub>2</sub>, 1.2 mM KH<sub>2</sub>PO<sub>4</sub>, 1.2 mM MgSO<sub>4</sub>, 25 mM NaHCO<sub>3</sub>, 5.5 mM glucose, 0.1% BSA, 0.05% bacitracin, pH 7.4, at a 20 ml/g ratio of fresh tissue. The radioligand used is [ $^{125}$ I]-PYY<sub>3-36</sub> at the concentration of 28 pM. Incubation volume: 500  $\mu$ l. A 1  $\mu$ M concentration of BIBP 3226 is added to the incubation medium in order to saturate receptor Y<sub>1</sub>. Incubation is performed at 25 °C for 120 minutes and ended by rapid filtration in a Harvester Brandel Cell through fiber glass filters of the brand Schleicher & Schuell GF 3362 pretreated with a 0.5% polyethylenimine solution. The filters are cold-washed three times with two milliliters of the same buffer used in homogenization. The filters are transferred to vials and 5 ml of Ecoscint H liquid scintillation cocktail are added to each vial. The vials are allowed to reach steady state for a few hours before counting in a Wallac Winspectral 1414 scintillation counter. Non-specific binding is determined in the presence of 1  $\mu$ M of pNPY (Neuropeptide Y of porcine origin). The assays are performed in triplicate.

## Method (II)

The experimental protocol follows the method described by Y. Hu, B. T. Bloomquist et al. in Y. Hu, B. T. Bloomquist et al., *The Journal of Biological Chemistry*, 1996, 271, 26315-26319 with modifications. Cells C6 were transfected with the rat Y5 receptor. The cells were grown under standard culture conditions in 150 cm<sup>2</sup> dishes and they were harvested using a rubber scraper and 10 ml PBS. The cells from five dishes were collected and centrifuged 2.500 g for 5 min. The pellet was washed by resuspending in 3 ml buffer (Tris-HCl 10 mM, pH 7.4), homogenized using a Potter S homogenizer, 10 strokes at 600 rpm and centrifuged in cold conditions at 48.000 g for 20 min (4°C). The resulting pellet was resuspended in cold 8 ml membrane buffer (Tris-HCl 25 mM, NaCl 120 mM, KCl 5 mM, KH<sub>2</sub>PO<sub>4</sub> 1,2 mM, CaCl<sub>2</sub> 2,5 mM, MgSO<sub>4</sub> 1,2 mM, BSA 0,15 mg/ml, Bacitracine 0,5 mg/ml, pH 7,4) and rehomogenized using the Potter S, 10 strokes at 600 rpm. The protein concentration of the used membran in the incubation was approximately 40 µg/ml. The radioligand is [<sup>125</sup>I]-PYY in a concentration of 100 pM. The incubation volume is 200µl. The incubation occurs at 25°C for 2 h and is stopped by rapid filtration in a in a Harvester Brandell Cell through fiber glass filters of the brand Schleicher & Schuell GF 3362 pretreated for two hours with 0,5% polyethyleneimine solution. Filters are cold-washed two times with 5 ml cold filtration buffer: Tris-HCl 25 mM, NaCl 120 mM, KCl 5 mM, KH<sub>2</sub>PO<sub>4</sub> 1,2 mM, CaCl<sub>2</sub> 2,5 mM, MgSO<sub>4</sub> 1,2 mM, pH 7,4. The filters were transferred into vials and 5 ml of Ecoscint H liquid scintillation cocktail are added to each vial. The vials are allowed to reach steady state for a few hours before counting with a Wallac Winspectral 1414 scintillation counter. Non-specific binding is determined in the presence of 1 µM of NPY. All binding assays were done in triplicate.

## Method (III)

### Binding to Neuropeptide Y<sub>2</sub>

The experimental protocol follows the method by Y. Dumont et al. as described in Y. Dumont, A. Fournier, S. St-Pierre, R. Quirion: Characterization of Neuropeptide Y Binding Sites in Rat Brain Preparations Using [<sup>125</sup>I][Leu<sup>31</sup>, Pro<sup>34</sup>]Peptide YY and [<sup>125</sup>I]Peptide YY<sub>3-36</sub> as Selective Y1 and Y2 Radioligands, The Journal of Pharmacology and Experimental Therapeutics, 1995, 272, 673-680, with slight modifications. Male Wistar rats are sacrificed by decapitation, their brains are rapidly removed and the hippocampus is dissected. Homogenization is performed in cold conditions in the buffer: 120 mM NaCl, 4.7 mM KCl, 2.2 mM CaCl<sub>2</sub>, 1.2 mM KH<sub>2</sub>PO<sub>4</sub>, 1.2 mM MgSO<sub>4</sub>, 25 mM NaHCO<sub>3</sub>, 5.5 mM glucose, pH 7.4, by means of a Ultra-Turrax homogenizer for 15 seconds at 13,500 rpm. The ratio between fresh tissue weight and buffer volume is of ten times. The membrane is centrifuged for 10 min at 48,000 g. The supernatant is discarded and the pellet is washed, resuspended and recentrifuged two more times. The final membrane resuspension is performed in the buffer: 120 mM NaCl, 4.7 mM KCl, 2.2 mM CaCl<sub>2</sub>, 1.2 mM KH<sub>2</sub>PO<sub>4</sub>, 1.2 mM MgSO<sub>4</sub>, 25 mM NaHCO<sub>3</sub>, 5.5 mM glucose, 0.1% BSA, 0.05% bacitracin, pH 7.4, at a 90 ml/g ratio of fresh issue. The radioligand used is [<sup>125</sup>I]-PYY<sub>3-36</sub> at the concentration of 28 pM. Incubation volume: 500 µl. Incubation is performed at 25 °C for 150 minutes and ended by rapid filtration in a Harvester Brandel Cell through fiber glass filters of the brand Schleicher & Schuell GF 3362 pretreated with a 0.5% polyethylenimine solution. The filters are cold-washed three times with three milliliters of the same buffer used in homogenization. The filters are transferred to vials and 5 ml of Ecoscint H liquid scintillation cocktail are added to each vial. The vials are allowed to reach steady state for a few hours before counting in a Wallac Winspectral 1414 scintillation counter. Non-specific binding is determined in the presence of 1 µM of pNPY (Neuropeptide Y of porcine origin). The assays are performed in triplicate.

**BINDING TO THE 5HT<sub>6</sub> SEROTONIN RECEPTOR**

HEK-293 cell membranes expressing the recombinant human 5HT<sub>6</sub> receptor were supplied by Receptor Biology. The receptor concentration in said membranes is 2.18 pmol/mg of protein and the protein concentration is 9.17 mg/ml. The experimental protocol follows the method of B.L. Roth et al. [B.L. Roth, S.C. Craig, M.S. Choudhary, A. Uluer, F.J. Monsma, Y. Shen, H.Y. Meltzer, D.R. Sibley: Binding of Typical and Atypical Antipsychotic Agents to 5-Hydroxytryptamine-6 and Hydroxytryptamine-7 Receptors. *The Journal of Pharmacology and Experimental Therapeutics*, 1994, 268, 1403], with following slight modifications. The respective part of the literature descriptions is incorporated here by reference and form part of the disclosure. The commercial membrane is diluted (1:40 dilution) with the binding buffer: 50 mM Tris-HCl, 10 mM MgCl<sub>2</sub>, 0.5 mM EDTA (pH 7.4). The radioligand used is [<sup>3</sup>H]-LSD at a concentration of 2.7 nM, the final volume being 200 µl. Incubation begins by adding 100 µl of the membrane suspension (≈ 22.9 µg of membrane protein), and is prolonged for 60 minutes at a temperature of 37°C. Incubation ends by quick filtration in a Harvester Brandel Cell through fiberglass filters of the Schleicher & Schuell GF 3362 trademark, pretreated with a 0.5% polyethyleneimine solution. The filters are washed three times with three milliliters of 50 mM Tris HCl buffer, pH 7.4. The filters are transferred to vials and 5 ml of Ecoscint H. liquid scintillation cocktail are added to each vial. The vials are left to equilibrate for several hours prior to their counting in a 1414 Wallac Winspectral scintillation counter. The non-specific binding is determined in the presence of 100 µM of serotonin. The assays are carried out in triplicate. The inhibition constants (K<sub>i</sub>, nM) are calculated by non-linear regression analysis using the EBDA/LIGAND program [Munson and Rodbard, *Analytical Biochemistry*, 1980, 107, 220], which is incorporated here by reference and form part of the disclosure.

The present invention is illustrated below by the aid of examples. These illustrations are given solely by way of example and do not limit the general spirit of the present invention.

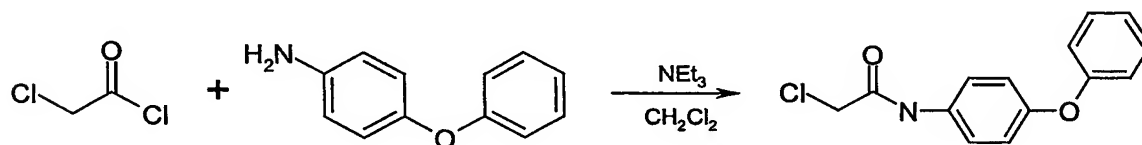
**Examples:****Preparation of the compounds of general formula (Ia):**

The intermediates of general formulas (IVa) and (Va) were prepared by means of conventional methods known to those skilled in the art. The preparation of some of the intermediates of general formulas (IVa) and (Va) is shown below:

**Example Aa:**

Synthesis of a compound of general formula (IVa)

2-chloro-N-(4-phenoxyphenyl)acetamide



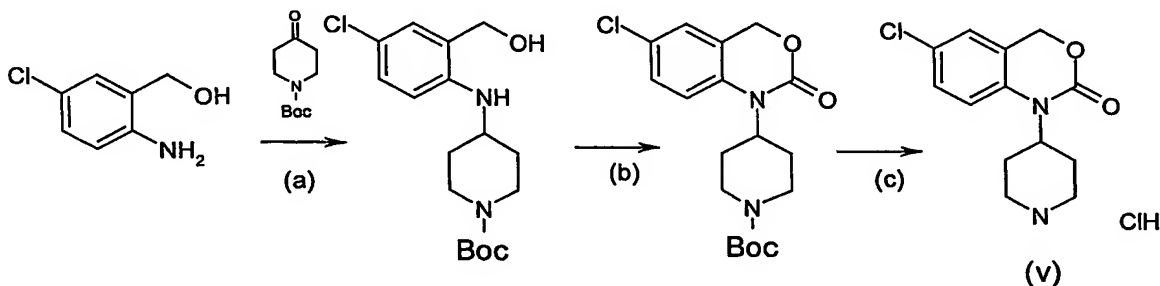
To a solution of 4-phenoxyaniline (1,85g, 10 mmoles) and triethylamine (2,07 ml, 15 mmoles) in 25 ml dry dichloromethane, is added drop by drop to a solution of chloroacetyl chloride (1,18g, 10,5 mmoles) in 10 ml dry dichloromethane. The resulting reaction mixture is stirred for 1 hour at room temperature. Afterwards said reaction mixture is washed with 2x30 ml HCl (2 N) 1x30 ml water, dried over sodium sulfate and evaporated. 2,48 g. (Yield 95 %) of 2-chloro-N-(4-phenoxyphenyl)acetamide were obtained.

IR cm<sup>-1</sup>(KBr) :3270,1660, 1506, 1490, 1236, 843, 752, 691.

**Example Ba:**

Synthesis of a compound of general formula (Va)

**Preparation of 6-Chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride**



**a) 1-(*tert*-Butyloxycarbonyl)-4-[4-chloro-(2-hydroxymethylphenylamine)] piperidine**

A solution of 1-(*tert*-butyloxycarbonyl)-4-piperidinone (20 g, 0.10 mol), 2-amino-5-chlorobenzyl alcohol (17.34 g, 0.11 mol) and acetic acid (14 mL, 0.22 mol) in dry toluene (500 mL) was heated at reflux temperature, with water elimination by means of azeotrope distillation with Dean-Stark, for 6 hours. The mixture was then cooled and vacuum concentrated up to half volume.  $\text{NaBH}_3\text{CN}$  (20 g, 0.32 mol) and dry THF (300 mL) were added to the resulting solution. Acetic acid (10 mL, 0.17 mol) was then dripped for one hour. The reaction was stirred at room temperature for 24 hours. The mixture was vacuum concentrated and the residue was dissolved in ethyl acetate (750 mL), washed with a  $\text{NaHCO}_3$ -saturated solution (4 x 250 mL) and a NaCl-saturated solution (250 mL), dried and evaporated to dryness. The residue was purified by means of flash chromatography eluting with a mixture of ethyl acetate: petroleum ether (1:3). The desired product was thus obtained as an oil (32.7 g, 96%).  $^1\text{H}$  NMR ( $\text{CDCl}_3$ ): 1.32 (d,  $J=11.2$  Hz, 2H), 1.41 (s, 9H), 1.92 (d,  $J=11.2$  Hz, 2H), 2.92 (t,  $J=12.0$  Hz, 1H), 3.10 (s, 1H), 3.37 (m, 1H), 3.88 (d,  $J=13.7$  Hz, 2H), 4.49 (s, 2H), 4.75 (s, 1H), 6.52 (d,  $J=8.6$  Hz, 1H), 6.96 (s, 1H), 7.07 (d,  $J=8.6$  Hz, 1H).

**b.) 1-(1-*tert*-Butyloxycarbonyl-4-piperidinyl)-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one**

N, N-diisopropylethylamine (DIEA) (43 mL, 0.25 mol) and triphosgene (8.65 g, 29.2 mmol) were added to a solution of 1-(*tert*-Butyloxycarbonyl)-4-[(4-chloro-(2-hydroxymethyl) phenyl-amino)]piperidine (27.0 g, 79 mmol) in dry THF (250 mL) cooled at 0°C. The reaction was stirred at 0°C for 1 h and at room temperature for 72 h. Ethyl ether was added and the mixture was cooled at 0°C for 3 h and the DIEA hydrochloride was then filtered. The filtered solution was evaporated to dryness and the residue was dissolved in ethyl acetate (750 mL), washed with 5% solution of citric acid (2 x 500 mL), water (250 mL) and NaHCO<sub>3</sub>-saturated solution (2 x 500 mL). The ethyl acetate solution was dried (MgSO<sub>4</sub>), filtered and evaporated under reduced pressure. The residue was brought to a boil with ethyl ether until the whole solid was dissolved and then cooled overnight to yield the desired compound in crystalline form (28.9 g, 67%).

Melting point: 177-179 °C

<sup>1</sup>H NMR (CDCl<sub>3</sub>): 1.46 (s, 9H), 1.79 (d, *J*= 10.1 Hz, 1H), 2.54 (m, 2H), 2.78 (m, 2H), 3.96 (m, 1H), 4.28 (m, 2H), 5.02 (s, 2H), 6.98 (d, *J*= 8.7 Hz, 1H) 7.13 (d, *J*= 2.4 Hz, 1H), 7.28 (dd, *J*= 8.7 Hz, *J*= 2.4 Hz, 1H).

**c.) 6-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride**

A solution of 1-[(1-*tert*-Butyloxycarbonyl)-4-piperidinyl]-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one (24 g, 65 mmol) in ethyl acetate (500 mL) was cooled at 0°C. Afterwards a 5 M solution of hydrogen chloride in ethyl ether (500 mL) was added and the resulting mixture was stirred at 0°C for 4 h. The precipitate formed was collected by filtration, washed with ether and vacuum dried to yield the desired product as a solid (16.95 g, 97%).

Melting point: 254-257 °C

<sup>1</sup>H NMR (CD<sub>3</sub>OD): 2.13 (d, *J*= 12.2 Hz, 2H), 2.88 (m, 2H), 3.20 (m, 2H), 3.53 (d, *J*= 12.8 Hz, 2H), 4.24 (m, 1H), 5.16 (s, 2H), 7.31 (m, 2H), 7.41 (dd, *J*= 8.8 Hz, *J*= 2.6 Hz, 1H).

Several substituted 3,1-benzoxazin-2-one compounds were prepared via the respectively substituted benzyl alcohols obtained by reduction of the corresponding substituted anthranilic acids with lithium aluminium hydride and other reducing agents known and used in the state of the art (see scheme 2), e.g. por ejemplo 6-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and others. The removal of the protecting group of the corresponding 8-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one 6-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 5-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one compounds according to conventional methods, e.g. BBr<sub>3</sub> in an inert organic solvent yields the respective 8-hydroxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-hydroxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 5-hydroxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one compounds. The unsubstituted benzoxazin-2-one 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one is prepared according the method described in J. Med. Chem. 1995, 38, 4634 and J. Med. Chem. 1998, 41, 2146, which are hereby incorporated by reference and form part of the disclosure.

#### Reduction of the substituted anthranilics:

The reduction of the substituted anthranilic acids was performed by conventional methods known in the state of the art, e.g. by the use of LiAlH<sub>4</sub> as reducing agent in anhydrous THF under an inert-gas atmosphere, e.g. argon or nitrogen. The process

is very efficient and in most cases the corresponding 2-aminobenzylalcohols are obtained in very good yields.

General method for the reduction of substituted anthranilic acids:

To a three neck flask, equipped with a mechanical stirrer and an inlet for gaseous nitrogen, 100 mL anhydrous THF and 116,6 mmol of  $\text{LiAlH}_4$  were given and the resulting suspension cooled to 0 °C. After the addition of 58,3 mmol of the corresponding substituted anthranilic acid in 150 mL anhydrous THF, the resulting reaction mixture is warmed to room temperature and stirred for about an hour. Under cooling to 0° C 4,7 mL water, 4,7 mL NaOH 15 wt.-%, and finally 14 mL water are carefully added to the mixture. The resulting suspension is filtered and washed with ethylacetate.

The organic phase is washed with water, dried and evaporated. In some cases the resulting product may be used without further purification.

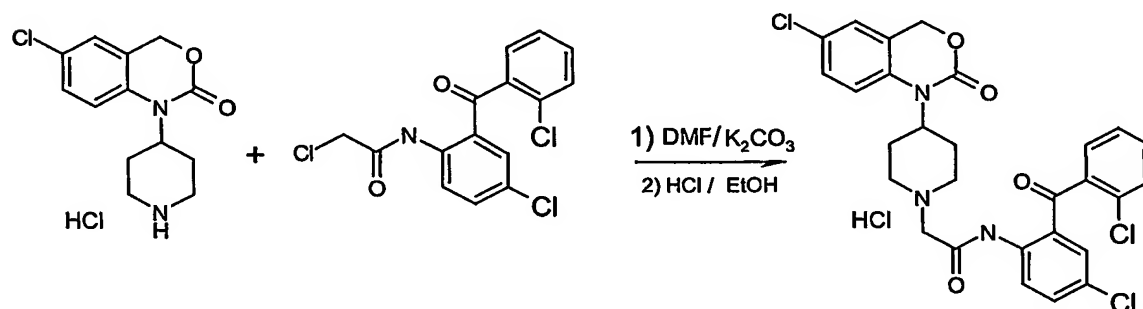
#### Example 1a:

##### **Preparation of 1-{1-[N-(9-oxo-9H-fluoren-2-yl)aminocarbonylmethyl]-4-(piperidinyl)}-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride.**

A mixture of 1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride (2.68 g, 10 mmol), N-(9-oxo-9H-fluoren-2-yl)-2-chloroacetamide (2.99 g, 11 mmol) and  $\text{K}_2\text{CO}_3$  (5.53 g, 40 mmol) in DMF (40 mL) was stirred overnight at room temperature.  $\text{H}_2\text{O}$  (100 mL) was then added and the precipitate formed was collected by filtration. The solid was dissolved in hot ethyl acetate, washed with water, decanted, dried and evaporated to dryness. The residue dissolved in EtOH was brought to pH=3 with a 1M solution of hydrogen chloride in EtOH and filtered to yield the desired hydrochloride in crystalline form (3.73 g, 74%).

**Example 104a:**

Preparation of N-[4-chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride



A mixture of 1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride (161 mg, 0,60 mmol), 2-(2-chloroacetamide)-2',5-dichlorobenzophenone (226 mg, 0,66 mmol) and  $K_2CO_3$  (330 mg, 2,40 mmol) in DMF (10 mL) is stirred at room temperature overnight. Afterwards  $H_2O$  (15 mL) is added and the formed precipitate harvested by filtration. The solid is dissolved in ethyl acetate, washed with water, decanted, dried and evaporated. The residue dissolved in ethanol and upon addition of 0,22 ml of a 2,8 M solution of hydrochloric acid in ethanol abs. the hydrochloride salt is crystallized, which was filtered and dried. 209 mg of a white solid were obtained.

Yield 61%.

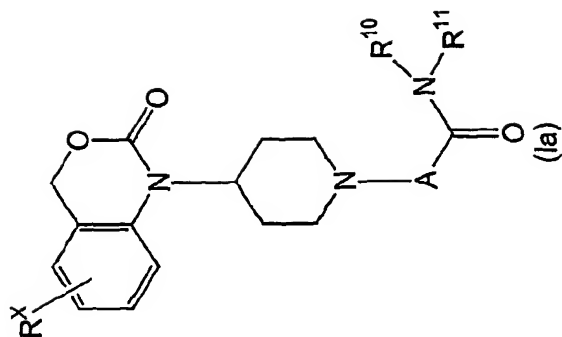
IR ( $cm^{-1}$ ) KBr: 3398, 2860, 1702, 1493, 1295, 1246, 1202, 1042, 946, 758.

$^1H$ -NMR: 1.9 (d,  $J=12.9$  Hz, 2 H) 2.9 (m, 2 H) 3.2 (m, 2 H) 3.5 (d,  $J=11.2$  Hz, 2 H) 4.0 (s, 2 H) 4.2 (m, 1 H) 5.0 (s, 2 H) 7.3 (m, 4 H) 7.4 (m, 1 H) 7.5 (m, 2 H) 7.5 (m, 1 H) 7.6 (dd,  $J=8.5, 2.4$  Hz, 1 H) 7.8 (d,  $J=8.5$  Hz, 1 H) 10.2 (s, 1 H) 10.9 (s, 1 H) (DMSO- $d_6$ ).

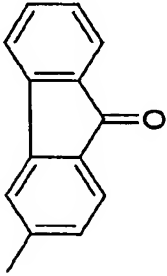
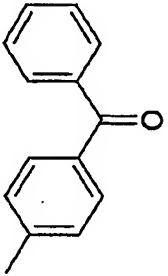
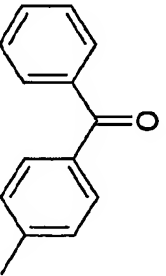
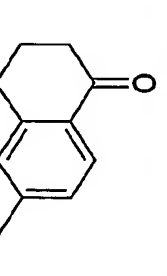
Melting point: 201-204 °C

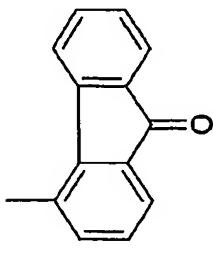
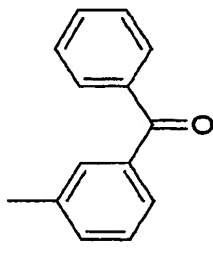
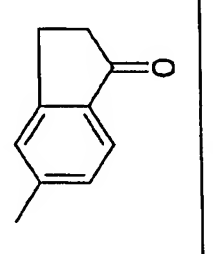
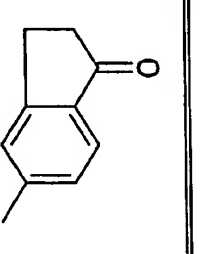
The melting point data of some of the benzoxazinone-derived compounds of general formula (Ia) prepared according to the analog method described in examples 1 and 104 are shown in the following table.

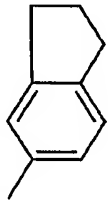
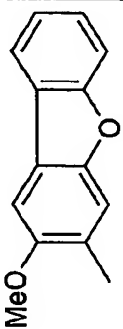
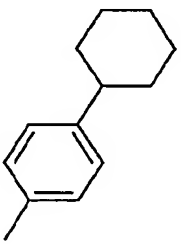
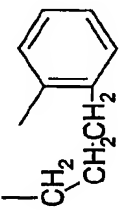
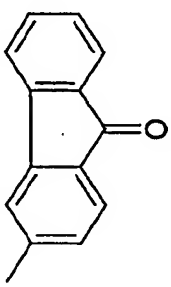
In the compounds according to examples 1-100 three of the substituents  $R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$  and  $R^{4a}$  as well as the substituents  $R^5$  to  $R^9$  all represent H. Thus, the general formula (Ia) may be written in the simplified form (Ia) given below, wherein  $R^x$  indicates the respective substituents  $R^{1a}$ - $R^{4a}$ .

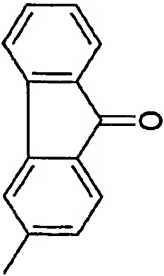
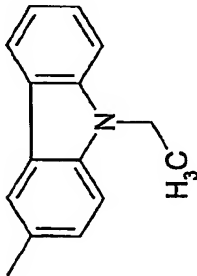
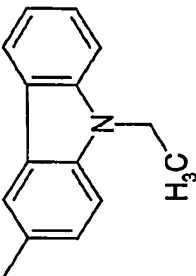
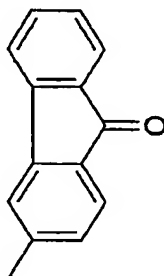


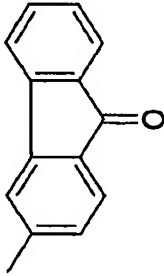
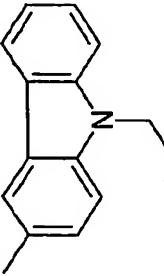
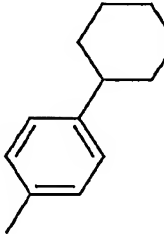
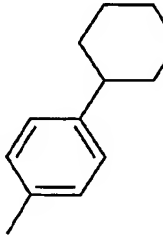
Ex	R <sup>X</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1	H	CH <sub>2</sub>	H		HCl	276-280	3241, 1696, 1608, 1560, 1463, 1391, 1293, 1259, 1206, 739.	2.00 (d, J = 12.6 Hz, 2H), 2.90 (m, J = 12.6 Hz, 2H), 3.43 (m, 2H), 3.66 (d, J = 9.7 Hz, 2H), 4.21 (s, 2H), 4.28 (m, 1H), 5.16 (s, 2H), 7.13 (m, 1H), 7.34 (m, 4H), 7.59 (d, J = 7.0 Hz, 2H), 7.76 (m, 3H), 8.00 (s, 1H), 10.26 (s, 1H), 11.36 (s, 1H). (DMSO-d <sub>6</sub> )
2	H	CH <sub>2</sub>	H		—	192-194	1704, 1611, 1511, 1293, 1205, 768.	1.74 (d, J = 10.8 Hz, 2H), 2.38 (m, 2H), 2.62 (m, 2H), 2.99 (d, J = 11.1 Hz, 2H), 3.24 (s, 2H), 3.87 (m, 1H), 5.12 (s, 2H), 7.09 (t, J = 7.2 Hz, 1H), 7.27 (d, J = 7.7 Hz, 2H), 7.37 (t, J = 7.5 Hz, 2H), 7.59 (m, 4H), 7.68 (d, J = 7.5 Hz, 1H), 8.07 (s, 1H), 10.22 (s, 1H). (DMSO-d <sub>6</sub> )

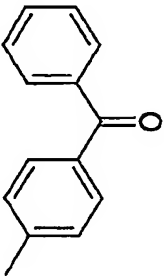
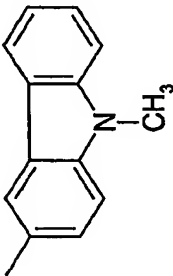
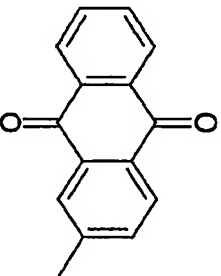
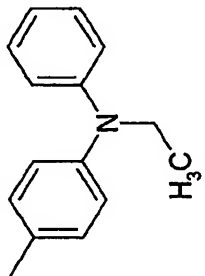
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
3	H	CH <sub>2</sub>	H		HCl	>275	3433, 1705, 1609, 1557, 1467, 1451, 1297, 1253, 1111, 769	2.02 (d, J = 12.6 Hz, 2H), 2.91 (m, J = 12.6 Hz, 2H), 3.43 (m, 2H), 3.67 (d, J = 9.9 Hz, 2H), 4.26 (m, 3H), 5.16 (s, 2H), 7.13 (m, 1H), 7.30 (d, J = 7.5 Hz, 1H), 7.40 (m, 3H), 7.64 (m, 5H), 8.06 (s, 1H), 10.29 (s, 1H), 11.46 (s, 1H). (DMSO-d <sub>6</sub> )
4	H	CH <sub>2</sub>	H		—	133-137	3630, 3449, 3249, 1682, 1600, 1516, 1498, 1316, 1282, 1045, 757, 697	1.73 (d, J = 11.7 Hz, 2H), 2.36 (m, J = 11.2 Hz, 2H), 2.61 (m, J = 11.7 Hz, 2H), 2.98 (d, J = 10.8 Hz, 2H), 3.22 (s, 2H), 3.87 (m, J = 11.7 Hz, 1H), 5.11 (s, 2H), 7.09 (t, J = 7.3 Hz, 1H), 7.27 (d, J = 7.3 Hz, 2H), 7.36 (t, J = 7.7 Hz, 1H), 7.54 (t, J = 7.3 Hz, 2H), 7.69 (m, 5H), 7.83 (s, 1H), 10.18 (s, 1H). (DMSO-d <sub>6</sub> )
5	H	CH <sub>2</sub>	H		HCl	238-243	3457, 1685, 1599, 1542, 1401, 1280, 1034, 700	2.00 (d, J = 11.9 Hz, 2H), 2.91 (m, J = 12.6 Hz, 2H), 3.41 (m, 2H), 3.65 (d, J = 11.2 Hz, 2H), 4.26 (m, 3H), 5.16 (s, 2H), 7.12 (m, 1H), 7.30 (d, J = 7.5 Hz, 1H), 7.39 (d, J = 3.8 Hz, 2H), 7.54 (m, 2H), 7.68 (m, 3H), 7.81 (m, 4H), 10.31 (s, 1H), 11.51 (s, 1H). (DMSO-d <sub>6</sub> )
6	H	CH <sub>2</sub>	H		HCl	260-264	3400, 1710, 1671, 1592, 1549, 1391, 1260, 1204, 1043, 770	1.98 (m, 4H), 2.52 (m, 2H), 2.91 (m, 4H), 3.41 (m, 2H), 3.64 (m, J = 10.4 Hz, 2H), 4.25 (m, 3H), 5.16 (s, 2H), 7.14 (m, 1H), 7.30 (d, J = 7.3 Hz, 1H), 7.40 (m, 2H), 7.58 (m, 2H), 7.86 (d, J = 8.6 Hz, 1H), 10.22 (s, 1H), 11.15 (s, 1H). (DMSO-d <sub>6</sub> )

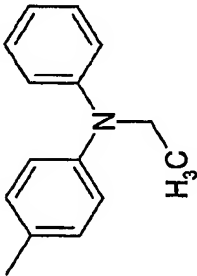
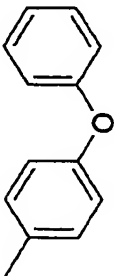
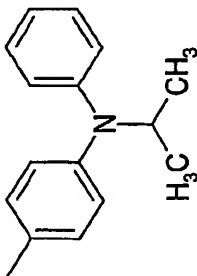
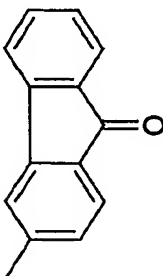
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
7	H	CH <sub>2</sub>	H		HCl	270-273	1710, 1698, 1608, 1541, 1466, 1390, 1292, 1263, 1201, 737	2.03 (d, J = 12.1 Hz, 2H), 2.90 (m, J = 11.2 Hz, 2H), 3.49 (m, 2H), 3.70 (d, J = 11.2 Hz, 2H), 4.29 (m, 1H), 4.40 (s, 2H), 5.16 (s, 2H), 7.14 (m, 1H), 7.30 (d, J = 7.3 Hz, 1H), 7.42 (m, 4H), 7.61 (m, 4H), 7.82 (d, J = 7.1 Hz, 1H), 10.29 (s, 1H), 10.96 (s, 1H). (DMSO-d <sub>6</sub> )
8	H	CH <sub>2</sub>	H		HCl	214-218	3447, 1686, 1609, 1592, 1298, 1208, 1043, 721	2.00 (d, J = 12.1 Hz, 2H), 2.89 (m, J = 11.2 Hz, 2H), 3.33 (m, 2H), 3.64 (d, J = 10.6 Hz, 2H), 4.17 (s, 2H), 4.26 (m, 1H), 5.16 (s, 2H), 7.13 (m, 1H), 7.34 (m, 3H), 7.54 (m, 4H), 7.71 (m, 3H), 7.86 (d, J = 8.1 Hz, 1H), 8.08 (s, 1H), 10.17 (s, 1H), 10.99 (s, 1H). (DMSO-d <sub>6</sub> )
9	H	CH <sub>2</sub>	H		—	206-209	3327, 1720, 1696, 1592, 1514, 1285, 1206, 1045, 768, 753	1.73 (d, J = 11.5 Hz, 2H), 2.36 (m, J = 11.0 Hz, 2H), 2.59 (m, 4H), 2.97 (d, J = 10.8 Hz, 2H), 3.05 (m, 2H), 3.21 (s, 2H), 3.86 (m, 1H), 5.11 (s, 2H), 7.09 (t, J = 7.2 Hz, 1H), 7.27 (d, J = 7.5 Hz, 2H), 7.36 (m, 1H), 7.58 (s, 2H), 7.95 (s, 1H), 10.14 (s, 1H). (DMSO-d <sub>6</sub> )
10	H	CH <sub>2</sub>	H		HCl	272-277	3463, 1709, 1595, 1555, 1390, 1284, 1256, 1204, 1042, 771	2.00 (d, J = 12.4 Hz, 2H), 2.60 (m, 2H), 2.90 (m, J = 11.5 Hz, 2H), 3.07 (m, 2H), 3.41 (m, 2H), 3.63 (m, 2H), 4.25 (m, 3H), 5.16 (s, 2H), 7.12 (m, 1H), 7.30 (d, J = 7.1 Hz, 1H), 7.38 (d, J = 3.7 Hz, 2H), 7.63 (s, 2H), 7.94 (s, 1H), 10.28 (s, 1H), 11.48 (s, 1H). (DMSO-d <sub>6</sub> )

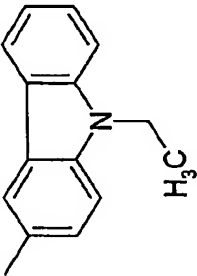
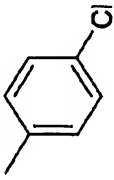
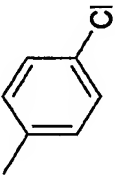
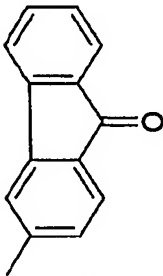
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
11	H	CH <sub>2</sub>	H		HCl	230-231	2949, 1701, 1607, 1558, 1496, 1394, 1292, 1206, 1042, 771	1.99 (m, 4H), 2.83 (m, 6H), 3.43 (m, 2H), 3.63 (d, J = 10.1 Hz, 2H), 4.17 (s, 2H), 4.29 (m, 1H), 5.15 (s, 2H), 7.15 (m, 2H), 7.30 (d, J = 7.5 Hz, 1H), 7.37 (m, 3H), 7.54 (s, 1H), 10.24 (s, 1H), 10.95 (s, 1H). (DMSO-d <sub>6</sub> )
12	H	CH <sub>2</sub>	H		HCl	182-187	3448, 1592, 1550, 1432, 1400, 1299, 1209, 1043, 770, 721	2.02 (d, J = 12.8 Hz, 2H), 2.91 (m, J = 10.6 Hz, 2H), 3.45 (m, 2H), 3.68 (d, J = 12.1 Hz, 2H), 3.99 (s, 3H), 4.29 (s, 2H), 4.42 (m, 1H), 5.16 (s, 2H), 7.10-8.40 (10 H), 10.18 (s, 1H), 11.18 (s, 1H). (DMSO-d <sub>6</sub> )
13	H	CH <sub>2</sub>	H		HCl	256-260	3422, 1701, 1609, 1550, 1393, 1292, 1260, 1205, 1043	1.29 (m, 5H), 1.72 (m, 5H), 2.00 (d, J = 13.2 Hz, 2H), 2.45 (m, 1H), 2.91 (m, J = 11.7 Hz, 2H), 3.39 (m, 2H), 3.64 (m, 2H), 4.16 (s, 2H), 4.30 (m, 1H), 5.15 (s, 2H), 7.13 (m, 3H), 7.29 (d, J = 7.3 Hz, 1H), 7.38 (m, 2H), 7.54 (d, J = 8.2 Hz, 2H), 10.28 (s, 1H), 10.96 (s, 1H). (DMSO-d <sub>6</sub> )
14	H	CH <sub>2</sub>			HCl	198-203	3427, 1677, 1497, 1390, 1297, 1205, 1039, 753	1.91 (m, 4H), 2.73 (t, J = 6.5 Hz, 2H), 2.93 (m, J = 11.4 Hz, 2H), 3.40 (m, 2H), 3.66 (m, 4H), 4.28 (m, 1H), 4.52 (m, 2H), 5.15 (s, 2H), 7.25 (m, 8H), 10.18 (s, 1H). (DMSO-d <sub>6</sub> )
15	H	CHC <sub>6</sub> H <sub>5</sub>	H		HCl	247-249	3435, 1709, 1691, 1608, 1561, 1298, 766, 743	1.91 (d, J = 12.0 Hz, 1H), 2.06 (d, J = 12.8 Hz, 1H), 2.94 (m, 3H), 3.23 (m, 1H), 3.45 (m, 1H), 3.78 (m, 1H), 4.32 (m, 1H), 5.14 (s, 2H), 5.49 (s, 1H), 7.12 (m, 1H), 7.28 (d, J = 7.3 Hz, 1H), 7.38 (m, 3H), 7.59 (m, 8H), 7.80 (m, 2H), 8.07 (s, 1H), 10.73 (s, 1H), 12.16 (s, 1H). (DMSO-d <sub>6</sub> )

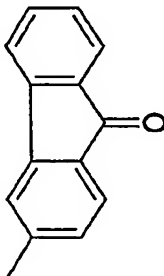
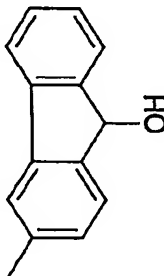
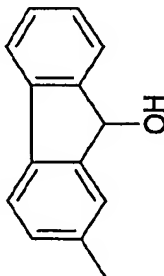
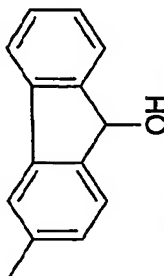
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
16	H	CHCH <sub>3</sub>	H		HCl	242-252	—	1.62 (d, J = 6.4 Hz, 3H), 2.05 (d, J = 13.0 Hz, 2H), 2.91 (m, 2H), 3.57 (m, 2H), 4.35 (m, 2H), 5.16 (s, 2H), 7.12 (m, 1H), 7.38 (m, 4H), 7.65 (m, 5H), 8.14 (s, 1H), 10.35 (s, 1H), 11.77 (s, 1H). (DMSO-d <sub>6</sub> )
17	H	CH <sub>2</sub>	H		—	212-214	3298, 2975, 1713, 1684, 1531, 1492, 1208, 1040, 768, 747	1.29 (t, J = 7.0 Hz, 3H), 1.77 (d, J = 10.6 Hz, 2H), 2.39 (m, 2H), 2.66 (m, 2H), 3.04 (d, J = 11.6 Hz, 2H), 3.20 (s, 2H), 3.90 (m, 1H), 3.04 (d, J = 11.0 Hz, 2H), 3.20 (s, 2H), 3.90 (m, 1H), 4.41 (q, J = 7.0 Hz, 2H), 5.13 (s, 2H), 7.10 (t, J = 7.5 Hz, 1H), 7.17 (t, J = 7.5 Hz, 1H), 7.29 (m, 2H), 7.41 (m, 2H), 7.58 (m, 3H), 8.07 (d, J = 7.5 Hz, 1H), 8.42 (s, 1H), 9.76 (s, 1H). (DMSO-d <sub>6</sub> )
18	H	CH <sub>2</sub>	H		HCl	246-250	3248, 2966, 1683, 1608, 1493, 1299, 1226, 1040, 771, 745	1.28 (t, J = 6.8 Hz, 3H), 2.00 (d, J = 11.9 Hz, 3H), 2.93 (m, J = 11.5 Hz, 2H), 3.43 (m, 2H), 3.69 (d, J = 10.3 Hz, 2H), 4.28 (m, 3H), 4.41 (q, J = 6.8 Hz, 2H), 5.16 (s, 2H), 7.15 (m, 2H), 7.30 (d, J = 7.3 Hz, 1H), 7.41 (m, 3H), 7.62 (m, 3H), 8.05 (d, J = 7.9 Hz, 1H), 8.47 (s, 1H), 10.33 (s, 1H), 11.15 (s, 1H). (DMSO-d <sub>6</sub> )
19	6-CH <sub>3</sub>	CH <sub>2</sub>	H		—	237-239	1706, 1611, 1596, 1508, 1292, 1214	1.72 (d, J = 11.7 Hz, 2H), 2.25 (s, 3H), 2.38 (m, 2H), 2.62 (m, 2H), 2.99 (d, J = 11.0 Hz, 2H), 3.23 (s, 2H), 3.85 (m, 1H), 5.06 (s, 2H), 7.06 (s, 1H), 7.15 (s, 2H), 7.37 (t, J = 7.3 Hz, 1H), 7.58 (m, 4H), 7.67 (d, J = 7.3 Hz, 1H), 8.06 (s, 1H), 10.17 (s, 1H). (DMSO-d <sub>6</sub> )

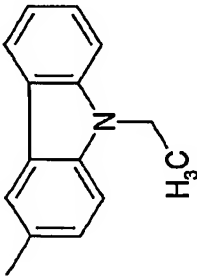
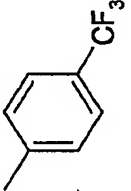
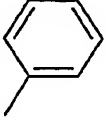
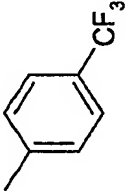
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
20	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	250-252	3411, 1707, 1683, 1608, 1551, 1296, 1252, 1111	1.99 (d, J = 13.4 Hz, 2H), 2.27 (s, 3H), 2.89 (m, 2H), 3.42 (m, 2H), 3.67 (m, 2H), 4.28 (m, 3H), 5.11 (s, 2H), 7.09 (m, 1H), 7.18 (d, J = 8.4 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.39 (t, J = 7.3 Hz, 1H), 7.61 (m, 5H), 8.07 (s, 1H), 10.35 (s, 1H), 11.65 (s, 1H). (DMSO-d <sub>6</sub> )
21	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	247-252	1683, 1492, 1460, 1299, 1225	1.29 (t, J = 7.0 Hz, 3H), 2.00 (d, J = 11.9 Hz, 3H), 2.27 (s, 3H), 2.91 (m, J = 11.2 Hz, 2H), 3.42 (m, 2H), 3.68 (d, J = 10.4 Hz, 2H), 4.22 (m, 3H), 4.42 (q, J = 7.1 Hz, 2H), 5.11 (s, 2H), 7.10 (m, 1H), 7.18 (m, 2H), 7.30 (d, J = 8.4 Hz, 1H), 7.45 (m, 1H), 7.60 (m, 3H), 8.05 (d, J = 7.9 Hz, 1H), 8.46 (s, 1H), 10.29 (s, 1H), 11.09 (s, 1H). (DMSO-d <sub>6</sub> )
22	6-CH <sub>3</sub>	CH <sub>2</sub>	H		—	155-157	2923, 2849, 1711, 1519, 1294, 1217, 1046	1.29 (m, 5H), 1.72 (m, 7H), 2.25 (m, 3H), 2.36 (m, 3H), 2.58 (m, 2H), 2.95 (d, J = 10.8 Hz, 2H), 3.12 (s, 2H), 3.83 (m, 1H), 5.06 (s, 2H), 7.06 (s, 1H), 7.13 (m, 4H), 7.51 (d, J = 8.2 Hz, 2H), 9.64 (s, 1H). (DMSO-d <sub>6</sub> )
23	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	242-246	3428, 2925, 1711, 1691, 1507, 1293, 1218, 1039, 827, 767	1.27 (m, 5H), 1.71 (m, 5H), 1.95 (d, J = 12.0 Hz, 2H), 2.26 (s, 3H), 2.43 (m, 1H), 2.89 (m, J = 11.5 Hz, 2H), 3.41 (m, 2H), 3.57 (m, 2H), 4.17 (m, 2H), 4.26 (m, 1H), 5.10 (s, 2H), 7.09 (s, 1H), 7.17 (d, J = 8.6 Hz, 2H), 7.18 (d, J = 8.6 Hz, 1H), 7.29 (d, J = 8.4 Hz, 2H), 7.55 (d, J = 8.4 Hz, 2H), 10.32 (s, 1H), 11.11 (s, 1H). (DMSO-d <sub>6</sub> )

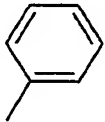
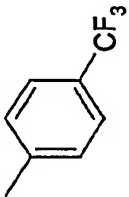
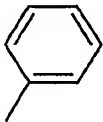
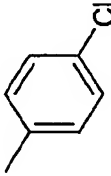
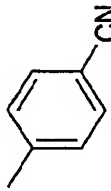
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
24	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	240-244	3432, 2995, 1702, 1598, 1539, 1314, 1281, 1039, 700	1.99 (d, J = 11.3 Hz, 2H), 2.27 (s, 3H), 2.90 (m, 2H), 3.42 (m, 2H), 3.65 (m, 2H), 4.27 (m, 3H), 5.11 (s, 2H), 7.10 (s, 1H), 7.18 (d, J = 8.2 Hz, 1H), 7.29 (d, J = 8.2 Hz, 2H), 7.55 (t, J = 7.3 Hz, 2H), 7.66 (m, 3H), 7.77 (d, J = 8.8 Hz, 2H), 7.86 (d, J = 8.4 Hz, 2H), 10.35 (s, 1H), 11.61 (s, 1H). (DMSO-d <sub>6</sub> )
25	H	CH <sub>2</sub>	H		HCl	191-193	3425, 3048, 1709, 1686, 1607, 1496, 1248, 1040, 771, 750	2.03 (d, J = 12.4 Hz, 2H), 2.93 (m, J = 11.2 Hz, 2H), 3.42 (m, 2H), 3.69 (d, J = 11.2 Hz, 2H), 3.86 (s, 3H), 4.22 (s, 2H), 4.32 (m, 1H), 5.17 (s, 2H), 7.13 (m, 1H), 7.20 (d, J = 7.3 Hz, 1H), 7.30 (d, J = 7.3 Hz, 1H), 7.43 (m, 3H), 7.58 (d, J = 10.2 Hz, 2H), 7.65 (d, J = 8.6 Hz, 1H), 8.06 (d, J = 7.7 Hz, 1H), 8.47 (s, 1H), 10.29 (s, 1H), 11.09 (s, 1H). (DMSO-d <sub>6</sub> )
26	H	CH <sub>2</sub>	H		HCl	280-282	3466, 3078, 1679, 1591, 1551, 1332, 1293, 1201, 917, 725	2.03 (d, J = 12.1 Hz, 2H), 2.92 (m, J = 11.4 Hz, 2H), 3.43 (m, 2H), 3.69 (d, J = 9.7 Hz, 2H), 4.29 (m, 3H), 5.16 (s, 2H), 7.14 (m, 1H), 7.14 (m, 1H), 7.30 (d, J = 7.3 Hz, 1H), 7.39 (d, J = 7.3 Hz, 1H), 7.39 (d, J = 3.8 Hz, 2H), 7.92 (m, 2H), 8.08 (d, J = 8.2 Hz, 1H), 8.21 (m, 3H), 8.57 (s, 1H), 10.30 (s, 1H), 11.65 (s, 1H). (DMSO-d <sub>6</sub> )
27	H	CH <sub>2</sub>	H		HCl	254-257	3432, 2980, 1714, 1689, 1508, 1492, 1258, 1204, 770, 753	1.09 (t, J = 7.0 Hz, 3H), 2.00 (d, J = 12.1 Hz, 2H), 2.90 (m, J = 11.3 Hz, 2H), 3.37 (m, 2H), 3.63 (m, 2H), 3.71 (q, J = 7.0 Hz, 2H), 4.15 (s, 2H), 4.29 (m, 1H), 5.16 (s, 2H), 6.84 (m, 3H), 7.01 (d, J = 9.0 Hz, 2H), 7.12 (m, 1H), 7.20 (m, 2H), 7.30 (d, J = 7.3 Hz, 1H), 7.39 (d, J = 3.8 Hz, 2H), 7.56 (d, J = 8.8 Hz, 2H), 10.23 (s, 1H), 10.92 (s, 1H). (DMSO-d <sub>6</sub> )

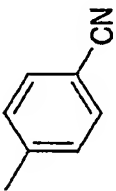
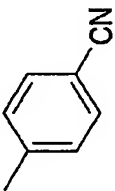
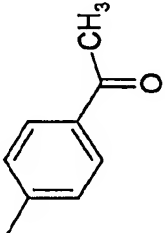
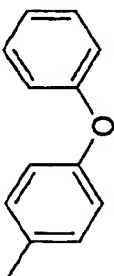
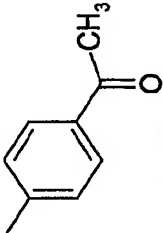
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
28	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	226-230	2976, 1708, 1690, 1509, 1378, 1291, 1256, 1216, 1040, 766	1.09 (t, J = 7.0 Hz, 3H), 1.98 (d, J = 13.0 Hz, 2H), 2.27 (s, 3H), 2.88 (m, J = 11.3 Hz, 2H), 3.41 (m, 2H), 3.63 (d, J = 11.2 Hz, 2H), 3.70 (q, J = 7.0 Hz, 2H), 4.15 (s, 2H), 4.26 (m, 1H), 5.10 (s, 2H), 6.84 (m, 3H), 7.00 (d, J = 9.0 Hz, 2H), 7.10 (m, 1H), 7.19 (m, 2H), 7.25 (m, 2H), 7.57 (d, J = 8.8 Hz, 2H), 10.24 (s, 1H), 10.97 (s, 1H). (DMSO-d <sub>6</sub> )
29	H	CH <sub>2</sub>	H		HCl	242-248	3044, 1703, 1686, 1506, 1487, 1392, 1226, 1040, 751, 694	2.01 (d, J = 12.8 Hz, 2H), 2.90 (m, J = 12.1 Hz, 2H), 3.41 (m, 2H), 3.63 (m, 2H), 4.18 (s, 2H), 4.29 (m, 1H), 5.16 (s, 2H), 6.96 (m, 2H), 7.03 (m, 2H), 7.12 (m, 2H), 7.35 (m, 5H), 7.67 (d, J = 8.8 Hz, 2H), 10.26 (s, 1H), 11.13 (s, 1H). (DMSO-d <sub>6</sub> )
30	H	CH <sub>2</sub>	H		HCl	171-173	3399, 2976, 1707, 1655, 1498, 1321, 1254, 1117, 753	1.02 (d, J = 6.6 Hz, 6H), 1.92 (d, J = 12.4 Hz, 2H), 2.86 (m, J = 10.6 Hz, 2H), 3.18 (m, J = 11.5 Hz, 2H), 3.50 (m, J = 11.5 Hz, 2H), 3.65 (s, 2H), 4.14 (m, 1H), 4.78 (hp, J = 6.6 Hz, 1H), 5.14 (s, 2H), 6.90 (t, J = 7.2 Hz, 1H), 7.12 (m, 6H), 7.30 (m, 6H), 8.61 (s, 1H), 9.85 (s, 1H). (DMSO-d <sub>6</sub> )
31	H	CH <sub>2</sub> CH <sub>2</sub>	H		HCl	240-242	—	2.03 (d, J = 12.5 Hz, 2H), 2.85 (m, J = 12.3 Hz, 2H), 3.04 (m, 2H), 3.24 (m, J = 12.1 Hz, 2H), 3.44 (m, 2H), 3.60 (d, J = 11.4 Hz, 2H), 4.29 (m, 1H), 5.16 (s, 2H), 7.13 (m, 1H), 7.30 (d, J = 6.8 Hz, 1H), 7.38 (m, 3H), 7.62 (m, 4H), 8.07 (s, 1H), 10.15 (s, 1H), 10.97 (s, 1H). (DMSO-d <sub>6</sub> )

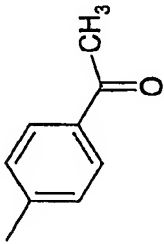
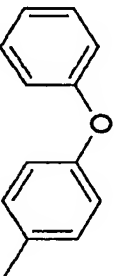
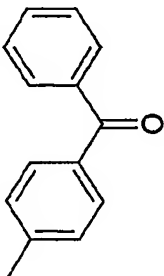
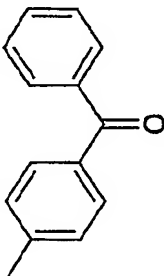
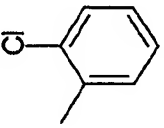
Ex	R <sup>X</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
32	6-Cl	CH <sub>2</sub>	H		HCl	265-268	2970, 1712, 1691, 1492, 1376, 1294, 1201, 1043	1.28 (t, J = 7.0 Hz, 3H), 2.01 (d, J = 12.4 Hz, 2H), 2.90 (m, 2H), 3.43 (m, 2H), 3.68 (m, 2H), 4.27 (m, 3H), 4.41 (q, J = 7.0 Hz, 2H), 5.16 (s, 2H), 7.17 (t, J = 7.4 Hz, 1H), 7.44 (m, 4H), 7.61 (m, 3H), 8.05 (d, J = 7.9 Hz, 1H), 8.47 (s, 1H), 10.33 (s, 1H), 11.16 (s, 1H). (DMSO-d <sub>6</sub> )
33	H	CH <sub>2</sub>	H		HCl	272-276	3454, 3057, 1701, 1610, 1552, 1492, 1394, 1292, 1254, 1024	1.99 (d, J = 12.4 Hz, 2H), 2.90 (m, J = 11.5 Hz, 2H), 3.40 (m, 2H), 3.63 (d, J = 11.0 Hz, 2H), 4.20 (s, 2H), 4.28 (m, 1H), 5.15 (s, 2H), 7.12 (m, 1H), 7.29 (d, J = 7.3 Hz, 1H), 7.40 (m, 4H), 7.69 (d, J = 8.8 Hz, 2H), 10.28 (s, 1H), 11.35 (s, 1H). (DMSO-d <sub>6</sub> )
34	6-Cl	CH <sub>2</sub>	H		HCl	279-282	3026, 1713, 1698, 1612, 1553, 1491, 1294, 1253, 1199, 1042	1.99 (d, J = 12.7 Hz, 2H), 2.86 (m, 2H), 3.41 (m, 2H), 3.62 (d, J = 10.4 Hz, 2H), 4.18 (s, 2H), 4.27 (m, 1H), 5.16 (s, 2H), 7.40 (m, 5H), 7.68 (d, J = 8.8 Hz, 2H), 10.26 (s, 1H), 11.24 (s, 1H). (DMSO-d <sub>6</sub> )
35	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	233-236	3410, 3014, 1701, 1609, 1561, 1450, 1371, 1285, 1237, 1109, 916, 768, 731	2.13 (d, J = 12.8 Hz, 2H), 2.40 (s, 3H), 2.91 (m, 2H), 3.42 (m, 2H), 3.63 (d, J = 10.2 Hz, 2H), 3.84 (m, 1H), 4.25 (s, 2H), 5.09 (s, 2H), 7.10 (m, 2H), 7.25 (d, J = 6.8 Hz, 1H), 7.38 (t, J = 7.4 Hz, 1H), 7.62 (m, 5H), 8.07 (s, 1H), 10.27 (s, 1H), 11.75 (s, 1H). (DMSO-d <sub>6</sub> )

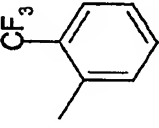
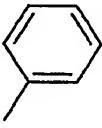
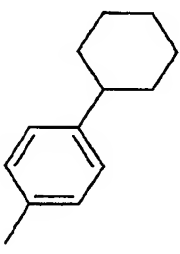
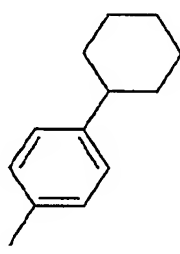
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
36	6-Cl	CH <sub>2</sub>	H		HCl	245-249	3421, 1701, 1609, 1560, 1371, 1298, 1201	2.01 (d, J = 11.8 Hz, 2H), 2.88 (m, 2H), 3.42 (m, 2H), 3.66 (d, J = 11.8 Hz, 2H), 4.30 (m, 3H), 5.16 (s, 2H), 7.39 (m, 4H), 7.60 (m, 5H), 8.08 (s, 1H), 10.39 (s, 1H), 11.75 (s, 1H). (DMSO-d <sub>6</sub> )
37	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	207-212	3435, 1679, 1390, 1263, 774	2.13 (d, J = 13.3 Hz, 2H), 2.40 (s, 3H), 2.91 (m, J = 12.0 Hz, 2H), 3.36 (m, 2H), 3.63 (d, J = 10.8 Hz, 2H), 3.83 (m, 1H), 4.18 (s, 2H), 5.09 (s, 2H), 5.45 (s, 1H), 5.86 (broad, 1H), 7.11 (m, 2H), 7.33 (m, 3H), 7.55 (m, 3H), 7.64 (d, J = 7.3 Hz, 1H), 8.05 (s, 1H), 10.19 (s, 1H), 11.22 (s, 1H). (DMSO-d <sub>6</sub> )
38	H	CH <sub>2</sub>	H		HCl	>225 (dec.)	3406, 3059, 1702, 1604, 1461, 1395, 1205, 1042, 769, 739	2.01 (d, J = 12.8 Hz, 2H), 2.91 (m, 2H), 3.42 (m, 2H), 3.66 (d, J = 9.6 Hz, 2H), 4.22 (s, 2H), 4.29 (m, 1H), 5.16 (s, 2H), 5.45 (s, 1H), 5.92 (broad, 1H), 7.12 (m, 1H), 7.32 (m, 5H), 7.55 (d, J = 7.2 Hz, 1H), 7.62 (d, J = 8.1 Hz, 1H), 7.72 (m, 2H), 7.96 (s, 1H), 10.27 (s, 1H), 11.17 (s, 1H). (DMSO-d <sub>6</sub> )
39	6-Cl	CH <sub>2</sub>	H		HCl	219-222	3422, 3045, 1701, 1559, 1491, 1295, 1200, 1042	2.01 (d, J = 11.9 Hz, 2H), 2.88 (m, 2H), 3.39 (m, 2H), 3.66 (d, J = 9.8 Hz, 2H), 4.27 (m, 3H), 5.16 (s, 2H), 5.45 (s, 1H), 5.86 (broad, 1H), 7.36 (m, 5H), 7.54 (m, 3H), 7.64 (d, J = 7.2 Hz, 1H), 8.06 (s, 1H), 10.28 (s, 1H), 11.17 (s, 1H). (DMSO-d <sub>6</sub> )

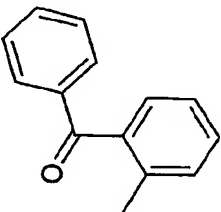
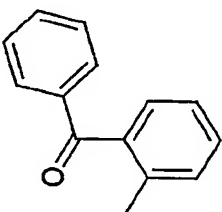
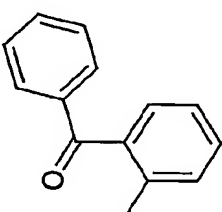
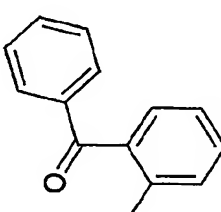
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
40	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	229-232	3449, 2976, 1710, 1685, 1490, 1384, 1326, 1225, 953, 745	1.28 (t, J = 7.0 Hz, 3H), 2.13 (d, J = 12.8 Hz, 2H), 2.40 (s, 3H), 2.92 (m, 2H), 3.40 (m, 2H), 3.64 (d, J = 11.0 Hz, 2H), 3.84 (m, 1H), 4.17 (s, 2H), 4.41 (q, J = 7.0 Hz, 2H), 5.09 (s, 2H), 7.13 (m, 3H), 7.25 (d, J = 7.3 Hz, 1H), 7.44 (m, 1H), 7.60 (m, 3H), 8.05 (d, J = 7.7 Hz, 1H), 8.43 (s, 1H), 10.18 (s, 1H), 11.09 (s, 1H). (DMSO-d <sub>6</sub> )
41	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	264-274	3449, 2990, 1703, 1610, 1556, 1327, 1119, 1065, 952, 844	2.1 (d, J=12.7 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.6 (d, J=12.0 Hz, 2 H) 3.8 (t, J=11.5 Hz, 1 H) 4.1 (s, 2 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.2 (d, J=7.1 Hz, 1 H) 7.7 (d, J=8.5 Hz, 2 H) 7.8 (s, 2 H) 10.2 (s, 1 H) 11.1 (s, 1 H). (DMSO-d <sub>6</sub> )
42	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	232-239	3190, 1696, 1599, 1556, 951, 773, 726, 694	2.1 (d, J=13.7 Hz, 2 H) 2.4 (s, 3 H) 3.0 (m, 2 H) 3.2 (s, 2 H) 3.6 (m, 2 H) 3.8 (m, 1 H) 4.1 (s, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.2 (d, J=7.8 Hz, 1 H) 7.3 (t, J=6.5 Hz, 2 H) 7.6 (d, J=8.1 Hz, 2 H) 10.1 (s, 1 H) 10.6 (s, 1 H). (DMSO-d <sub>6</sub> )
43	H	CH <sub>2</sub>	H		HCl	276-284	3407, 3055, 1708, 1610, 1555, 1324, 1112, 1065, 948, 845	2.0 (d, J=13.9 Hz, 2 H) 2.9 (q, J=12.0 Hz, 2 H) 3.3 (m, 2 H) 3.6 (d, J=12.2 Hz, 2 H) 4.2 (s, 2 H) 4.3 (d, J=12.2 Hz, 1 H) 5.1 (s, 2 H) 7.1 (m, 1 H) 7.2 (d, J=7.3 Hz, 1 H) 7.3 (d, J=3.7 Hz, 2 H) 7.6 (d, J=8.8 Hz, 2 H) 7.8 (m, 2 H) 10.2 (s, 1 H) 10.9 (s, 1 H). (DMSO-d <sub>6</sub> )

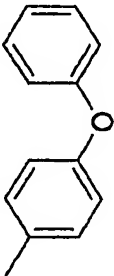
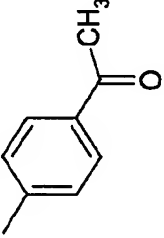
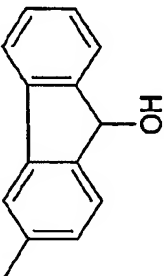
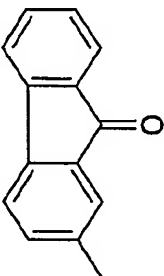
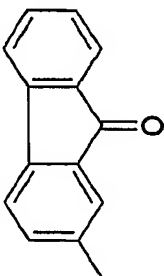
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
44	6-Cl	CH <sub>2</sub>	H		HCl	265-277	3001, 2494, 1712, 1696, 1602, 1559, 1259, 1041, 966, 760	2.0 (d, J=13.9 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=12.2 Hz, 2 H) 4.1 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 7.1 (t, J=7.3 Hz, 1 H) 7.3 (m, 2 H) 7.4 (m, 3 H) 7.6 (d, J=7.6 Hz, 2 H) 10.1 (s, 1 H) 10.6 (s, 1 H). (DMSO-d6)
45	6-Cl	CH <sub>2</sub>	H		HCl	284-285	2993, 2500, 1707, 1611, 1557, 1325, 1112, 1064, 949, 845	2.0 (d, J=12.9 Hz, 2 H) 2.9 (q, J=13.2 Hz, 2 H) 3.3 (m, 2 H) 3.6 (d, J=12.0 Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 7.4 (m, 3 H) 7.7 (m, 2 H) 7.8 (m, 2 H) 10.2 (s, 1 H) 11.0 (s, 1 H). (DMSO-d6)
46	H	CH <sub>2</sub>	H		HCl	262-272	3405, 3068, 1707, 1609, 1557, 1259, 1043, 947, 761	2.0 (d, J=13.4 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=11.7 Hz, 2 H) 4.1 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 7.1 (dd, J=7.3, 5.9 Hz, 2 H) 7.3 (m, 5 H) 7.6 (d, J=8.5 Hz, 2 H) 10.1 (s, 1 H) 10.6 (s, 1 H). (DMSO-d6)
47	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	245-253	3277, 2991, 1726, 1681, 1597, 1541, 1492, 1280, 1255, 1201	2.1 (d, J=13.2 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=2.9 Hz, 2 H) 3.8 (m, 1 H) 4.1 (s, 2 H) 5.0 (s, 2 H) 7.1 (m, 2 H) 7.2 (d, J=7.1 Hz, 1 H) 7.3 (d, J=7.1 Hz, 2 H) 7.6 (m, 2 H) 10.2 (s, 1 H) 10.8 (s, 1 H). (DMSO-d6)
48	H	CH <sub>2</sub>	H		HCl	268-282	3401, 2992, 2217, 1708, 1600, 1538, 1391, 1042, 950, 842	2.0 (d, J=12.7 Hz, 2 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.7 (d, J=11.5 Hz, 2 H) 4.3 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 1 H) 7.3 (d, J=7.8 Hz, 1 H) 7.4 (m, 2 H) 7.8 (m, 4 H) 10.2 (s, 1 H) 11.1 (s, 1 H). (DMSO-d6)

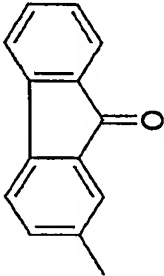
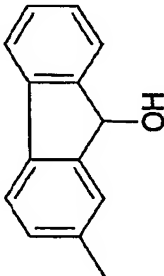
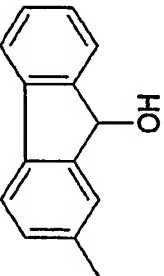
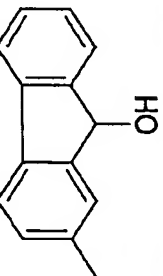
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
49	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	229-234	3448, 2978, 2223, 1707, 1600, 1541, 1035, 950, 839	2.1 (d, J=13.4 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=11.4 Hz, 2 H) 3.8 (t, J=11.0 Hz, 1 H) 4.1 (s, 2 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.2 (d, J=6.4 Hz, 1 H) 7.7 (m, 4 H) 10.2 (s, 1 H) 11.1 (s, 1 H). (DMSO-d6)
50	6-Cl	CH <sub>2</sub>	H		HCl	274-278	3414, 2986, 2219, 1721, 1602, 1541, 1313, 1200, 1040, 842	2.0 (d, J=12.6 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=12.2 Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1H) 5.1 (s, 2 H) 7.4 (m, 3 H) 7.8 (s, 4 H) 10.2 (s, 1 H) 11.0 (s, 1 H). (DMSO-d6)
51	H	CH <sub>2</sub>	H		HCl	>280	3448, 3044, 1708, 1600, 1395, 1261, 1043, 948, 842, 771	2.0 (d, J=13.5 Hz, 2 H) 2.5 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=11.4 Hz, 2 H) 4.1 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 7.1 (m, 1 H) 7.2 (d, J=7.3 Hz, 1 H) 7.3 (m, 2 H) 7.7 (d, J=8.8 Hz, 2 H) 7.9 (d, J=8.8 Hz, 2 H) 10.2 (s, 1 H) 10.8 (s, 1 H). (DMSO-d6)
52	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	162-167	3414, 3039, 1710, 1691, 1506, 1487, 1228	2.1 (d, J=13.0 Hz, 2 H) 2.3 (s, 3 H) 2.9 (q, J=11.9 Hz, 2 H) 3.2 (m, 2 H) 3.6 (d, J=11.1 Hz, 2 H) 3.8 (t, J=11.3 Hz, 1 H) 4.0 (s, 2 H) 5.0 (s, 2 H) 6.9 (m, 4 H) 7.0 (m, 3 H) 7.2 (d, J=7.0 Hz, 1 H) 7.3 (t, J=8.4 Hz, 2 H) 7.6 (d, J=8.9 Hz, 2 H) 10.1 (s, 1 H) 10.6 (s, 1 H). (DMSO-d6)
53	6-Cl	CH <sub>2</sub>	H		HCl	244-286	3579, 3475, 2992, 1717, 1667, 1600, 1545, 1263, 1041, 948	2.0 (d, J=13.7 Hz, 2 H) 2.5 (s, 3 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.7 (d, J=11.9 Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 7.4 (m, 3 H) 7.7 (d, J=8.6 Hz, 2 H) 8.0 (d, J=8.6 Hz, 2 H) 10.2 (s, 1 H) 11.0 (s, 1 H). (DMSO-d6)

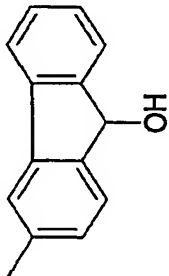
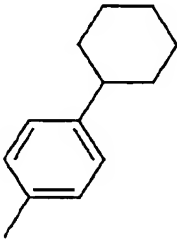
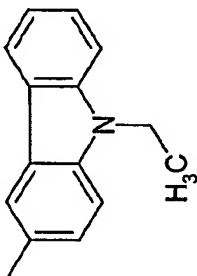
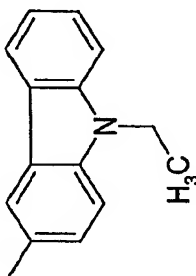
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
54	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	>280	3422, 2967, 1701, 1676, 1590, 1407, 1256, 950, 835, 773	2.1 (d, J=14.5 Hz, 2 H) 2.4 (s, 3 H) 2.5 (s, 3 H) 2.9 (m, 2 H) 3.3 (t, J=13.5 Hz, 2 H) 3.6 (d, J=12.3 Hz, 2 H) 3.8 (t, J=11.4 Hz, 1 H) 4.1 (s, 2 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.2 (d, J=7.3 Hz, 1 H) 7.7 (d, J=8.8 Hz, 2 H) 7.9 (d, J=8.8 Hz, 2 H) 10.2 (s, 1 H) 10.9 (s, 1 H). (DMSO-d6)
55	6-Cl	CH <sub>2</sub>	H		HCl	262-267	2990, 1714, 1560, 1488, 1231, 1039, 950, 871, 751	2.0 (d, J=13.2 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, 2 H) 4.1 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 7.0 (m, 4 H) 7.1 (t, J=7.4 Hz, 1 H) 7.3 (m, 5 H) 7.6 (d, J=9.0 Hz, 2 H) 10.2 (s, 1 H) 10.6 (s, 1 H). (DMSO-d6)
56	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	217	3432, 2894, 1701, 1649, 1597, 1541, 1281, 1033, 925, 857	2.1 (d, J=13.4 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=11.4 Hz, 2 H) 3.8 (m, 1 H) 4.1 (s, 2 H) 5.0 (s, 2 H) 7.1 (m, 2 H) 7.2 (d, J=7.5 Hz, 1 H) 7.5 (m, 2 H) 7.6 (dd, J=6.9, 2.1 Hz, 1 H) 7.7 (dd, J=8.2, 1.3 Hz, 2 H) 7.8 (s, 4 H) 10.2 (s, 1 H) 10.9 (s, 1 H). (DMSO-d6)
57	6-Cl	CH <sub>2</sub>	H		HCl	256-259	3449, 3051, 1708, 1599, 1541, 1315, 1203, 1041, 949, 702	2.0 (d, J=13.2 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=9.9 Hz, 2 H) 4.2 (s, 2 H) 4.2 (m, 1 H) 5.1 (s, 2 H) 7.3 (m, 3 H) 7.5 (t, J=7.3 Hz, 2 H) 7.6 (t, J=7.9 Hz, 1 H) 7.7 (m, 2 H) 7.7 (m, 4 H) 10.2 (s, 1 H) 10.9 (s, 1 H). (DMSO-d6)
58	6-CH <sub>3</sub>	CH <sub>2</sub>	H		-	146-148	3177, 3045, 1701, 1595, 1492, 1215, 1046, 966, 808	1.9 (d, J=13.7 Hz, 2 H) 2.3 (s, 3 H) 2.4 (m, 2 H) 2.9 (m, J=12.4, 4.0 Hz, 2 H) 3.1 (m, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.9 (m, 2 H) 7.1 (d, J=8.4 Hz, 1 H) 7.3 (d, 8.8 Hz, 2 H) 7.6 (d, J=8.8 Hz, 2 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)

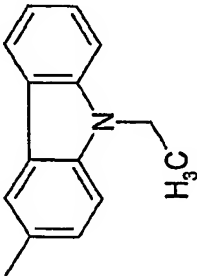
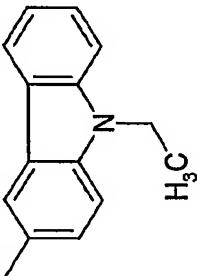
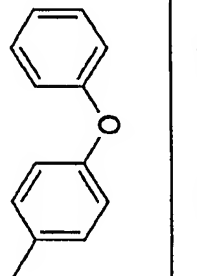
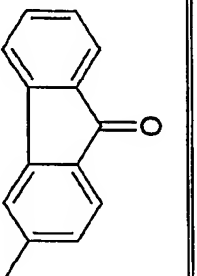
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
59	6-CH <sub>3</sub>	CH <sub>2</sub>	H		-	169-173	3302, 3068, 1730, 1706, 1609, 1508, 1329, 1114, 1067, 846	1.9 (d, J=11.7 Hz, 2 H) 2.3 (s, 3 H) 2.4 (m, 2 H) 2.9 (qd, J=12.6, 4.1 Hz, 2 H) 3.1 (d, J=11.5 Hz, 2 H) 3.2 (s, 2 H) 3.8 (t, J=12.0 Hz, 1 H) 5.1 (s, 2 H) 6.9 (m, 2 H) 7.1 (d, J=9.0 Hz, 1 H) 7.6 (d, J=8.8 Hz, 2 H) 7.8 (d, J=9.0 Hz, 2 H) 9.4 (s, 1 H). (CDCl <sub>3</sub> -d)
60	6-CH <sub>3</sub>	CH <sub>2</sub>	H		-	154-157	3550, 2799, 1697, 1601, 1522, 1443, 1213, 1047, 817, 764	1.9 (d, J=11.7 Hz, 2 H) 2.3 (s, 3 H) 2.4 (t, J=11.2 Hz, 2 H) 2.9 (qd, J=12.4, 3.6 Hz, 2 H) 3.1 (d, J=11.7 Hz, 2 H) 3.2 (s, 2 H) 3.8 (tt, J=12.0, 3.7 Hz, 1 H) 5.1 (s, 2 H) 6.9 (d, J=8.4 Hz, 1 H) 7.0 (s, 1 H) 7.1 (m, 2 H) 7.4 (m, 2 H) 7.6 (d, J=7.6 Hz, 2 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)
61	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	249-253	3449, 2922, 2849, 1695, 1611, 1550, 1257, 1037, 952, 832	1.3 (m, 4 H) 1.7 (m, 6 H) 2.1 (d, J=12.1 Hz, 2 H) 2.3 (s, 3 H) 2.4 (s, 1 H) 2.9 (m, 2 H) 3.2 (t, J=11.6 Hz, 2 H) 3.6 (d, J=10.8 Hz, 2 H) 3.8 (t, J=10.6 Hz, 1 H) 4.0 (s, 2 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.1 (m, 3 H) 7.4 (d, J=8.4 Hz, 2 H) 10.0 (br, 1 H) 10.4 (s, 1 H). (DMSO-d <sub>6</sub> )
62	6-Cl	CH <sub>2</sub>	H		HCl	249-256	2929, 1692, 1607, 1547, 1293, 1201, 1043, 830	1.3 (m, 4 H) 1.7 (m, 6 H) 2.0 (d, J=15.7 Hz, 2 H) 2.4 (m, 1 H) 2.9 (q, J=12.5 Hz, 2 H) 3.3 (t, J=11.9 Hz, 2 H) 3.6 (d, J=10.3 Hz, 2 H) 4.1 (s, 2 H) 4.2 (t, J=12.1 Hz, 1 H) 5.1 (s, 2 H) 7.1 (d, J=8.6 Hz, 2 H) 7.4 (m, 3 H) 7.5 (d, J=8.6 Hz, 2 H) 10.1 (br, 1 H) 10.5 (s, 1 H). (DMSO-d <sub>6</sub> )

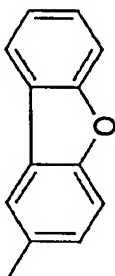
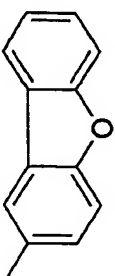
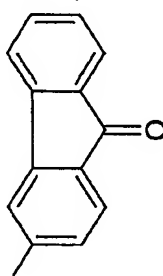
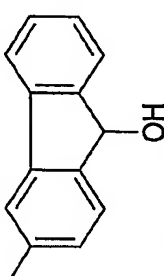
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
63	H	CH <sub>2</sub>	H		HCl	211-216	3260, 3058, 1681, 1610, 1296, 1036, 954, 772	1.9 (d, J=13.7 Hz, 2 H) 2.8 (m, 2 H) 3.1 (m, 2 H) 3.3 (d, J=10.6 Hz, 2 H) 3.9 (s, 2 H) 4.2 (t, J=10.3 Hz, 1 H) 5.1 (s, 2 H) 7.1 (t, J=7.1 Hz, 1 H) 7.4 (m, 8 H) 7.6 (m, 2 H) 7.7 (d, J=7.1 Hz, 2 H) 10.1 (br, 1 H) 10.8 (s, 1 H). (DMSO-d6)
64	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	168-176	3413, 2961, 1686, 1606, 1282, 1033, 951, 775	2.0 (d, J=13.4 Hz, 2 H) 2.3 (s, 3 H) 2.8 (m, 2 H) 3.0 (m, 2 H) 3.3 (d, J=10.8 Hz, 2 H) 3.7 (t, J=12.2 Hz, 1 H) 3.8 (s, 2 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.2 (d, J=7.7 Hz, 1 H) 7.3 (t, J=7.5 Hz, 1 H) 7.4 (m, 4 H) 7.6 (m, 2 H) 7.7 (d, J=7.7 Hz, 2 H) 10.0 (s, 1 H) 10.7 (s, 1 H). (DMSO-d6)
65	6-Cl	CH <sub>2</sub>	H		HCl	167-178	3259, 1686, 1491, 1299, 1205, 1041, 956, 770	1.9 (d, J=12.8 Hz, 2 H) 2.7 (m, 2 H) 3.1 (m, 2 H) 3.3 (d, J=10.6 Hz, 2 H) 3.9 (s, 2 H) 4.2 (m, 1 H) 5.1 (s, 2 H) 7.4 (m, 5 H) 7.5 (m, 3 H) 7.6 (m, 2 H) 7.7 (d, J=8.1 Hz, 2 H) 10.0 (s, 1 H) 10.8 (s, 1 H). (DMSO-d6)
66	6-CH <sub>3</sub>	CH <sub>2</sub>	H		-	167-170	3448, 2938, 1702, 1634, 1509, 1445, 1156, 1045	1.8 (d, J=9.3 Hz, 2 H) 2.3 (s, 3 H) 2.5 (m, 2 H) 2.9 (qd, J=12.6, 3.5 Hz, 2 H) 3.0 (d, J=11.2 Hz, 2 H) 3.2 (s, 2 H) 4.3 (tt, J=12.8, 4.6 Hz, 1 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.1 (m, 1 H) 7.5 (m, 2 H) 7.6 (m, 4 H) 7.8 (m, 2 H) 8.7 (d, J=8.1 Hz, 1 H) 11.9 (s, 1 H). (CDCl <sub>3</sub> -d)

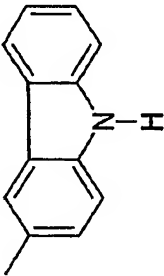
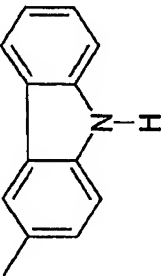
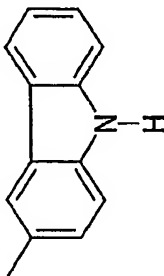
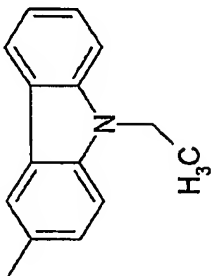
Ex	R <sup>X</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
67	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	234-237	3148, 2970, 2449, 1691, 1541, 1507, 1233, 1038	2.0 (d, J=14.1 Hz, 2 H) 2.2 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=12.1 Hz, 2 H) 4.1 (s, 2 H) 4.2 (m, 1 H) 5.1 (s, 2 H) 7.0 (m, 6 H) 7.2 (m, 2 H) 7.3 (t, J=7.8 Hz, 2 H) 7.6 (d, J=9.0 Hz, 2 H) 10.1 (s, 1 H) 10.6 (s, 1 H). (DMSO-d6)
68	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	273-277	2927, 1705, 1666, 1594, 1595, 1508, 1267, 1117, 946, 839	2.0 (d, J=13.2 Hz, 2 H) 2.2 (s, 3 H) 2.5 (s, 3 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.6 (d, J=12.1 Hz, 2 H) 4.2 (m, 3 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.2 (m, 2 H) 7.7 (d, J=8.8 Hz, 2 H) 7.9 (d, J=8.8 Hz, 2 H) 10.2 (br, 1 H) 10.9 (s, 1 H). (DMSO-d6)
69	H	CH <sub>2</sub>	H		HCl	270-273	3328, 3071, 2547, 1715, 1691, 1606, 1259, 1045, 775	2.0 (d, J=11.5 Hz, 2 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.7 (d, J=12.3 Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 5.4 (s, 1 H) 7.1 (m, 1 H) 7.3 (m, 2 H) 7.3 (m, 3 H) 7.5 (dd, J=8.2, 1.8 Hz, 1 H) 7.6 (m, 2 H) 7.6 (d, J=7.1 Hz, 1 H) 8.0 (d, J=1.6 Hz, 1 H) 10.1 (s, 1 H) 10.7 (s, 1 H). (DMSO-d6)
70	6-Cl	CH <sub>2</sub>	H		HCl	>300 (dec)	2999, 1707, 1603, 1561, 1490, 1463, 1298, 1200	2.0 (d, J=11.7 Hz, 2 H) 2.8 (m, 2 H) 3.1 (m, 2 H) 3.5 (d, 2 H) 4.3 (m, 3 H) 5.2 (s, 2 H) 7.3 (m, 1 H) 7.4 (m, 3 H) 7.6 (m, 2 H) 7.7 (m, 3 H) 8.0 (s, 1 H) 10.3 (s, 1 H) 11.4 (s, 1 H). (DMSO-d6)
71	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	281-285	2985, 1701, 1604, 1561, 1466, 1300, 1262	2.0 (d, J=11.7 Hz, 2 H) 2.3 (s, 3 H) 2.9 (m, 2 H) 3.2 (m, 2 H) 3.6 (d, 2 H) 4.2 (m, 3 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.3 (m, 3 H) 7.6 (m, 2 H) 7.7 (m, 3 H) 8.0 (s, 1 H) 10.3 (s, 1 H) 11.4 (s, 1 H). (DMSO-d6)

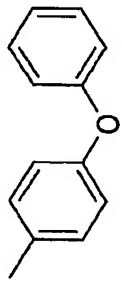
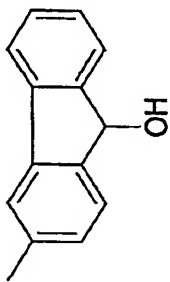
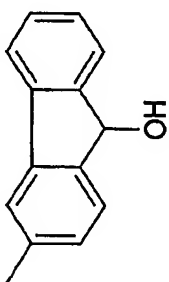
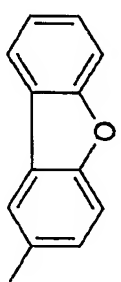
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
72	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	>300 (dec)	3448, 1686, 1603, 1561, 1463, 1304, 1276	2.0 (d, J=11.9 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, 2 H) 3.8 (m, 1 H) 4.2 (s, 2 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.3 (m, 3 H) 7.6 (m, 2 H) 7.7 (m, 3 H) 8.0 (s, 1 H) 10.3 (s, 1 H) 11.4 (s, 1 H). (DMSO-d6)
73	6-Cl	CH <sub>2</sub>	H		HCl	286-289	3423, 3000, 1707, 1603, 1560, 1491, 1460, 1201, 1041	2.0 (d, J=12.3 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.7 (d, J=11.2 Hz, 2 H) 4.1 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 5.4 (s, 1 H) 7.2 (t, J=7.3 Hz, 1 H) 7.3 (t, J=7.4 Hz, 1 H) 7.4 (m, 3 H) 7.5 (m, 2 H) 7.7 (t, J=8.8 Hz, 2 H) 7.9 (s, 1 H) 10.2 (s, 1 H) 10.7 (s, 1 H). (DMSO-d6)
74	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	196-199	3392, 3045, 1695, 1560, 1458, 1295, 1217, 1040	2.0 (d, J=12.1 Hz, 2 H) 2.3 (s, 3 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.7 (d, J=11.4 Hz, 2 H) 4.3 (m, 3 H) 5.1 (s, 2 H) 5.5 (s, 1 H) 5.9 (br, 1 H) 7.1 (s, 1 H) 7.2 (d, J=8.4 Hz, 1 H) 7.3 (m, 2 H) 7.3 (t, J=7.0 Hz, 1 H) 7.6 (d, J=7.1 Hz, 1 H) 7.6 (d, J=7.9 Hz, 1 H) 7.7 (m, 2 H) 8.0 (s, 1 H) 10.3 (s, 1 H) 11.2 (s, 1 H). (DMSO-d6)
75	8-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	283-285	3260, 1688, 1618, 1563, 1467, 1384, 1309, 1280	2.1 (d, J=13.5 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (d, J=11.0 Hz, 2 H) 3.8 (t, J=11.7 Hz, 1 H) 4.1 (s, 2 H) 5.1 (s, 2 H) 5.4 (s, 1 H) 7.1 (m, 2 H) 7.2 (td, J=7.4, 1.2 Hz, 2 H) 7.3 (m, 1 H) 7.5 (d, J=6.8 Hz, 2 H) 7.7 (m, 2 H) 7.9 (d, J=1.5 Hz, 1 H) 10.1 (s, 1 H) 10.7 (s, 1 H). (DMSO-d6)

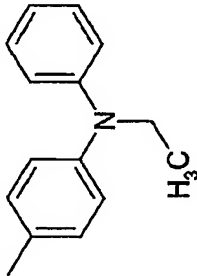
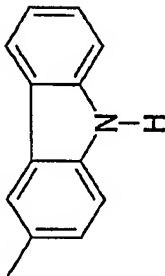
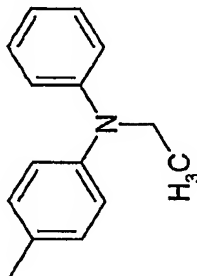
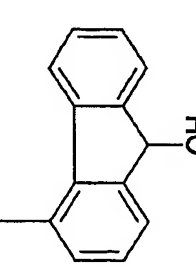
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
76	6-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	238-241	3399, 1693, 1618, 1559, 1295, 1217, 1041	2.0 (d, J=13.2 Hz, 2 H) 2.2 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.7 (d, J=11.0 Hz, 2 H) 4.1 (s, 2 H) 4.2 (m, 1 H) 5.0 (s, 2 H) 5.4 (s, 1 H) 7.0 (s, 1 H) 7.1 (d, J=8.4 Hz, 1 H) 7.3 (m, 3 H) 7.4 (d, J=8.2 Hz, 1 H) 7.5 (m, 2 H) 7.6 (d, J=7.3 Hz, 1 H) 8.0 (s, 1 H) 10.1 (s, 1 H) 10.7 (s, 1 H). (DMSO-d6)
77	7-F	CH <sub>2</sub>	H		HCl	273	2922, 1719, 1691, 1609, 1512, 1387, 1200, 1042, 830	1.2 (m, 1 H) 1.4 (m, 4 H) 1.7 (d, J=11.1 Hz, 1 H) 1.8 (m, 4 H) 2.0 (d, J=11.6 Hz, 2 H) 2.5 (m, 1 H) 2.9 (d, J=10.6 Hz, 2 H) 3.4 (m, 2 H) 3.6 (m, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.2 (s, 2 H) 7.0 (t, J=8.3 Hz, 1 H) 7.2 (d, J=8.1 Hz, 2 H) 7.4 (m, 2 H) 7.5 (d, J=8.1 Hz, 2 H) 10.2 (s, 1 H) 10.9 (s, 1 H). (DMSO-d6)
78	5-F	CH <sub>2</sub>	H		HCl	266	1717, 1693, 1625, 1479, 1306, 1242, 1207, 1067, 781, 749	1.3 (t, J=7.1 Hz, 3 H) 2.1 (d, J=12.1 Hz, 2 H) 2.9 (d, J=10.1 Hz, 2 H) 3.4 (m, 2 H) 3.7 (m, 2 H) 4.2 (s, 2 H) 4.4 (m, 1 H) 4.4 (q, J=7.1 Hz, 2 H) 5.3 (s, 2 H) 7.1 (t, J=8.6 Hz, 1 H) 7.2 (t, J=7.3 Hz, 1 H) 7.3 (d, J=8.1 Hz, 1 H) 7.5 (m, 2 H) 7.6 (m, 3 H) 8.1 (d, J=7.6 Hz, 1 H) 8.5 (s, 1 H) 10.3 (s, 1 H) 11.0 (s, 1 H). (DMSO-d6)
79	6-OCH <sub>3</sub>	CH <sub>2</sub>	H		HCl	258	2944, 1673, 1503, 1491, 1283, 1229, 1036, 809, 739	1.3 (t, J=7.1 Hz, 3 H) 2.0 (d, J=11.6 Hz, 2 H) 2.9 (d, J=10.6 Hz, 2 H) 3.4 (m, 2 H) 3.7 (m, 2 H) 3.8 (s, 3 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 4.4 (q, J=6.9 Hz, 2 H) 5.1 (s, 2 H) 6.9 (m, 2 H) 7.2 (t, J=7.7 Hz, 1 H) 7.4 (d, J=8.6 Hz, 1 H) 7.5 (t, J=7.6 Hz, 1 H) 7.6 (m, 3 H) 8.1 (d, J=7.6 Hz, 1 H) 8.5 (s, 1 H) 10.3 (s, 1 H) 11.0 (s, 1 H). (DMSO-d6)

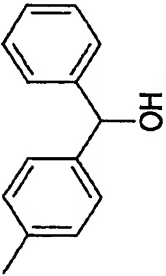
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
80	7-CH <sub>3</sub>	CH <sub>2</sub>	H		HCl	263	2973, 1712, 1491, 1385, 1299, 1227, 1037, 806, 737	1.3 (t, J=6.8 Hz, 3 H) 2.0 (d, J=12.6 Hz, 2 H) 2.4 (s, 3 H) 3.0 (d, J=14.1 Hz, 2 H) 3.5 (m, 2 H) 3.7 (m, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 4.4 (q, J=6.9 Hz, 2 H) 5.1 (s, 2 H) 7.0 (d, J=8.1 Hz, 1 H) 7.2 (m, 3 H) 7.5 (t, J=7.6 Hz, 1 H) 7.6 (m, 3 H) 8.1 (d, J=8.1 Hz, 1 H) 8.5 (s, 1 H) 10.3 (s, 1 H) 11.0 (s, 1 H). (DMSO-d <sub>6</sub> )
81	5-Cl	CH <sub>2</sub>	H		HCl	234	1692, 1589, 1462, 1301, 1229, 1047, 783	1.3 (t, J=6.8 Hz, 3 H) 2.1 (d, J=11.1 Hz, 2 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.7 (d, J=11.6 Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 4.4 (q, J=6.6 Hz, 2 H) 5.3 (s, 2 H) 7.2 (t, J=7.3 Hz, 1 H) 7.3 (d, J=7.1 Hz, 1 H) 7.5 (m, 3 H) 7.6 (m, 3 H) 8.1 (d, J=7.6 Hz, 1 H) 8.5 (s, 1 H) 10.2 (s, 1 H) 10.9 (s, 1 H). (DMSO-d <sub>6</sub> )
82	5-F	CH <sub>2</sub>	H		HCl	237	2989, 1719, 1624, 1507, 1488, 1229, 1071, 779	2.0 (d, J=12.6 Hz, 2 H) 2.9 (d, J=11.1 Hz, 2 H) 3.4 (m, 2 H) 3.6 (m, 2 H) 4.2 (s, 2 H) 4.3 (t, J=11.6 Hz, 1 H) 5.3 (s, 2 H) 7.0 (d, J=8.1 Hz, 2 H) 7.0 (m, 3 H) 7.1 (t, J=7.3 Hz, 1 H) 7.3 (d, J=8.6 Hz, 1 H) 7.4 (t, J=8.1 Hz, 2 H) 7.5 (m, 1 H) 7.7 (d, J=9.1 Hz, 2 H) 10.3 (s, 1 H) 11.1 (s, 1 H). (DMSO-d <sub>6</sub> )
83	6-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	223	3293, 1701, 1507, 1465, 1294, 1218, 1040	1.9 (d, J=12.1 Hz, 2 H) 2.5 (t, J=11.6 Hz, 2 H) 2.9 (m, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 4 H) 5.1 (s, 2 H) 6.7 (d, J=2.0 Hz, 1 H) 6.9 (m, 1 H) 7.0 (m, 1 H) 7.3 (t, J=7.6 Hz, 1 H) 7.4 (d, J=8.1 Hz, 1 H) 7.5 (t, J=7.6 Hz, 1 H) 7.6 (m, 3 H) 8.0 (s, 1 H) 9.5 (s, 1 H). (CDCl <sub>3</sub> -d)

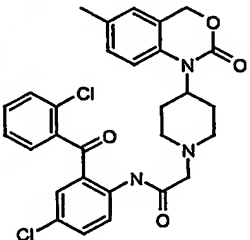
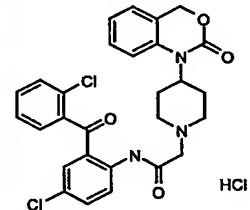
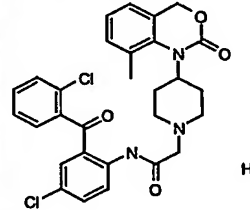
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
84	8-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	88	1718, 1483, 1286, 1223, 1191, 1079, 1037	2.0 (d, J=11.6 Hz, 2 H) 2.4 (t, J=10.9 Hz, 2 H) 2.9 (qd, J=12.3, 4.0 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 3.9 (s, 3 H) 5.0 (s, 2 H) 6.8 (d, J=7.1 Hz, 1 H) 6.9 (d, J=7.6 Hz, 1 H) 7.1 (m, 1 H) 7.3 (t, J=7.6 Hz, 1 H) 7.5 (t, J=7.8 Hz, 1 H) 7.6 (m, 3 H) 8.0 (d, J=7.1 Hz, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.4 (s, 1 H). (CDCl <sub>3</sub> -d)
85	7-Cl	CH <sub>2</sub>	H		-	237	3270, 1719, 1676, 1604, 1508, 1483, 1195, 1048, 749	1.9 (d, J=12.1 Hz, 2 H) 2.5 (t, J=11.1 Hz, 2 H) 2.9 (qd, J=12.5, 4.0 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.1 (s, 2 H) 7.3 (t, J=7.1 Hz, 1 H) 7.5 (t, J=7.8 Hz, 1 H) 7.6 (m, 3 H) 8.0 (d, J=7.1 Hz, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.3 (s, 1 H). (CDCl <sub>3</sub> -d)
86	6-F	CH <sub>2</sub>	H		-	237	3270, 1706, 1509, 1271, 1206, 1109, 1042, 764	1.9 (d, J=12.1 Hz, 2 H) 2.5 (t, J=11.6 Hz, 2 H) 2.9 (m, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (t, J=11.9 Hz, 1 H) 5.1 (s, 2 H) 6.9 (d, J=6.6 Hz, 1 H) 7.0 (m, 1 H) 7.1 (t, J=7.1 Hz, 1 H) 7.3 (t, J=7.3 Hz, 1 H) 7.4 (d, J=7.6 Hz, 1 H) 7.5 (t, J=7.3 Hz, 1 H) 7.6 (d, J=7.6 Hz, 1 H) 7.6 (m, 2 H) 8.0 (s, 1 H) 9.4 (s, 1 H). (CDCl <sub>3</sub> -d)
87	7-F	CH <sub>2</sub>	H		-	136	3399, 1719, 1618, 1509, 1199, 1042, 769	1.9 (d, J=12.1 Hz, 2 H) 2.4 (t, J=11.9 Hz, 2 H) 2.9 (m, 2 H) 3.1 (m, 2 H) 3.2 (s, 2 H) 3.8 (qd, J=12.1, 3.8 Hz, 1 H) 5.1 (s, 2 H) 5.6 (s, 1 H) 6.8 (m, 2 H) 7.1 (m, 1 H) 7.3 (t, J=6.8 Hz, 1 H) 7.4 (m, 2 H) 7.6 (m, 3 H) 8.0 (d, J=2.0 Hz, 1 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)

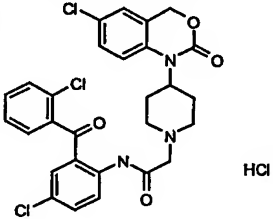
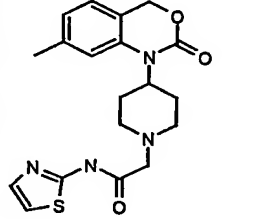
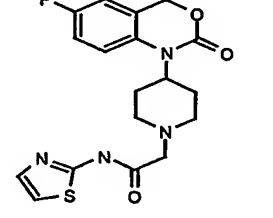
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
88	5-CH <sub>3</sub>	CH <sub>2</sub>	H		-	213	3247, 1701, 1476, 1245, 1204, 1033, 730	1.9 (d, J=11.6 Hz, 2 H) 2.3 (s, 3 H) 2.4 (t, J=11.4 Hz, 2 H) 2.9 (qd, J=12.3, 4.0 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (ddd, J=11.9, 8.1, 3.8 Hz, 1 H) 5.1 (s, 2 H) 6.9 (t, J=7.8 Hz, 2 H) 7.2 (m, 2 H) 7.4 (m, 3 H) 7.5 (dd, J=8.6, 2.0 Hz, 1 H) 8.1 (d, J=7.6 Hz, 1 H) 8.3 (s, 1 H) 8.4 (s, 1 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)
89	5-F	CH <sub>2</sub>	H		-	195	3278, 1718, 1654, 1624, 1479, 1242, 1204, 1067, 772	1.9 (d, J=13.6 Hz, 2 H) 2.4 (m, 2 H) 2.9 (qd, J=12.3, 3.5 Hz, 2 H) 3.2 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.9 (m, 1 H) 5.2 (s, 2 H) 6.9 (d, J=9.1 Hz, 2 H) 7.2 (ddd, J=8.0, 5.2, 3.0 Hz, 1 H) 7.3 (m, 1 H) 7.4 (m, 3 H) 7.5 (dd, J=8.8, 2.3 Hz, 1 H) 8.1 (d, J=7.6 Hz, 1 H) 8.1 (s, 1 H) 8.4 (s, 1 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)
90	6-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	135	3293, 1701, 1502, 1289, 1215, 1042, 802, 746, 726	1.9 (d, J=10.6 Hz, 2 H) 2.4 (t, J=11.1 Hz, 2 H) 2.9 (qd, J=12.5, 3.5 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (s, 3 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.7 (d, J=2.5 Hz, 1 H) 6.9 (m, 1 H) 7.0 (d, J=9.1 Hz, 1 H) 7.2 (ddd, J=7.8, 5.6, 2.3 Hz, 1 H) 7.4 (m, 3 H) 7.5 (dd, J=8.6, 2.0 Hz, 1 H) 8.1 (d, J=8.1 Hz, 1 H) 8.3 (s, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)
91	5-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	100	2920, 1719, 1676, 1604, 1478, 1257, 1086, 772, 749	1.4 (t, J=7.1 Hz, 3 H) 1.9 (d, J=12.1 Hz, 2 H) 2.4 (t, J=11.4 Hz, 2 H) 2.9 (m, 2 H) 3.2 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.9 (m, 4 H) 4.4 (q, J=7.1 Hz, 2 H) 5.2 (s, 2 H) 6.7 (d, J=8.6 Hz, 1 H) 6.7 (d, 8.1 Hz, 1 H) 7.2 (t, J=7.3 Hz, 1 H) 7.3 (t, J=8.3 Hz, 1 H) 7.4 (m, 2 H) 7.5 (m, 1 H) 7.6 (dd, J=8.6, 2.0 Hz, 1 H) 8.1 (d, J=8.1 Hz, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)

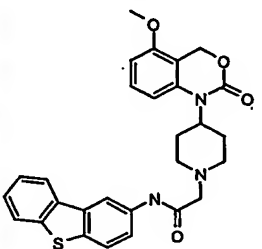
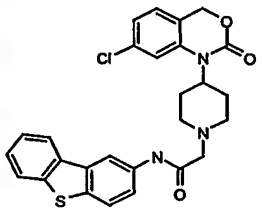
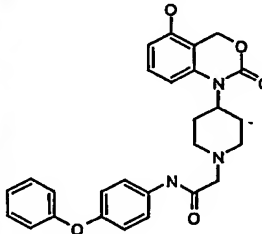
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
92	5-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	73	2943, 1719, 1605, 1509, 1478, 1257, 1082, 772	1.9 (d, J=11.6 Hz, 2 H) 2.4 (m, 2 H) 2.9 (m, 2 H) 3.1 (d, J=11.1 Hz, 2 H) 3.2 (m, 2 H) 3.8 (m, 1 H) 3.9 (m, 3 H) 5.2 (m, 2 H) 6.7 (m, 2 H) 7.0 (m, 4 H) 7.1 (m, 1 H) 7.3 (m, 3 H) 7.6 (m, 2 H) 9.1 (s, 1 H). (CDCl <sub>3</sub> -d)
93	7-CH <sub>3</sub>	CH <sub>2</sub>	H		-	136	3406, 2935, 1686, 1500, 1459, 1289, 1215, 1043	1.9 (d, J=11.1 Hz, 2 H) 2.4 (m, 2 H) 2.9 (qd, J=12.4, 3.8 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 4 H) 5.1 (s, 2 H) 5.3 (s, 1 H) 6.7 (d, J=2.5 Hz, 1 H) 6.9 (m, 1 H) 7.0 (d, J=8.6 Hz, 1 H) 7.2 (m, 1 H) 7.4 (m, 3 H) 7.5 (dd, J=8.6, 2.0 Hz, 1 H) 8.1 (d, J=7.6 Hz, 1 H) 8.3 (s, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.2 (s, 1 H). (CDCl <sub>3</sub> -d)
94	8-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	143	3422, 1701, 1522, 1491, 1286, 1225, 1036, 768, 737	2.0 (d, J=9.6 Hz, 2 H) 2.3 (t, J=11.9 Hz, 2 H) 2.8 (m, 2 H) 3.1 (d, J=11.1 Hz, 2 H) 3.1 (s, 2 H) 3.8 (m, 1 H) 3.9 (s, 3 H) 5.0 (s, 2 H) 5.6 (s, 1 H) 6.8 (d, J=7.1 Hz, 1 H) 6.9 (d, J=8.1 Hz, 1 H) 7.1 (t, J=7.8 Hz, 1 H) 7.4 (m, 2 H) 7.5 (dd, J=8.1, 2.0 Hz, 1 H) 7.6 (m, 2 H) 7.7 (d, J=7.6 Hz, 1 H) 8.0 (s, 1 H) 9.3 (s, 1 H). (CDCl <sub>3</sub> -d)
95	5-CH <sub>3</sub>	CH <sub>2</sub>	H		-	204	3330, 1719, 1685, 1526, 1482, 1193, 1041, 773	1.9 (d, J=12.1 Hz, 2 H) 2.3 (s, 3 H) 2.4 (m, 2 H) 3.0 (qd, J=12.5, 3.5 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (qd, J=12.1, 3.8 Hz, 1 H) 5.1 (s, 2 H) 6.9 (m, 2 H) 7.3 (m, 1 H) 7.3 (t, J=7.1 Hz, 1 H) 7.5 (t, J=7.8 Hz, 1 H) 7.6 (m, 3 H) 8.0 (d, J=7.6 Hz, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.3 (s, 1 H). (CDCl <sub>3</sub> -d)

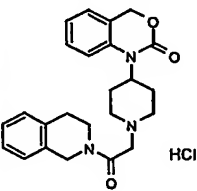
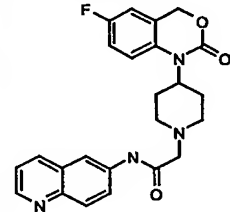
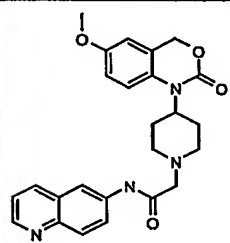
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
96	7-CH <sub>3</sub>	CH <sub>2</sub>	H		-	199	1718, 1686, 1520, 1492, 1383, 1309, 1247, 1210, 1044	1.2 (t, J=7.1 Hz, 3 H) 1.9 (d, J=10.6 Hz, 2 H) 2.4 (m, 5 H) 2.9 (qd, J=12.5, 4.0 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (q, J=7.1 Hz, 2 H) 7.0 (m, 3 H) 7.2 (m, 2 H) 7.5 (d, J=8.6 Hz, 2 H) 9.1 (s, 1 H). (CDCl <sub>3</sub> -d)
97	8-Cl	CH <sub>2</sub>	H		-	180	3289, 1735, 1663, 1527, 1494, 1460, 1225, 1183, 1041	2.1 (s, 2 H) 2.4 (t, J=10.9 Hz, 2 H) 2.9 (qd, J=12.4, 3.8 Hz, 2 H) 3.1 (d, J=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.9 (tq, J=11.7, 3.8 Hz, 1 H) 5.0 (s, 2 H) 7.1 (m, 2 H) 7.2 (m, 1 H) 7.4 (m, 4 H) 7.6 (dd, J=8.6, 2.0 Hz, 1 H) 8.1 (s, 1 H) 8.1 (d, J=7.6 Hz, 1 H) 8.4 (d, J=2.0 Hz, 1 H) 9.3 (s, 1 H). (CDCl <sub>3</sub> -d)
98	8-OCH <sub>3</sub>	CH <sub>2</sub>	H		-	216	3422, 2980, 1701, 1510, 1492, 1388, 1287, 1252, 1088, 1029	1.2 (t, J=7.1 Hz, 3 H) 2.2 (d, J=12.1 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.7 (d, J=11.1 Hz, 2 H) 3.8 (q, J=7.1 Hz, 2 H) 4.0 (s, 3 H) 4.1 (m, 1 H) 4.2 (s, 2 H) 5.2 (s, 2 H) 6.9 (m, 4 H) 7.1 (d, J=8.6 Hz, 2 H) 7.2 (m, 2 H) 7.3 (m, 2 H) 7.6 (d, J=8.6 Hz, 2 H) 10.2 (s, 1 H) 11.0 (s, 1 H). (CDCl <sub>3</sub> -d)
99	H	CH <sub>2</sub>	H		-	209-210	3356, 1715, 1686, 1608, 1498, 1467, 1389, 1291, 1204, 1043, 738	2.0 (d, J=9.7 Hz, 2 H) 2.5 (t, J=12.3 Hz, 2 H) 3.0 (m, 2 H) 3.2 (d, J=11.0 Hz, 2 H) 3.3 (s, 2 H) 3.9 (m, 1 H) 5.1 (s, 2 H) 5.6 (d, J=9.7 Hz, 1 H) 7.1 (m, 2 H) 7.2 (d, J=8.1 Hz, 1 H) 7.4 (m, 4 H) 7.7 (d, J=7.3 Hz, 1 H) 7.8 (t, J=7.8 Hz, 1 H) 8.0 (d, J=7.3 Hz, 1 H) 8.3 (d, J=8.4 Hz, 1 H) 9.7 (s, 1 H)

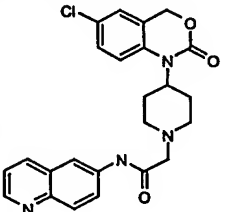
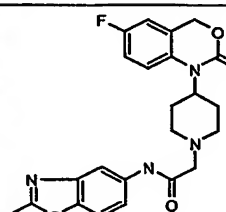
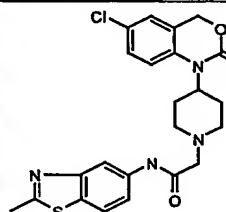
Ex	R <sup>x</sup>	A	R <sup>10</sup>	R <sup>11</sup>	Salt	Mp (°C)	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
100	H	CH <sub>2</sub>	H		-	240-249	3292, 3041 2638, 1700, 1397, 1204, 1041, 745	2.0 (d, J=13.4 Hz, 2 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.6 (d, J=11.2 Hz, 2 H) 4.1 (s, 2 H) 4.3 (m, 1 H) 5.1 (s, 2 H) 5.7 (s, 1 H) 7.1 (m, 1 H) 7.2 (m, 2 H) 7.3 (m, 4 H) 7.3 (m, 4 H) 7.5 (m, 1 H) 7.6 (m, 1 H) 10.1 (s, 1 H) 10.6 (s, 1 H)

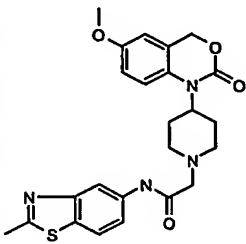
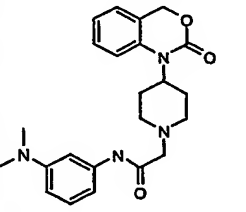
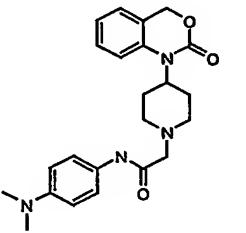
Ex. 101	<p data-bbox="300 233 1446 296">N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p data-bbox="613 304 1446 510"><b><sup>1</sup>H-NMR</b> 1.8 (d, <i>J</i>=11.9 Hz, 2 H) 2.1 (s, 3 H) 2.5 (t, <i>J</i>=11.8 Hz, 2 H) 2.8 (m, 2 H) 3.1 (d, <i>J</i>=11.0 Hz, 2 H) 3.3 (s, 2 H) 4.4 (t, <i>J</i>=12.6 Hz, 1 H) 5.0 (s, 2 H) 6.4 (d, <i>J</i>=8.4 Hz, 1 H) 6.9 (s, 1 H) 7.5 (m, 6 H) 7.6 (d, <i>J</i>=8.2 Hz, 1 H) 8.9 (d, <i>J</i>=8.8 Hz, 1 H) 12.7 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p data-bbox="613 541 1403 638"><b>IR (KBr)</b> 1705, 1648, 1561, 1500, 1284, 1220, 1093, 1041, 961, 821, 753</p> <p data-bbox="613 674 842 701"><b>M.P.:</b> 228-232 °C</p>
Ex. 102	<p data-bbox="300 772 1390 835">N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride.</p> 	<p data-bbox="613 844 1446 1045"><b><sup>1</sup>H-NMR</b> 2.0 (d, <i>J</i>=13.7 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.5 (m, 2 H) 4.1 (s, 2 H) 4.2 (m, 1 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.2 (d, <i>J</i>=7.0 Hz, 1 H) 7.3 (s, 3 H) 7.5 (m, 1 H) 7.6 (m, 3 H) 7.7 (d, <i>J</i>=8.2 Hz, 1 H) 7.8 (m, 1 H) 10.2 (s, 1 H) 11.0 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p data-bbox="613 1077 1378 1146"><b>IR (KBr)</b> 3386, 1702, 1686, 1523, 1288, 1238, 1041, 960, 761</p> <p data-bbox="613 1178 849 1205"><b>M.P.:</b> 175-184 °C</p>
Ex. 103	<p data-bbox="300 1276 1451 1339">N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride.</p> 	<p data-bbox="613 1348 1466 1549"><b><sup>1</sup>H-NMR</b> 2.1 (d, <i>J</i>=13.4 Hz, 2 H) 2.3 (s, 3 H) 2.9 (m, 2 H) 3.2 (m, 2 H) 3.5 (m, 2 H) 3.8 (m, 1 H) 4.0 (s, 2 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.2 (d, <i>J</i>=7.5 Hz, 1 H) 7.3 (s, 1 H) 7.4 (m, 1 H) 7.5 (m, 3 H) 7.6 (d, <i>J</i>=8.8 Hz, 1 H) 7.8 (d, <i>J</i>=8.4 Hz, 1 H) 10.1 (s, 1 H) 10.9 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p data-bbox="613 1581 1386 1650"><b>IR (KBr)</b> 3398, 2864, 1701, 1670, 1477, 1288, 1236, 852, 748</p> <p data-bbox="613 1661 854 1688"><b>M.P.:</b> 177-185 °C</p>

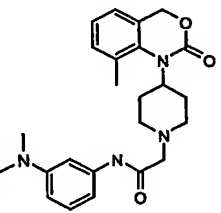
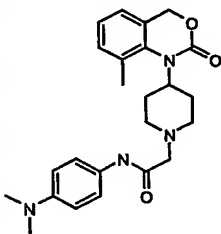
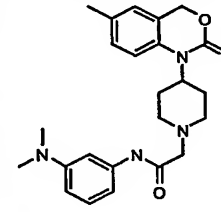
Ex. 104	<p>N-[4-Chloro-2-(2-chloro-benzoyl)-phenyl]-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride.</p> 	<p>1H-NMR 1.9 (d, <math>J=12.9</math> Hz, 2 H) 2.9 (m, 2 H) 3.2 (m, 2 H) 3.5 (d, <math>J=11.2</math> Hz, 2 H) 4.0 (s, 2 H) 4.2 (m, 1 H) 5.0 (s, 2 H) 7.3 (m, 4 H) 7.4 (m, 1 H) 7.5 (m, 2 H) 7.5 (m, 1 H) 7.6 (dd, <math>J=8.5, 2.4</math> Hz, 1 H) 7.8 (d, <math>J=8.5</math> Hz, 1 H) 10.2 (s, 1 H) 10.9 (s, 1 H) (DMSO-<math>d_6</math>)</p> <p>IR (KBr) 3398, 2860, 1702, 1675, 1493, 1295, 1246, 1202, 1042, 946, 758</p> <p>M.P.: 201-204 °C</p>
Ex. 105	<p>2-[4-(7-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-thiazol-2-yl-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=12.6</math> Hz, 2 H) 2.4 (s, 3 H) 2.5 (m, 2 H) 2.9 (m, <math>J=12.5, 4.0</math> Hz, 2 H) 3.1 (d, <math>J=11.6</math> Hz, 2 H) 3.3 (s, 2 H) 3.9 (m, 1 H) 5.0 (s, 2 H) 6.9 (s, 1 H) 6.9 (d, <math>J=7.6</math> Hz, 1 H) 7.0 (d, <math>J=3.5</math> Hz, 1 H) 7.0 (d, <math>J=7.6</math> Hz, 1 H) 7.5 (d, <math>J=3.5</math> Hz, 1 H) 10.4 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 2920, 1718, 1618, 1528, 1458, 1383, 1294, 1208, 1143, 1045</p> <p>M.P.: 193 °C</p>
Ex. 106	<p>2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-thiazol-2-yl-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=13.6</math> Hz, 2 H) 2.5 (td, <math>J=12.1, 2.0</math> Hz, 2 H) 2.8 (qd, <math>J=12.6, 3.8</math> Hz, 2 H) 3.1 (d, <math>J=11.6</math> Hz, 2 H) 3.3 (s, 2 H) 3.9 (m, 1 H) 5.1 (s, 2 H) 6.9 (d, <math>J=7.1</math> Hz, 1 H) 7.0 (d, <math>J=3.5</math> Hz, 1 H) 7.1 (m, 2 H) 7.5 (d, <math>J=3.5</math> Hz, 1 H) 10.3 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 2935, 1701, 1528, 1500, 1458, 1271, 1207, 1145, 1045, 730</p> <p>M.P.: 67°C</p>

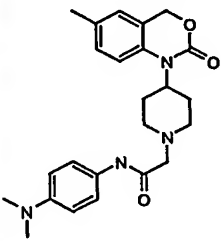
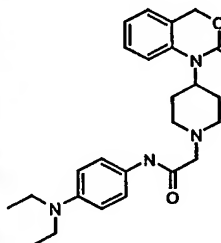
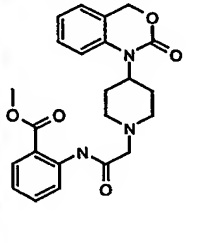
Ex. 107	<p>N-Dibenzothiophen-2-yl-2-[4-(5-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=12.1</math> Hz, 2 H) 2.4 (m, 2 H) 3.0 (m, 2 H) 3.1 (d, <math>J=11.6</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 4 H) 5.2 (s, 2 H) 6.7 (t, <math>J=8.3</math> Hz, 2 H) 7.3 (t, <math>J=8.3</math> Hz, 1 H) 7.5 (m, 2 H) 7.6 (dd, <math>J=8.6, 2.0</math> Hz, 1 H) 7.8 (m, 2 H) 8.2 (m, 1 H) 8.6 (d, <math>J=2.0</math> Hz, 1 H) 9.3 (s, 1 H) (<math>\text{CDCl}_3</math>-d)</p> <p>IR (KBr) 2935, 1719, 1605, 1509, 1477, 1257, 1141, 1084, 766, 733</p> <p>M.P.: 210°C</p>
Ex. 108	<p>2-[4-(7-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-dibenzothiophen-2-yl-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=11.1</math> Hz, 2 H) 2.5 (t, <math>J=11.1</math> Hz, 2 H) 2.9 (qd, <math>J=12.4, 3.8</math> Hz, 2 H) 3.1 (d, <math>J=11.6</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (ddd, <math>J=12.1, 8.1, 4.0</math> Hz, 1 H) 5.1 (s, 2 H) 7.1 (m, 3 H) 7.5 (dd, <math>J=6.1, 3.0</math> Hz, 2 H) 7.6 (d, <math>J=8.6</math> Hz, 1 H) 7.8 (m, 2 H) 8.2 (dd, <math>J=5.8, 3.3</math> Hz, 1 H) 8.6 (s, 1 H) 9.3 (s, 1 H) (<math>\text{CDCl}_3</math>-d)</p> <p>IR (KBr) 3300, 1718, 1682, 1509, 1472, 1431, 1293, 1199, 1043, 806, 760, 726</p> <p>M.P.: 236 °C</p>
Ex. 109	<p>2-[4-(5-Hydroxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide.</p> 	<p>1H-NMR 2.1 (m, 2 H) 3.0 (d, <math>J=12.1</math> Hz, 2 H) 3.5 (m, 2 H) 3.7 (d, <math>J=10.1</math> Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.2 (s, 2 H) 6.7 (d, <math>J=8.1</math> Hz, 1 H) 6.9 (m, 1 H) 7.1 (d, <math>J=8.6</math> Hz, 2 H) 7.1 (d, <math>J=9.1</math> Hz, 2 H) 7.2 (m, 2 H) 7.5 (t, <math>J=8.1</math> Hz, 2 H) 7.7 (d, <math>J=8.6</math> Hz, 2 H) 10.2 (s, 1 H) 10.2 (s, 1 H) 10.9 (s, 1 H) (<math>\text{DMSO-d}_6</math>)</p> <p>IR (KBr) 3192, 1701, 1609, 1560, 1508, 1476, 1229, 1071, 954, 779, 696</p> <p>M.P.: 256 °C</p>

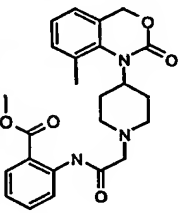
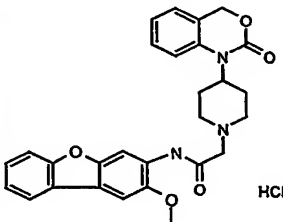
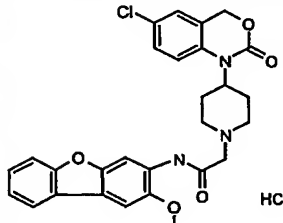
Ex. 110		<p>1-{1-[2-(3,4-Dihydro-1H-isoquinolin-2-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydrobenzo[d][1,3]oxazin-2-one hydrochloride.</p> <p><sup>1</sup>H-NMR 2.0 (d, <i>J</i>=12.7 Hz, 2 H) 2.8 (t, <i>J</i>=6.0 Hz, 1 H) 2.9 (m, 3 H) 3.3 (m, 2 H) 3.6 (m, 3 H) 3.7 (t, <i>J</i>=6.0 Hz, 1 H) 4.3 (m, 1 H) 4.4 (s, 2 H) 4.6 (m, 2 H) 5.2 (s, 2 H) 7.1 (t, <i>J</i>=7.4 Hz, 1 H) 7.2 (m, 4 H) 7.3 (d, <i>J</i>=7.1 Hz, 1 H) 7.4 (m, 2 H) 10.0 (s, 1 H) (DMSO-<i>d</i><sub>6</sub>)</p> <p>IR (KBr) 3048, 2878, 1687, 1658, 1606, 1464, 1397, 1043, 771</p> <p>M.P.: 226-230 °C</p>
Ex. 111		<p>2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-quinolin-6-yl-acetamide.</p> <p><sup>1</sup>H-NMR 1.9 (d, <i>J</i>=12.1 Hz, 2 H) 2.5 (t, <i>J</i>=11.1 Hz, 2 H) 2.9 (qd, <i>J</i>=12.5, 4.0 Hz, 2 H) 3.1 (d, <i>J</i>=11.6 Hz, 2 H) 3.3 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.9 (dd, <i>J</i>=7.6, 2.5 Hz, 1 H) 7.0 (m, 2 H) 7.4 (dd, <i>J</i>=8.1, 4.0 Hz, 1 H) 7.8 (dd, <i>J</i>=8.8, 2.3 Hz, 1 H) 8.1 (d, <i>J</i>=9.1 Hz, 1 H) 8.1 (d, <i>J</i>=8.6 Hz, 1 H) 8.3 (d, <i>J</i>=2.0 Hz, 1 H) 8.8 (m, 1 H) 9.4 (s, 1 H). (CDCl<sub>3</sub>-<i>d</i>)</p> <p>IR (KBr) 1701, 1500, 1458, 1272, 1205, 1044, 768</p> <p>M.P.: 84 °C</p>
Ex. 112		<p>2-[4-(6-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-quinolin-6-yl-acetamide.</p> <p><sup>1</sup>H-NMR 1.9 (d, <i>J</i>=12.1 Hz, 2 H) 2.5 (m, 2 H) 2.9 (qd, <i>J</i>=12.5, 4.0 Hz, 2 H) 3.1 (d, <i>J</i>=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 4 H) 5.1 (s, 2 H) 6.7 (d, <i>J</i>=2.5 Hz, 1 H) 6.9 (m, 1 H) 7.0 (d, <i>J</i>=9.1 Hz, 1 H) 7.4 (dd, <i>J</i>=8.3, 4.3 Hz, 1 H) 7.8 (dd, <i>J</i>=9.1, 2.0 Hz, 1 H) 8.1 (d, <i>J</i>=9.1 Hz, 1 H) 8.1 (d, <i>J</i>=7.6 Hz, 1 H) 8.4 (d, <i>J</i>=2.5 Hz, 1 H) 8.8 (m, 1 H) 9.4 (s, 1 H). (CDCl<sub>3</sub>-<i>d</i>)</p> <p>IR (KBr) 3385, 1701, 1560, 1501, 1459, 1278, 1215, 1042</p> <p>M.P.: 73 °C</p>

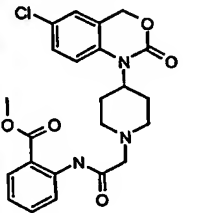
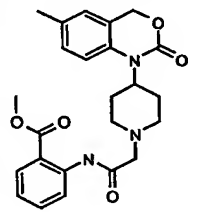
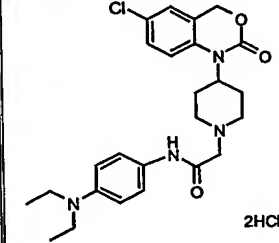
Ex. 113		<p>2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-quinolin-6-yl-acetamide</p> <p><b><sup>1</sup>H-NMR</b>  1.9 (d, <i>J</i>=10.1 Hz, 2 H) 2.5 (m, 2 H) 2.9 (qd, <i>J</i>=12.5, 4.0 Hz, 2 H) 3.1 (d, <i>J</i>=11.6 Hz, 2 H) 3.3 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.1 (m, 2 H) 7.4 (dd, <i>J</i>=8.6, 4.0 Hz, 1 H) 7.8 (dd, <i>J</i>=9.1, 2.5 Hz, 1 H) 8.1 (d, <i>J</i>=9.1 Hz, 1 H) 8.2 (d, <i>J</i>=8.1 Hz, 1 H) 8.4 (d, <i>J</i>=2.5 Hz, 1 H) 8.8 (d, <i>J</i>=2.5 Hz, 1 H) 9.4 (s, 1 H). (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  3410, 1718, 1604, 1527, 1497, 1379, 1199, 1043</p> <p><b>M.P.:</b> 87 °C</p>
Ex. 114		<p>2-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methyl-benzothiazol-5-yl)-acetamide</p> <p><b><sup>1</sup>H-NMR</b>  1.9 (dd, <i>J</i>=12.4, 1.8 Hz, 2 H) 2.4 (td, <i>J</i>=12.1, 2.0 Hz, 2 H) 2.8 (s, 3 H) 2.9 (m, 2 H) 3.1 (d, <i>J</i>=12.1 Hz, 2 H) 3.2 (s, 2 H) 3.8 (qd, <i>J</i>=12.1, 3.8 Hz, 1 H) 5.1 (s, 2 H) 6.9 (dd, <i>J</i>=7.6, 2.5 Hz, 1 H) 7.0 (m, 2 H) 7.5 (dd, <i>J</i>=8.6, 2.0 Hz, 1 H) 7.8 (d, <i>J</i>=8.6 Hz, 1 H) 8.3 (d, <i>J</i>=2.0 Hz, 1 H) 9.3 (s, 1 H). (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  1701, 1501, 1459, 1271, 1206, 1045</p> <p><b>M.P.:</b> 99 °C</p>
Ex. 115		<p>2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methyl-benzothiazol-5-yl)-acetamide.</p> <p><b><sup>1</sup>H-NMR</b>  1.9 (d, <i>J</i>=11.6 Hz, 2 H) 2.5 (td, <i>J</i>=12.1, 2.5 Hz, 2 H) 2.8 (s, 3 H) 2.9 (qd, <i>J</i>=12.5, 4.0 Hz, 2 H) 3.1 (d, <i>J</i>=11.6 Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 7.0 (s, 1 H) 7.1 (m, 2 H) 7.5 (dd, <i>J</i>=8.6, 2.0 Hz, 1 H) 7.8 (d, <i>J</i>=8.6 Hz, 1 H) 8.4 (s, 1 H) 9.3 (s, 1 H). (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  1718, 1605, 1509, 1465, 1379, 1292, 1200, 1043</p> <p><b>M.P.:</b> 97 °C</p>

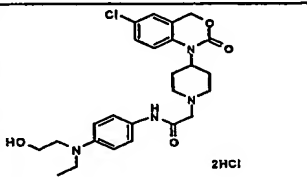
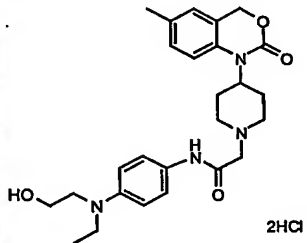
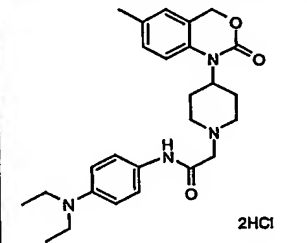
Ex. 116	<p>2-[4-(6-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(2-methyl-benzothiazol-5-yl)-acetamide</p> 	<p>1H-NMR 1.9 (d, <math>J=11.6</math> Hz, 2 H) 2.5 (m, 2 H) 2.8 (s, 3 H) 2.9 (qd, <math>J=12.5, 4.3</math> Hz, 2 H) 3.1 (d, <math>J=11.1</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (s, 3 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.7 (d, <math>J=2.5</math> Hz, 1 H) 6.9 (m, 1 H) 7.0 (d, <math>J=9.1</math> Hz, 1 H) 7.6 (dd, <math>J=8.6, 2.0</math> Hz, 1 H) 7.8 (d, <math>J=8.6</math> Hz, 1 H) 8.3 (d, <math>J=2.0</math> Hz, 1 H) 9.3 (s, 1 H). (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 1701, 1505, 1464, 1279, 1214, 1043</p> <p>M.P.: 91 °C</p>
Ex. 117	<p>N-(3-Dimethylamino-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=11.9</math> Hz, 2 H) 2.4 (t, <math>J=11.8</math> Hz, 2 H) 2.9 (tq, <math>J=12.4, 3.9</math> Hz, 2 H) 3.0 (s, 6 H) 3.1 (d, <math>J=11.7</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.5 (dd, <math>J=8.4, 2.4</math> Hz, 1 H) 6.9 (d, <math>J=7.9</math> Hz, 1 H) 7.1 (m, 5 H) 7.4 (t, <math>J=7.8</math> Hz, 1 H) 9.0 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3410, 2913, 1719, 1686, 1528, 1498, 1466, 1287, 1203, 1048, 764</p> <p>M.P.: 148-153 °C</p>
Ex. 118	<p>N-(4-Dimethylamino-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=13.7</math> Hz, 2 H) 2.4 (t, <math>J=12.1</math> Hz, 2 H) 2.9 (m, 2 H) 2.9 (s, 6 H) 3.1 (d, <math>J=11.5</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.7 (m, 2 H) 7.1 (m, 3 H) 7.4 (m, 1 H) 7.5 (m, 2 H) 8.9 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3392, 1718, 1525, 1499, 1292, 1205, 1134, 1046, 813, 768, 753</p> <p>M.P.: 128 °C</p>

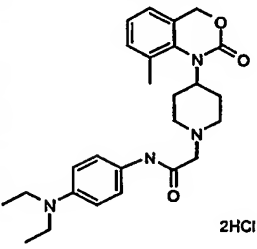
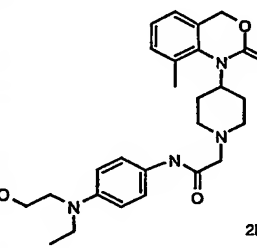
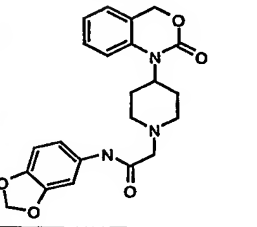
Ex. 119	<p>N-(3-Dimethylamino-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 2.0 (d, <math>J=11.5</math> Hz, 2 H) 2.3 (t, <math>J=11.4</math> Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.0 (s, 6 H) 3.1 (m, 2 H) 3.1 (s, 2 H) 3.4 (m, 1 H) 5.0 (s, 2 H) 6.5 (m, 1 H) 6.9 (d, <math>J=8.1</math> Hz, 1 H) 7.0 (m, 2 H) 7.2 (m, 3 H) 9.0 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3346, 1719, 1677, 1611, 1500, 1474, 1283, 1217, 1036, 775</p> <p>M.P.: 166 °C</p>
Ex. 120	<p>N-(4-Dimethylamino-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 2.0 (d, <math>J=11.9</math> Hz, 2 H) 2.3 (t, <math>J=11.6</math> Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 2.9 (s, 6 H) 3.1 (d, <math>J=11.7</math> Hz, 2 H) 3.1 (s, 2 H) 3.4 (tt, <math>J=11.7, 3.7</math> Hz, 1 H) 5.0 (s, 2 H) 6.7 (d, <math>J=8.8</math> Hz, 2 H) 7.0 (m, 2 H) 7.2 (d, <math>J=6.0</math> Hz, 1 H) 7.5 (d, <math>J=9.0</math> Hz, 2 H) 8.9 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3346, 1719, 1672, 1524, 1283, 1219, 1036, 813</p> <p>M.P.: 152 °C</p>
Ex. 121	<p>N-(3-Dimethylamino-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide</p> 	<p>1H-NMR 1.9 (d, <math>J=12.6</math> Hz, 2 H) 2.3 (s, 3 H) 2.4 (m, 2 H) 2.9 (m, 2 H) 3.0 (s, 6 H) 3.1 (d, <math>J=12.3</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.5 (s, 1 H) 6.9 (d, <math>J=19.0</math> Hz, 3 H) 7.2 (m, 3 H) 9.0 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3346, 1727, 1671, 1610, 1501, 1294, 1215, 1042, 806, 760</p> <p>M.P.: 134-138 °C</p>

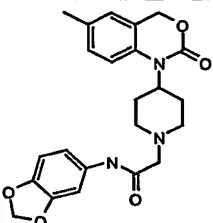
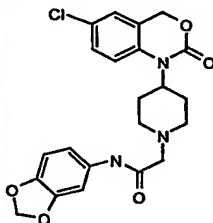
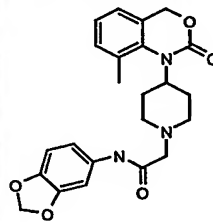
Ex. 122	<p>N-(4-Dimethylamino-phenyl)-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 1.9 (d, <math>J=11.9</math> Hz, 2 H) 2.3 (s, 3 H) 2.4 (s, 2 H) 2.8 (s, 2 H) 2.9 (s, 6 H) 3.1 (d, 2 H) 3.2 (s, 2 H) 3.8 (s, 1 H) 5.0 (s, 2 H) 6.7 (d, <math>J=8.9</math> Hz, 2 H) 7.0 (m, 2 H) 7.1 (d, <math>J=8.4</math> Hz, 1 H) 7.5 (d, <math>J=8.9</math> Hz, 2 H) 8.9 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3278, 1719, 1523, 1509, 1214, 1045, 811, 763</p> <p>M.P.: 120 °C</p>
Ex. 123	<p>N-(4-Diethylamino-phenyl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.</p> 	<p>1H-NMR 1.1 (t, <math>J=7.0</math> Hz, 6 H) 1.9 (d, <math>J=12.3</math> Hz, 2 H) 2.4 (td, <math>J=11.9</math>, 2.0 Hz, 2 H) 2.9 (m, 2 H) 3.1 (d, <math>J=11.7</math> Hz, 2 H) 3.2 (s, 2 H) 3.3 (q, <math>J=7.1</math> Hz, 4 H) 3.8 (m, 1 H) 5.1 (s, 2 H) 6.7 (d, <math>J=9.0</math> Hz, 2 H) 7.1 (m, 3 H) 7.4 (d, <math>J=9.0</math> Hz, 1 H) 7.4 (d, <math>J=9.0</math> Hz, 2 H) 8.9 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3338, 1720, 1677, 1523, 1499, 1261, 1203, 1049, 817, 753</p> <p>M.P.: 129 °C</p>
Ex. 124	<p>2-{2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetyl-amino}-benzoic acid methyl ester.</p> 	<p>1H-NMR 1.9 (d, <math>J=11.7</math> Hz, 2 H) 2.4 (td, <math>J=11.6</math>, 1.8 Hz, 2 H) 3.0 (qd, <math>J=12.4</math>, 3.9 Hz, 2 H) 3.1 (d, <math>J=11.3</math> Hz, 2 H) 3.2 (s, 2 H) 4.0 (s, 3 H) 4.2 (qd, <math>J=12.3</math>, 3.8 Hz, 1 H) 5.1 (s, 2 H) 7.1 (q, <math>J=7.1</math> Hz, 2 H) 7.2 (t, <math>J=6.1</math> Hz, 1 H) 7.3 (m, 1 H) 7.5 (d, <math>J=8.2</math> Hz, 1 H) 7.5 (m, 1 H) 8.0 (dd, <math>J=8.0</math>, 1.6 Hz, 1 H) 8.8 (m, 1 H) 12.1 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3232, 1702, 1583, 1521, 1450, 1385, 1262, 1204, 1090, 1045, 772, 749</p> <p>M.P.: 180 °C</p>

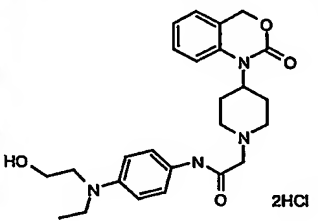
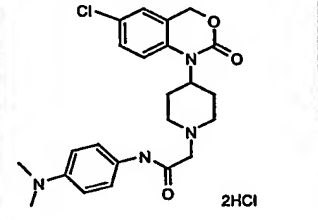
Ex. 125		<p>2-{2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetylamino}-benzoic acid methyl ester.</p> <p><b><sup>1</sup>H-NMR</b>  1.9 (d, <i>J</i>=12.3 Hz, 2 H) 2.3 (t, <i>J</i>=12.7 Hz, 2 H) 2.4 (s, 3 H)  3.0 (m, 4 H) 3.2 (s, 2 H) 3.4 (m, 1 H) 4.1 (s, 3 H) 5.0 (s, 2 H)  7.1 (m, 3 H) 7.2 (d, <i>J</i>=7.3 Hz, 1 H) 7.5 (m, 1 H) 8.0 (dd, <i>J</i>=8.0, 1.7 Hz, 1 H) 8.8 (d, <i>J</i>=8.4 Hz, 1 H) 12.2 (s, 1 H)  (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  3202, 1727, 1705, 1508, 1449, 1270, 1215, 1089, 1033, 765</p> <p><b>M.P.:</b> 169 °C</p>
Ex. 126		<p>N-(2-Methoxy-dibenzofuran-3-yl)-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide hydrochloride</p> <p><b><sup>1</sup>H-NMR</b>  2.1 (d, <i>J</i>=13.9 Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H)  3.6 (d, <i>J</i>=11.2 Hz, 2 H) 3.8 (m, 1 H) 4.0 (m, 3 H) 4.2 (s, 2 H)  5.1 (s, 2 H) 7.1 (m, 2 H) 7.3 (d, <i>J</i>=7.5 Hz, 1 H) 7.4 (t, <i>J</i>=7.6 Hz, 1 H)  7.5 (t, <i>J</i>=7.8 Hz, 1 H) 7.7 (d, <i>J</i>=8.1 Hz, 1 H) 7.9 (s, 1 H) 8.1 (d, <i>J</i>=6.8 Hz, 1 H) 8.4 (s, 1 H) 10.2 (s, 1 H)  (DMSO-d<sub>6</sub>)</p> <p><b>IR (KBr)</b>  3423, 1701, 1678, 1534, 1474, 1200, 1171, 1035, 760</p> <p><b>M.P.:</b> 272 °C</p>
Ex. 127		<p>N-2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]N-(2-methoxy-dibenzofuran-3-yl)-acetamide hydrochloride</p> <p><b><sup>1</sup>H-NMR</b>  2.0 (d, <i>J</i>=12.6 Hz, 2 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.5 (m, 1 H)  3.7 (d, <i>J</i>=10.1 Hz, 2 H) 4.0 (s, 3 H) 4.3 (s, 2 H) 5.2 (s, 2 H)  7.4 (m, 5 H) 7.7 (d, <i>J</i>=8.1 Hz, 1 H) 7.9 (s, 1 H) 8.1 (d, <i>J</i>=7.7 Hz, 1 H) 8.4 (s, 1 H) 10.2 (s, 1 H)  (DMSO-d<sub>6</sub>)</p> <p><b>IR (KBr)</b>  3422, 1701, 1541, 1459, 1299, 1196, 1166, 1036, 764</p> <p><b>M.P.:</b> 197 °C</p>

Ex. 128	<p>2-{2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetylamino}-benzoic acid methyl ester</p> 	<p>1H-NMR 1.9 (d, <math>J=11.4</math> Hz, 2 H) 2.5 (t, <math>J=11.4</math> Hz, 2 H) 2.9 (m, 2 H) 3.1 (d, <math>J=11.5</math> Hz, 2 H) 3.2 (s, 2 H) 4.0 (s, 3 H) 4.2 (qd, <math>J=12.6, 3.9</math> Hz, 1 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.3 (m, 1 H) 7.4 (d, <math>J=8.8</math> Hz, 1 H) 7.6 (m, 1 H) 8.1 (dd, <math>J=7.9, 1.6</math> Hz, 1 H) 8.8 (d, <math>J=8.4</math> Hz, 1 H) 12.1 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 1702, 1508, 1448, 1259, 1201, 1090, 756</p> <p>M.P.: 153 °C</p>
Ex. 129	<p>2-{2-[4-(6-Methyl -2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetylamino}-benzoic acid methyl ester</p> 	<p>1H-NMR 1.9 (d, <math>J=11.4</math> Hz, 2 H) 2.3 (s, 3 H) 2.4 (m, 2 H) 2.9 (qd, <math>J=12.4, 3.8</math> Hz, 2 H) 3.1 (d, <math>J=11.4</math> Hz, 2 H) 3.2 (s, 2 H) 4.0 (s, 3 H) 4.2 (m, 1 H) 5.0 (s, 2 H) 7.0 (s, 1 H) 7.1 (m, 2 H) 7.3 (d, <math>J=8.4</math> Hz, 1 H) 7.6 (t, <math>J=7.0</math> Hz, 1 H) 8.1 (dd, <math>J=8.1, 1.6</math> Hz, 1 H) 8.8 (m, 1 H) 12.1 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 1701, 1509, 1448, 1265, 1219, 1091, 756</p> <p>M.P.: 153 °C</p>
Ex. 130	<p>2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]- N-(4-diethylamino-phenyl)-acetamide dihydrochloride</p> 	<p>1H-NMR 1.0 (t, <math>J=7.0</math> Hz, 6 H) 2.0 (d, <math>J=13.7</math> Hz, 2 H) 2.9 (m, 2 H) 3.4 (m, 6 H) 3.6 (d, <math>J=13.0</math> Hz, 2 H) 4.3 (m, 3 H) 5.2 (s, 2 H) 7.4 (s, 3 H) 7.8 (s, 4 H) 10.3 (s, 1 H) 11.5 (s, 1 H) 12.9 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3427, 2980, 2423, 1708, 1515, 1494, 1373, 1317, 1297, 1200</p> <p>M.P.</p>

Ex. 131		<p>1H-NMR 1.0 (t, <math>J=7.1</math> Hz, 3 H) 2.0 (d, <math>J=13.0</math> Hz, 2 H) 2.9 (m, 2 H) 3.4 (m, 2 H) 3.5 (m, 6 H) 3.6 (d, <math>J=11.9</math> Hz, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.2 (s, 2 H) 7.4 (s, 3 H) 7.6 (m, 4 H) 10.3 (s, 1 H) 11.4 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3392, 2958, 1701, 1515, 1493, 1376, 1316, 1201, 1039</p> <p>M.P.</p>
Ex. 132		<p>1H-NMR 1.0 (t, <math>J=7.0</math> Hz, 3 H) 2.0 (d, <math>J=13.4</math> Hz, 2 H) 2.3 (s, 3 H) 2.9 (m, 2 H) 3.4 (d, <math>J=12.3</math> Hz, 2 H) 3.5 (m, 6 H) 3.6 (d, <math>J=10.8</math> Hz, 2 H) 4.3 (m, 3 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.2 (d, <math>J=8.1</math> Hz, 1 H) 7.3 (m, 1 H) 7.7 (m, 4 H) 10.3 (s, 1 H) 11.4 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3366, 2983, 2508, 1701, 1619, 1563, 1509, 1318, 1294, 1261, 1217, 1039</p> <p>M.P.:</p>
Ex. 133		<p>1H-NMR 1.0 (t, <math>J=7.0</math> Hz, 6 H) 2.0 (d, <math>J=12.1</math> Hz, 2 H) 2.3 (s, 3 H) 2.9 (m, 2 H) 3.5 (m, 6 H) 3.6 (d, <math>J=11.0</math> Hz, 2 H) 4.3 (m, 3 H) 5.1 (s, 2 H) 7.1 (s, 1 H) 7.2 (d, <math>J=8.6</math> Hz, 1 H) 7.3 (m, <math>J=8.6</math> Hz, 1 H) 7.8 (m, 4 H) 10.3 (s, 1 H) 11.4 (s, 1 H) 12.9 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3423, 2982, 1701, 1618, 1561, 1509, 1459, 1318, 1294, 1215, 1039</p> <p>M.P.:</p>

Ex. 134	<p>N-(4-Diethylamino-phenyl)-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride</p> 	<p>1H-NMR 1.0 (t, <math>J=7.0</math> Hz, 6 H) 2.1 (d, <math>J=13.5</math> Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 4 H) 3.5 (m, 4 H) 3.8 (t, <math>J=11.6</math> Hz, 1 H) 4.2 (s, 2 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.3 (d, <math>J=6.6</math> Hz, 1 H) 7.8 (s, 4 H) 10.2 (s, 1 H) 11.4 (s, 1 H) 12.8 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3412, 2804, 1693, 1622, 1577, 1519, 1473, 1382, 1289, 1261, 1224, 1021</p> <p>M.P.</p>
Ex. 135	<p>N-{4-[ethyl-(2-hydroxy-ethyl)-amino]-phenyl}-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride</p> 	<p>1H-NMR 1.0 (t, <math>J=7.0</math> Hz, 3 H) 2.1 (d, <math>J=12.5</math> Hz, 2 H) 2.4 (s, 3 H) 2.9 (m, 2 H) 3.3 (m, 2 H) 3.6 (m, 9 H) 4.1 (s, 2 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.3 (d, <math>J=7.1</math> Hz, 1 H) 7.7 (s, 4 H) 10.2 (s, 1 H) 11.3 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3387, 2983, 2624, 1701, 1566, 1515, 1383, 1320, 1281, 1219</p> <p>M.P.:</p>
Ex. 136	<p>N-Benzo[1,3]dioxol-5-yl-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide</p> 	<p>1H-NMR 1.9 (d, <math>J=13.4</math> Hz, 2 H) 2.4 (td, <math>J=12.0, 2.0</math> Hz, 2 H) 2.9 (m, 2 H) 3.1 (d, <math>J=9.5</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (tt, <math>J=12.0, 4.0</math> Hz, 1 H) 5.1 (s, 2 H) 6.0 (s, 2 H) 6.8 (d, <math>J=8.2</math> Hz, 1 H) 6.9 (m, 1 H) 7.1 (m, 3 H) 7.4 (m, 2 H) 9.0 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3417, 1719, 1686, 1542, 1491, 1241, 1204, 1034</p> <p>M.P.: 183.8</p>

Ex. 137	<p>N-Benzo[1,3]dioxol-5-yl-2-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide</p> 	<p>1H-NMR 1.9 (d, <math>J=10.4</math> Hz, 2 H) 2.3 (s, 3 H) 2.4 (t, <math>J=11.4</math> Hz, 2 H) 2.9 (qd, <math>J=12.3, 4.1</math> Hz, 2 H) 3.1 (d, <math>J=11.9</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (tt, <math>J=11.8, 3.7</math> Hz, 1 H) 5.1 (s, 2 H) 6.0 (s, 2 H) 6.8 (d, <math>J=8.2</math> Hz, 1 H) 6.9 (m, 3 H) 7.1 (d, <math>J=9.9</math> Hz, 1 H) 7.3 (d, <math>J=2.0</math> Hz, 1 H) 9.1 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3408, 1709, 1531, 1484, 1211, 1029, 809</p> <p>M.P.: 123.0</p>
Ex. 138	<p>N-Benzo[1,3]dioxol-5-yl-2-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide</p> 	<p>1H-NMR 1.9 (d, <math>J=12.6</math> Hz, 2 H) 2.4 (m, 2 H) 2.9 (qd, <math>J=12.3, 3.7</math> Hz, 2 H) 3.1 (d, <math>J=11.5</math> Hz, 2 H) 3.2 (s, 2 H) 3.8 (tt, <math>J=11.9, 3.8</math> Hz, 1 H) 5.1 (s, 2 H) 6.0 (s, 2 H) 6.8 (d, <math>J=8.2</math> Hz, 1 H) 6.9 (m, 1 H) 7.0 (d, <math>J=8.6</math> Hz, 1 H) 7.2 (d, <math>J=2.4</math> Hz, 1 H) 7.3 (m, 2 H) 9.0 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3300, 1719, 1686, 1529, 1490, 1241, 1199, 1035</p> <p>M.P.: 185.7-187.3</p>
Ex. 139	<p>N-Benzo[1,3]dioxol-5-yl-2-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide</p> 	<p>1H-NMR 2.0 (d, <math>J=13.0</math> Hz, 2 H) 2.3 (m, 2 H) 2.4 (s, 3 H) 2.9 (qd, <math>J=12.2, 3.6</math> Hz, 2 H) 3.1 (d, <math>J=2.4</math> Hz, 2 H) 3.1 (s, 2 H) 3.4 (tt, <math>J=11.7, 3.7</math> Hz, 1 H) 5.0 (s, 2 H) 6.0 (s, 2 H) 6.8 (d, <math>J=8.2</math> Hz, 1 H) 6.9 (m, 1 H) 7.0 (m, 2 H) 7.2 (d, <math>J=1.1</math> Hz, 1 H) 7.4 (d, <math>J=2.2</math> Hz, 1 H) 9.0 (s, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 3316, 1711, 1686, 1534, 1490, 1242, 1212, 1033</p> <p>M.P.: 173.5</p>

Ex. 140		<p>N-{4-[ethyl-(2-hydroxy-ethyl)-amino]-phenyl}-2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide dihydrochloride</p> <p><sup>1</sup>H-NMR 1.0 (t, <i>J</i>=7.0 Hz, 3 H) 2.0 (d, <i>J</i>=13.4 Hz, 2 H) 2.9 (m, 2 H) 3.5 (m, 9 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.2 (s, 2 H) 7.1 (m, 1 H) 7.3 (d, <i>J</i>=7.3 Hz, 1 H) 7.4 (s, 2 H) 7.7 (m, 4 H) 10.3 (s, 1 H) 11.5 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3342, 2943, 2501, 1702, 1515, 1467, 1316, 1260, 1204, 1043, 770</p> <p>M.P.:</p>
Ex. 141		<p>2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-dimethylamino-phenyl)-acetamide dihydrochloride</p> <p><sup>1</sup>H-NMR 2.0 (d, <i>J</i>=12.6 Hz, 2 H) 2.9 (m, 2 H) 3.0 (s, 6 H) 3.4 (d, <i>J</i>=11.9 Hz, 2 H) 3.6 (m, 2 H) 4.2 (s, 2 H) 4.3 (m, 1 H) 5.2 (s, 2 H) 7.4 (m, 4 H) 7.6 (m, 3 H) 10.2 (s, 1 H) 11.1 (s, 1 H) (DMSO-d<sub>6</sub>)</p> <p>IR (KBr) 3448, 2958, 2400, 1716, 1701, 1518, 1495, 1200</p> <p>M.P.:</p>

**Example 142:**

N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(4-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.

**Example 143:**

N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(4-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.

**Example 144:**

2-[4-(4-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide.

**Example 145:**

2-{2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamino}-benzoic acid.

**Example 146:**

1-{1-[2-(6-Fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 147:**

6-Chloro-1-{1-[2-(6-fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 148:**

1-{1-[2-(6-Fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 149:**

1-{1-[2-(6-Fluoro-2-methyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 150:**

1-{1-[2-(6-Methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 151:**

6-Chloro-1-{1-[2-(6-methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 152:**

1-{1-[2-(6-Methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 153:**

1-{1-[2-(6-Methoxy-2,2,4-trimethyl-3,4-dihydro-2H-quinoline-1-yl)-2-oxo-ethyl]-piperidin-4-yl}-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

**Example 154:**

N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.

**Example 155:**

N-(9H-carbazol-3-yl)-2-[4-(2-oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.

**Example 156:**

2-[4-(2-Oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide.

**Example 157:**

N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-oxo-7-trifluormethyl-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.

**Example 158:**

2-4-(6,7-Difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide.

**Example 159:**

N-(9H-carbazol-3-yl)-2-[4-(6,7-difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-acetamide.

**Example 160:**

2-4-(6,7-Difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide.

**Example 161:**

2-4-(6,7-Difluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide.

**Example 162:**

2-[4-(4-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide.

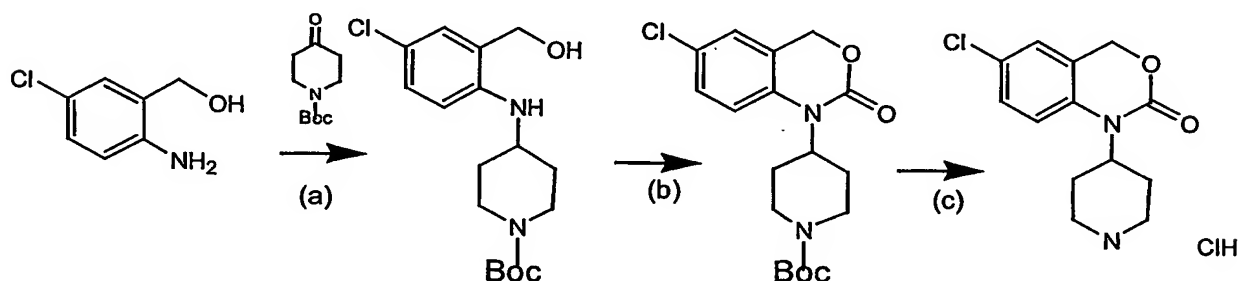
**Example 163:**

2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(3-dimethylamino-phenyl)-acetamide.

**Preparation of the compound of general formula (Ib):****Example Ab:**

Synthesis of an intermediate compound of general formula (IIb)

Preparation of 6-Chloro-1-(piperidine-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride



**b) 1-(*tert*-Butyloxycarbonyl)-4-[4-chloro-(2-hydroxymethylphenylamine)] piperidine**

A solution of 1-(*tert*-butyloxycarbonyl)-4-piperidinone (20 g, 0.10 mol), 2-amino-5-chlorobenzyl alcohol (17.34 g, 0.11 mol) and acetic acid (14 mL, 0.22 mol) in dry toluene (500 mL) was heated at reflux temperature, with water elimination by means of azeotrope distillation with Dean-Stark, for 6 hours. The mixture was then cooled and vacuum concentrated up to half volume. NaBH<sub>3</sub>CN (20 g, 0.32 mol) and dry THF (300 mL) were added to the resulting solution. Acetic acid (10 mL, 0.17 mol) was then dripped for one hour. The reaction was stirred

at room temperature for 24 hours. The mixture was vacuum concentrated and the residue was dissolved in ethyl acetate (750 mL), washed with a NaHCO<sub>3</sub>-saturated solution (4 x 250 mL) and a NaCl-saturated solution (250 mL), dried and evaporated to dryness. The residue was purified by means of flash chromatography eluting with a mixture of ethyl acetate: petroleum ether (1:3). The desired product was thus obtained as an oil (32.7 g, 96%). <sup>1</sup>H NMR (CDCl<sub>3</sub>): 1.32 (d, *J*=11.2 Hz, 2H), 1.41 (s, 9H), 1.92 (d, *J*=11.2 Hz, 2H), 2.92 (t, *J*=12.0 Hz, 1H), 3.10 (s, 1H), 3.37 (m, 1H), 3.88 (d, *J*= 13.7 Hz, 2H), 4.49 (s, 2H), 4.75 (s, 1H), 6.52 (d, *J*= 8.6 Hz, 1H), 6.96 (s, 1H), 7.07 (d, *J*= 8.6 Hz, 1H).

**d.) 1-(1-*tert*-Butyloxycarbonyl-4-piperidinyl)-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one**

N, N-diisopropylethylamine (DIEA) (43 mL, 0.25 mol) and triphosgene (8.65 g, 29.2 mmol) were added to a solution of 1-(*tert*-Butyloxycarbonyl)-4-[(4-chloro-(2-hydroxymethyl) phenyl-amino)]piperidine (27.0 g, 79 mmol) in dry THF (250 mL) cooled at 0°C. The reaction was stirred at 0°C for 1 h and at room temperature for 72 h. Ethyl ether was added and the mixture was cooled at 0°C for

3 h and the DIEA hydrochloride was then filtered. The filtered solution was evaporated to dryness and the residue was dissolved in ethyl acetate (750 mL), washed with 5% solution of citric acid (2 x 500 mL), water (250 mL) and NaHCO<sub>3</sub>-saturated solution (2 x 500 mL). The ethyl acetate solution was dried (MgSO<sub>4</sub>), filtered and evaporated under reduced pressure. The residue was brought to the boil with ethyl ether until the whole solid was dissolved and then cooled overnight to yield the desired compound in crystalline form (28.9 g, 67%).

Melting point: 177-179 °C

<sup>1</sup>H NMR (CDCl<sub>3</sub>): 1.46 (s, 9H), 1.79 (d, *J*= 10.1 Hz, 1H), 2.54 (m, 2H), 2.78 (m, 2H), 3.96 (m, 1H), 4.28 (m, 2H), 5.02 (s, 2H), 6.98 (d, *J*= 8.7 Hz, 1H) 7.13 (d, *J*= 2.4 Hz, 1H), 7.28 (dd, *J*= 8.7 Hz, *J*= 2.4 Hz, 1H).

**e.) 6-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride**

A solution of 1-[(1-*tert*-Butyloxycarbonyl)-4-piperidiny]-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one (24 g, 65 mmol) in ethyl acetate (500 mL) was cooled at 0°C. A 5 M solution of hydrogen chloride in ethyl ether (500 mL) was then added and the resulting mixture was stirred at 0°C for 4 h. The precipitate formed was collected by filtration, washed with ether and vacuum dried to yield the desired product as a solid (16.95 g, 97%).

Melting point: 254-257 °C

<sup>1</sup>H NMR (CD<sub>3</sub>OD): 2.13 (d, *J*= 12.2 Hz, 2H), 2.88 (m, 2H), 3.20 (m, 2H), 3.53 (d, *J*= 12.8 Hz, 2H), 4.24 (m, 1H), 5.16 (s, 2H), 7.31 (m, 2H), 7.41 (dd, *J*= 8.8 Hz, *J*= 2.6 Hz, 1H).

Several substituted 3,1-benzoxazin-2-one compounds were prepared via the respectively substituted benzyl alcohols by reducing the respectively substituted anthranilic acids with lithium aluminium hydride and other known reducing agents by methods well known to those skilled in the art (see scheme 1), e.g. por ejemplo 6-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-chloro-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-chloro-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-chloro-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one and others. The reaction of the respective 5-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 6-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one

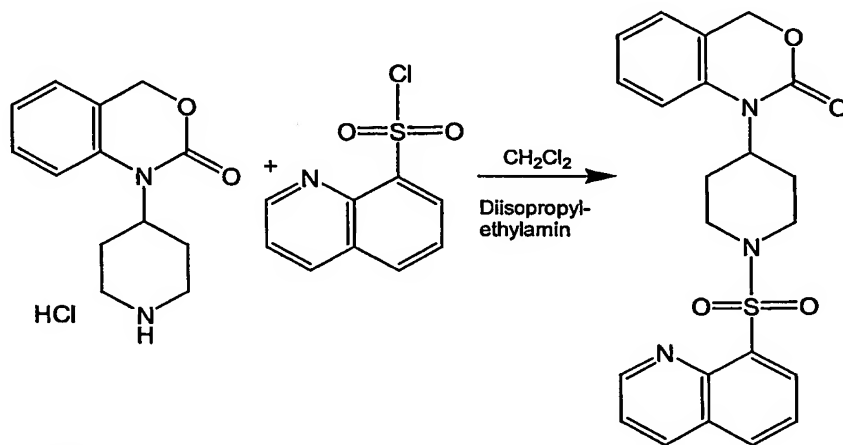
compounds according to conventional methods, e.g.  $\text{BBr}_3$  in an inert organic solvent yields the respective 5-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 6-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one compounds. The unsubstituted benzoxazin-2-one 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one is prepared according the method described in J. Med. Chem. 1995, 38, 4634 and J. Med. Chem. 1998, 41, 2146, which are hereby incorporated by reference and form part of the disclosure.

The substituted anthranilic acids were reduced by conventional methods known to those skilled in the art, e.g. by the use of  $\text{LiAlH}_4$  as reducing agent in anhydrous THF under an inert-gas atmosphere, e.g. argon or nitrogen. This process is very efficient and in most cases the respective 2-aminobenzylalcohols are obtained in very good yields.

General instruction for the reduction of substituted anthranilic acids:

To a three neck flask, equipped with a mechanical stirrer and an inlet for gaseous nitrogen, 100 mL anhydrous THF and 116,6 mmoles of  $\text{LiAlH}_4$  were given and the resulting suspension cooled to 0 °C. After the addition of 58,3 mmoles of the respective substituted anthranilic acid in 150 mL anhydrous THF, the resulting reaction mixture is warmed to room temperature and stirred for about an hour. Under cooling to 0° C 4,7 mL water, 4,7 mL NaOH 15 wt.-%, and finally 14 mL water are carefully added to the mixture. The resulting suspension is filtered and washed with ethylacetate.

The organic phase is washed with water, dried and the solvent evaporated. In most cases the resulting product may be used without further purification.

**Example 5b:****Preparation of 1-[1-quinoline-8-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one**

150 mg (0,66 mmol) quinoline-8-sulfonyl chloride are added to a mixture of 1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride (161 mg, 0,60 mmol) and diisopropylethylamin (230 mg, 1,80 mmol) in dichloromethane (10 ml) and the resulting reaction mixture was stirred overnight at room temperature. The reaction mixture was then washed with water (3 x 15 mL) and the organic phase was separated, dried and evaporated to dryness. A solid was obtained, which was recrystallized from ethanol. 182 mg of 1-[1-quinoline-8-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one were obtained as a white solid (yield 69 %).

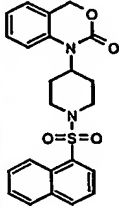
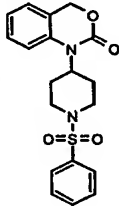
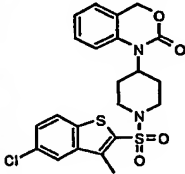
IR (cm<sup>-1</sup>) KBr: 1712, 1337, 1291, 1205, 1162, 1144, 1034, 717, 583

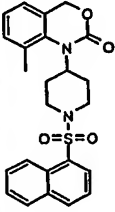
<sup>1</sup>H-NMR(δ in ppm): 1.8 (d, *J*=9.5 Hz, 2 H) 2.6 (qd, *J*=12.6, 4.4 Hz, 2 H) 3.0 (td, *J*=12.8, 2.5 Hz, 2 H) 4.1 (tt, *J*=12.5, 3.8 Hz, 1 H) 4.3 (ddd, *J*=13.0, 2.3 Hz, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 1 H) 7.6 (dd, *J*=8.4, 4.2 Hz, 1 H) 7.6 (m, 1 H) 8.1 (dd, *J*=8.2, 1.3 Hz, 1 H) 8.3 (dd, *J*=8.3, 1.7 Hz, 1 H) 8.5 (dd, *J*=7.3, 1.5 Hz, 1 H) 9.1 (dd, *J*=4.2, 1.8 Hz, 1 H) (CDCl<sub>3</sub>-d).

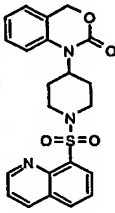
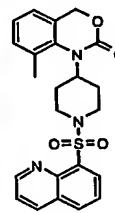
Melting point: 170-172 °C.

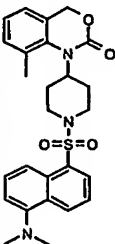
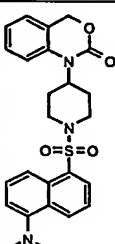
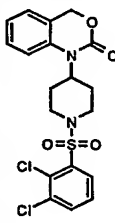
The compounds according to examples 1b-4b and 6b-10b given in the following table Ib were prepared analogously to the methods described above:

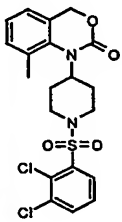
Table 1b:

Ex. 1b		<p>1-[1-(Naphthyl-1-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.8 (d, <math>J=10.5</math> Hz, 2 H) 2.4 (m, 2 H) 2.7 (t, <math>J=11.6</math> Hz, 2 H) 3.9 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.2 (m, 2 H) 7.7 (m, 3 H) 8.1 (d, <math>J=8.1</math> Hz, 1 H) 8.2 (d, <math>J=7.8</math> Hz, 1 H) 8.3 (d, <math>J=8.1</math> Hz, 1 H) 8.7 (d, <math>J=8.3</math> Hz, 1 H) (DMSO-<math>d_6</math>)</p> <p>IR (KBr) 1709, 1498, 1353, 1162, 1034, 770, 718, 579</p> <p>Melting point: 147-149°C</p>
Ex. 2b		<p>1-(1-Phenylsulfonyl-piperidine-4-yl) -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.9 (dd, <math>J=12.1, 2.1</math> Hz, 2 H) 2.4 (td, <math>J=12.2, 2.4</math> Hz, 2 H) 2.7 (qd, <math>J=12.6, 4.3</math> Hz, 2 H) 3.9 (tt, <math>J=12.3, 3.9</math> Hz, 1 H) 4.0 (dt, <math>J=11.9, 2.1</math> Hz, 2 H) 5.0 (s, 2 H) 7.0 (d, <math>J=8.3</math> Hz, 1 H) 7.0 (t, <math>J=7.3</math> Hz, 1 H) 7.1 (m, 1 H) 7.3 (m, 1 H) 7.6 (m, 3 H) 7.8 (m, 2 H) (<math>CDCl_3</math>-d)</p> <p>IR (KBr) 1705, 1497, 1340, 1293, 1205, 1160, 736, 691, 576</p> <p>Melting point: 172-174°C</p>
Ex. 3b		<p>1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.8 (d, <math>J=10.8</math> Hz, 2 H) 2.5 (m, 2 H) 2.7 (s, 3 H) 2.8 (t, <math>J=11.4</math> Hz, 2 H) 3.8 (d, <math>J=11.4</math> Hz, 2 H) 3.9 (m, 1 H) 5.1 (s, 2 H) 7.0 (t, <math>J=7.2</math> Hz, 1 H) 7.2 (d, <math>J=8.1</math> Hz, 1 H) 7.2 (m, 2 H) 7.6 (dd, <math>J=8.6, 2.0</math> Hz, 1 H) 8.1 (d, <math>J=2.0</math> Hz, 1 H) 8.2 (d, <math>J=8.6</math> Hz, 1 H) (DMSO-<math>d_6</math>)</p> <p>IR (KBr) 1717, 1358, 1248, 1201, 1160, 1035, 712, 554</p> <p>Melting point: 204-206°C</p>

Ex. 4b	8-Methyl-1-[1-(naphthyl-1-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 1.9 (d, $J=12.5$ Hz, 2 H) 2.3 (s, 3 H) 2.7 (m, 4 H) 3.3 (m, 1 H) 4.0 (d, $J=11.2$ Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.1 (d, $J=7.0$ Hz, 1 H) 7.6 (m, 3 H) 7.9 (m, 1 H) 8.1 (d, $J=8.2$ Hz, 1 H) 8.2 (dd, $J=7.3, 1.1$ Hz, 1 H) 8.7 (d, $J=8.8$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1712, 1316, 1279, 1222, 1160, 1135, 1025, 768, 607
		Melting point: 203-204°C

Ex. 5b	1-[1-(Quinoliny-8-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 1.8 (d, $J=9.5$ Hz, 2 H) 2.6 (qd, $J=12.6, 4.4$ Hz, 2 H) 3.0 (td, $J=12.8, 2.5$ Hz, 2 H) 4.1 (tt, $J=12.5, 3.8$ Hz, 1 H) 4.3 (ddd, $J=13.0, 2.3$ Hz, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 1 H) 7.6 (dd, $J=8.4, 4.2$ Hz, 1 H) 7.6 (m, 1 H) 8.1 (dd, $J=8.2, 1.3$ Hz, 1 H) 8.3 (dd, $J=8.3, 1.7$ Hz, 1 H) 8.5 (dd, $J=7.3, 1.5$ Hz, 1 H) 9.1 (dd, $J=4.2, 1.8$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1712, 1337, 1291, 1205, 1162, 1144, 1034, 717, 583
Ex. 6b	8-Methyl-1-[1-(quinoliny-8-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 1.9 (d, $J=12.6$ Hz, 2 H) 2.3 (s, 3 H) 2.7 (qd, $J=12.2, 3.9$ Hz, 2 H) 2.9 (m, 2 H) 3.3 (tt, $J=11.7, 3.4$ Hz, 1 H) 4.3 (d, $J=12.8$ Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.1 (d, $J=7.3$ Hz, 1 H) 7.5 (dd, $J=8.3, 4.1$ Hz, 1 H) 7.6 (m, 1 H) 8.0 (dd, $J=8.2, 1.3$ Hz, 1 H) 8.2 (dd, $J=8.3, 1.7$ Hz, 1 H) 8.5 (dd, $J=7.3, 1.5$ Hz, 1 H) 9.1 (dd, $J=4.2, 1.8$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1702, 1329, 1284, 1218, 1024, 785, 701, 582
		Melting point: 202-206°C

Ex. 7b		<p>1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-Methyl -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.9 (d, <math>J=11.9</math> Hz, 2 H) 2.3 (s, 3 H) 2.7 (m, 4 H) 2.9 (s, 6 H) 3.3 (m, 1 H) 4.0 (d, <math>J=9.9</math> Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.2 (m, <math>J=7.3</math> Hz, 2 H) 7.5 (m, 2 H) 8.2 (dd, <math>J=7.3</math>, 1.1 Hz, 1 H) 8.4 (d, <math>J=8.6</math> Hz, 1 H) 8.6 (d, <math>J=8.4</math> Hz, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 2981, 1711, 1336, 1221, 1149, 1025, 794, 709, 571</p> <p>Melting point: 202-203°C</p>
Ex. 8b		<p>1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR 1.8 (dd, <math>J=12.3</math>, 3.5 Hz, 2 H) 2.7 (m, 4 H) 2.9 (s, 6 H) 4.0 (m, 3 H) 5.0 (s, 2 H) 6.9 (d, <math>J=8.2</math> Hz, 1 H) 7.1 (m, 2 H) 7.3 (m, 2 H) 7.6 (td, <math>J=8.9</math>, 7.4 Hz, 2 H) 8.3 (dd, <math>J=7.3</math>, 1.3 Hz, 1 H) 8.4 (d, <math>J=8.8</math> Hz, 1 H) 8.6 (d, <math>J=8.2</math> Hz, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 2935, 1720, 1319, 1242, 1144, 920, 791, 755, 642</p> <p>Melting point: 182-186°C</p>
Ex. 9b		<p>1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR 1.9 (d, <math>J=10.1</math> Hz, 2 H) 2.7 (qd, <math>J=12.6</math>, 4.2 Hz, 2 H) 3.0 (td, <math>J=12.7</math>, 2.3 Hz, 2 H) 4.1 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 2 H) 7.7 (dd, <math>J=8.0</math>, 1.6 Hz, 1 H) 8.0 (dd, <math>J=8.0</math>, 1.6 Hz, 1 H) (CDCl<sub>3</sub>-d)</p> <p>IR (KBr) 1697, 1395, 1244, 1165, 1045, 942, 710, 582</p> <p>Melting point: 185-187 °C</p>

Ex. 10b		1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-8-Methyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one
		<b><sup>1</sup>H-NMR:</b> 2.0 (d, <i>J</i> =11.5 Hz, 2 H) 2.4 (s, 3 H) 2.8 (m, 4 H) 3.4 (m, 1 H) 4.0 (d, <i>J</i> =9.9 Hz, 2 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.2 (d, <i>J</i> =7.7 Hz, 1 H) 7.3 (t, <i>J</i> =8.0 Hz, 1 H) 7.7 (dd, <i>J</i> =8.1, 1.5 Hz, 1 H) 8.0 (dd, <i>J</i> =8.0, 1.6 Hz, 1 H) (CDCl <sub>3</sub> -d)
		<b>IR (KBr)</b> 1705, 1404, 1339, 1224, 1149, 939
		<b>Melting point:</b> 184-185°C

**Preparation of the compounds of general formula (Ic):****METHOD Ac****Example 7c:**

Preparation of N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulphonamide.

To a solution of 3.05 g (15 mMol) of 5-amino-3-(2-dimethylaminoethyl)-1*H*-indol in 100 ml of pyridine is added dropwise at ambient temperature a solution of 4.21 g (15 mMol) of 5-chloro-3-methyl-benzo[b]thiophene-2-sulphonyl chloride in 20 ml of pyridine. The reaction mixture is stirred at ambient temperature for 20 hours. It is then evaporated to dryness, slightly alkalinised with diluted ammonia and dissolved in ethyl acetate. The organic phase is washed with water and a saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulphate. The organic solution is evaporated to dryness and the resulting solid is repeatedly washed with ethyl ether, to yield 5.5 g (82%) of N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulphonamide as a solid with m.p. = 226-227°C.

**METHOD Bc****Example 26c:**

Preparation of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide.

To a mixture of 285 mg (0.7 mMol) of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-2-sulphonamide (example 17) and 80 mg (0.7 mMol) of potassium *t*-butoxide in 3 ml of DMSO are stirred for 30 minutes at ambient temperature.

Then are added 105 mg (0.7 mMol) of ethyl iodide and left with stirring for 3 hours. Water is added and is extracted with ethyl acetate. The organic solution is evaporated to dryness and the resulting crude is purified by chromatography on silica gel, using as an eluent mixtures of methylene chloride / methanol / ammonia, yielding N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide as a solid with m.p. = 49-50°C.

## METHOD Cc

### Example 18c:

Preparation of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide.

To a solution of 712 mg (13.2 mMol) of sodium methoxide in 100 ml of methanol are added 850 mg (2.64 mMol) of N-[1*H*-indol-5-yl]naphthalene-1-sulphonamide followed by 596 mg (5.28 mMol) of 1-methyl-4-piperidone and the resulting solution is heated to reflux for 48 hours. The reaction mixture is concentrated at reduced pressure and the residue obtained is purified by chromatography over silica gel, using as eluent mixtures of methylene chloride/ methanol / ammonia, to yield 573 mg (52%) of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide as a solid with m.p. = 244-245°C.

## METHOD Dc

### Example 12c:

Preparation of N-[3-(1-methyl-piperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide.

To a solution of 417 mg (1 mMol) of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide in 50 ml of methanol are added 100 mg of 5% palladium on carbon. The mixture is hydrogenated at ambient temperature at an initial hydrogen pressure of 3 atmospheres for 20 hours. The reaction mixture is filtered and the filtrate is concentrated at reduced pressure to provide a crude that is suspended in ethyl ether, yielding 272 mg (65%) of N-[3-(1-methyl-piperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide as a solid with m.p.= 254-256°C

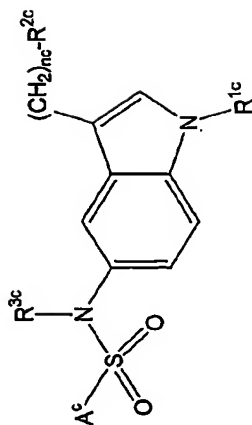
## METHOD Ec

### Example 3c:

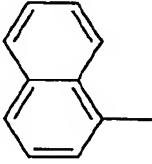
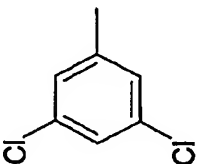
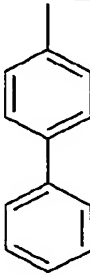
Preparation of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride.

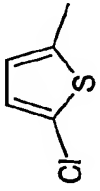
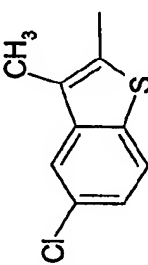
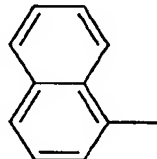
1.05 g (2.5 mMol) of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide (example 2) are dissolved in 10 ml of ethanol and 0.6 ml are added of a 4.2 N solution of hydrochloric acid in ethanol. It is allowed to crystallise at ambient temperature. N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride is obtained as a solid with m.p.= 255-257°C.

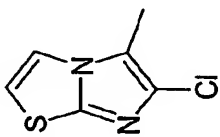
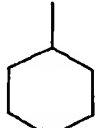
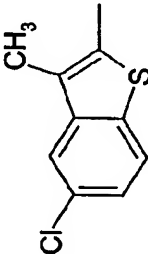
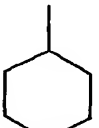
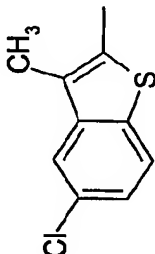
The melting point and spectroscopic data for identifying some of the compounds used according to the present invention are shown in the following table:

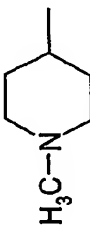
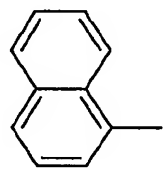
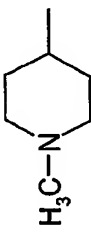
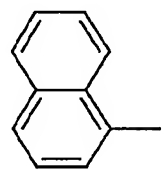
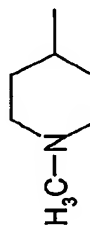
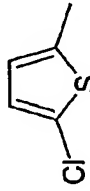


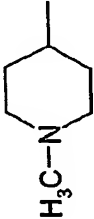
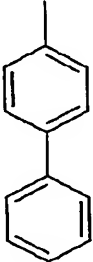
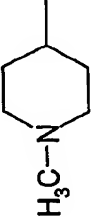
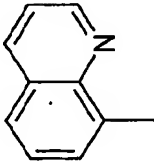
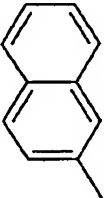
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
1c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	170-173	3387, 2970, 2931, 1466, 1236, 1158, 1107, 1080, 993, 862, 805, 657, 565.	0.88(t, 6H, J=7.1 Hz); 2.28(s, 3H); 2.30-2.46(m, 6H); 2.58(m, 2H); 6.85(dd, 1H, J=8.6, 2.0 Hz); 7.10(m, 2H); 7.20(d, 1H, J=8.6 Hz); 7.50(dd, 1H, J=8.7, 2.0 Hz); 7.90(d, 1H, J=2.0 Hz); 7.98(d, 1H, J=8.7 Hz); 10.10 (bb, 1H); 10.80(s, 1H). (DMSO-d6)
2c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	170	3451, 3337, 2972, 1466, 1319, 1237, 1157, 1132, 1091, 991, 770, 675, 583, 481.	0.90(t, 6H, J=7.1 Hz); 2.33-2.55(m, 8H); 6.69(dd, 1H, J=8.7, 1.8 Hz); 6.95(s, 1H); 7.02(d, 1H, J=1.8 Hz); 7.05(d, 1H, J=8.7 Hz); 7.47(t, 1H, J=7.7 Hz); 7.63(m, 1H); 7.70(m, 1H); 8.01(m, 2H); 8.12(d, 1H, J=7.5 Hz); 8.77(d, 1H, J=8.1 Hz); 10.10(bb, 1H); 10.66(s, 1H) (DMSO-d6)

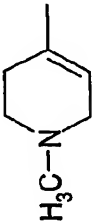
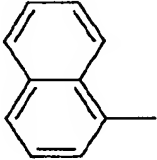
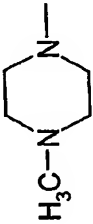
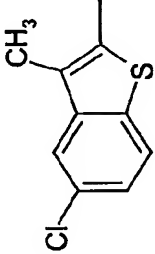
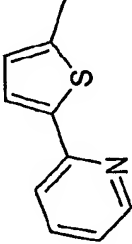
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
3c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		HCl	255-257	3378, 3065, 2558, 2489, 1460, 1317, 1162, 1143, 1131, 811, 687, 602, 588.	1.22(t, 6H, J=7.2 Hz); 2.91-3.18(m, 8H); 6.65(d, 1H, J=8.6 Hz); 7.08(d, 1H, J=8.6 Hz); 7.17(s, 1H); 7.20(d, 1H, J=1.8 Hz); 7.54(t, 1H, J=7.8 Hz); 7.63(m, 1H); 7.70(m, 1H); 8.03(d, 1H, J=7.8 Hz); 8.08(d, 1H, J=7.1 Hz); 8.14(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.4 Hz); 10.26(s, 1H); 10.90(bb, 1H); 11.01(s, 1H). (DMSO-d6)
4c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	168-170	3309, 3047, 2974, 1566, 1467, 1235, 1167, 1143, 1116, 1001, 910, 799, 672, 587.	0.95(t, 6H, J=7.1 Hz); 2.44-2.58(m, 6H); 2.66(m, 2H); 6.79(dd, 1H, J=8.6, 1.7 Hz); 7.08(d, 1H, J=0.9 Hz); 7.13(d, 1H, J=1.7 Hz); 7.23(d, 1H, J=8.6 Hz); 7.58 (m, 2H); 7.87(m, 1H); 9.95(bb, 1H); 10.82(s, 1H). (DMSO-d6)
5c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	161-163	3387, 2971, 1323, 1157, 1095, 765, 670, 590	0.89(t, 6H, J=7.1 Hz); 2.32-2.55(m, 6H); 2.62(m, 2H); 6.85(d, 1H, J=8.6 Hz); 7.08(d, 1H, J=2.0 Hz); 7.13(s, 1H); 7.18(d, 1H, J=8.6 Hz); 7.33-7.50 (m, 3H); 7.64(d, 2H, J=7.5 Hz); 7.72(sys AB, 2H, J=8.6 Hz); 7.78(sys AB, 2H, J=8.6 Hz); 9.80(bb, 1H); 10.75(s, 1H). (DMSO-d6)

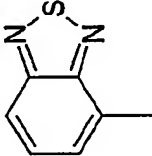
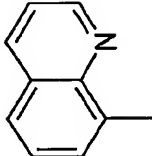
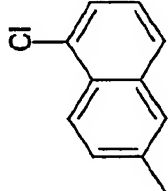
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
6c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	180-181	3375, 2978, 1467, 1417, 1236, 1212, 1115, 994, 624.	0.96(t, 6H, J=7.1 Hz); 2.52(m, 4H); 2.57(m, 2H); 2.66(m, 2H); 6.83(dd, 1H, J=8.6, 1.9 Hz); 7.11(d, 1H, J=4.0 Hz); 7.14(d, 1H, J=1.9 Hz); 7.17(d, 1H, J=1.9 Hz); 7.20-7.24(m, 2H); 10.01(bb, 1H); 10.81(s, 1H). (DMSO-d6)
7c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	226-227	3422, 3238, 1332, 1155, 1114, 1079, 986, 861, 803, 555, 564.	2.04(s, 6H); 2.23(m, 2H); 2.28(s, 3H); 2.59(m, 2H); 6.83(dd, 1H, J=8.4, 1.5 Hz); 7.09 (s, 2H); 7.19(d, 1H, J=8.4 Hz); 7.49(dd, 1H, J=8.7, 1.6 Hz); 7.91(d, 1H, J=1.6 Hz); 7.99(d, 1H, J=8.7 Hz); 10.13(bb, 1H), 10.79 (s, 1H) (DMSO-d6)
8c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	203-205	3357, 1475, 1282, 1157, 1127, 990, 957, 809, 773, 613, 587, 557, 498.	2.09(s, 6H); 2.21(m, 2H); 2.54(m, 2H); 6.69(dd, 1H, J=8.6, 1.7 Hz); 6.94 (s, 1H); 7.03 (s, 1H); 7.06(d, 1H, J=8.1 Hz); 7.49(t, 1H, J=7.8 Hz); 7.64(m, 1H); 7.71(m, 1H); 8.02 (m, 2H); 8.13(d, 1H, J=8.1 Hz); 8.79(d, 1H, J=8.4 Hz); 10.10(bb, 1H); 10.68(s, 1H) (DMSO-d6)

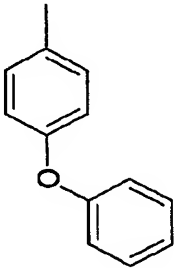
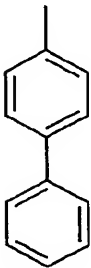
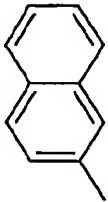
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
9c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		—	215 (desc)	3247, 3094, 1467, 1272, 1261, 1230, 625	2.17(s, 6 H); 2.36(m, 2 H); 2.65(m, 2 H); 6.77(dd, J=8.6, 1.7 Hz, 1 H); 7.07(1 H); 7.09(s, 1 H); 7.18(d, J=8.6 Hz, 1 H); 7.51(d, J=4.5 Hz, 1 H); 7.81(d, J=4.5 Hz, 1 H); 10.80 (s, 1 H). (DMSO-d <sub>6</sub> ).
10c	H	 H <sub>3</sub> C-N	0	H		—	250 (desc)	3407, 2390, 1466, 1334, 1156, 113, 1080, 651, 565.	1.53-1.80(m, 4H); 2.26(s, 3H); 2.39-2.71(m, 6H); 3.02(d, 2H, J=8.8 Hz); 6.76(d, 1H, J=8.8 Hz); 7.05(s, 1H); 7.11(s, 1H); 7.19(d, 1H, J=8.8 Hz); 7.51(d, 1H, J=8.7 Hz); 7.91(s, 1H); 8.00(d, 1H, J=8.7 Hz); 10.10(bb, 1H); 10.90(s, 1H). (DMSO-d <sub>6</sub> )
11c	H	 H <sub>3</sub> C-N	0	H		HCl	220 (desc)	3423, 3214, 3043, 2942, 2688, 1464, 1317, 1149, 1114, 1080, 748, 670, 646	1.75-1.92(m, 4H); 2.31(s, 3H); 2.66(s, 3H); 2.80(m, 1H); 2.95(m, 2H); 3.24(d, 2H, J=11.4 Hz); 6.76(d, 1H, J=8.7 Hz); 7.07(s, 1H); 7.19(m, 2H); 7.50(d, 1H, J=8.6 Hz); 7.93(s, 1Hz); 8.01(d, 1H, J=8.6 Hz); 8.34 (s, 1H); 10.90(bb, 1H); 11.01(s, 1H). (DMSO-d <sub>6</sub> )

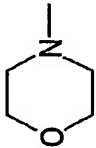
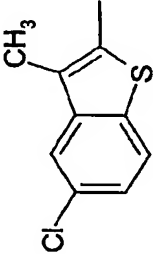
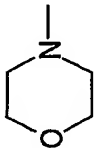
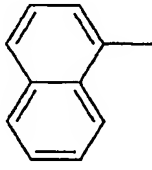
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
12c	H		0	H		-	254-256	3343, 2938, 2929, 1470, 1154, 1121, 1108, 988, 947, 805, 769, 589.	1.49(m, 2H); 1.61(m, 2H); 2.14(m, 2H); 2.30(s, 3H); 2.40(m, 1H); 2.90(d, 2H, J=10.6 Hz); 6.65(d, 1H, J=8.6 Hz); 6.90(s, 1H); 6.96(s, 1H); 7.05(d, 1H, J=8.6 Hz); 7.46(dt, 1H, J=7.51, 1.83 Hz); 7.64(m, 1H); 7.71(m, 1H); 7.99(d, 1H, J=8.6 Hz); 8.03(d, 1H, J=8.6 Hz); 8.12(d, 1H, J=8.2 Hz); 8.77(d, 1H, J=8.6 Hz); 10.07(bb, 1H); 10.71(s, 1H). (DMSO-d6)
13c	H		0	H		HCl	212 (desc)	3423, 3269, 3114, 2955, 2733, 1469, 1321, 1155, 1133, 947, 769.	1.80(m, 4H); 2.74(m, 4H); 3.04(m, 2H); 3.39(m, 2H); 6.63(d, 1H, J=8.6 Hz); 7.00(s, 2H); 7.08(d, 1H, J=8.6 Hz); 7.49(t, 1H, J=7.7 Hz); 7.60-7.77(m, 2H); 8.04(d, 2H, J=7.5 Hz); 8.13(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.2 Hz); 10.16(s, 1H); 10.66(bb, 1H); 10.88(s, 1H). (DMSO-d6)
14c	H		0	H		-	284 (desc)	3371, 2943, 1468, 1410, 1324, 1148, 993, 604.	1.62(m, 2H); 1.78(d, 2H, J=11.7 Hz); 1.99(m, 2H); 2.18(s, 3H); 2.55(m, 1H); 2.84(d, 2H, J=10.6 Hz); 6.81(d, 1H, J=8.6 Hz); 7.07(s, 1H); 7.13(m 1H); 7.16(s, 1H); 7.20-7.26 (m, 1H); 9.90 (bb, 1H); 10.83 (s, 1H). (DMSO-d6)

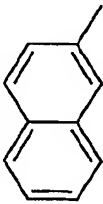
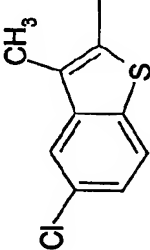
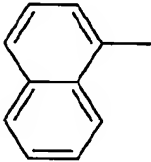
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
15c	H		0	H		-	247-248	3361, 2936, 1318, 1155, 1095, 767, 670, 587.	1.52(s, 2H); 1.67(m, 2H); 1.85(m, 2H); 2.08(s, 3H); 2.44(m, 1H); 2.67(d, 2H, J=8.4 Hz); 6.83(d, 1H, J=8.4 Hz); 7.01(s, 1H); 7.03(s, 1H); 7.19(d, 1H, J=8.4 Hz); 7.35-7.50(m, 3H); 7.63-7.73(m, 4H); 7.79(sys AB, 2H, J=7.6 Hz); 9.71(bb, 1H); 10.76(s, 1H) (DMSO-d6).
16c	H		0	H		-	280 (desc)	3398, 3257, 2933, 1161, 1143, 789, 589.	1.25-1.52(m, 4H); 1.85(m, 2H); 2.18(s, 3H); 2.27(m, 1H); 2.74(d, J=11.4 Hz, 2H); 6.72(dd, J=8.6, 2.0 Hz, 1H); 6.83(d, J=1.5 Hz, 1H); 6.90(d, J=2.0 Hz, 1H); 7.02(d, J=8.6 Hz, 1H); 7.57(m, 1H); 7.74(dd, J=8.4, 4.3 Hz, 1H); 8.12(dd, J=7.3, 1.3 Hz, 1H); 8.19(dd, J=8.2, 1.3 Hz, 1H); 8.52(dd, J=8.4, 1.7 Hz, 1H); 9.21(dd, J=4.3, 1.7 Hz, 1H); 9.36(s, 1H); 10.64(s, 1H). (DMSO-d6).
17c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	172-173	3199, 2970, 2930, 2870, 1327, 1153, 1130, 1110, 1075, 956, 676, 558, 551, 476.	0.87(t, J=7.1 Hz, 6H); 2.39(m, 6H); 2.55(m, 2H); 6.82(d, J=8.6 Hz, 1H); 7.05(s, 1H); 7.09(s, 1H); 7.13(d, J=8.6 Hz, 1H); 7.60(m, 2H); 7.73(d, J=8.6 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.01(m, 2H); 8.26(s, 1H); 9.86(bb, 1H); 10.71(s, 1H). (DMSO-d6).

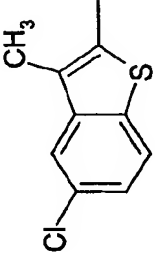
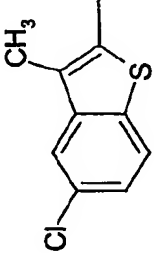
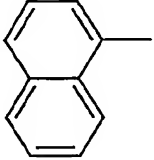
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
18c	H		0	H		-	244-245 (desc)	3346, 2943, 1474, 1283, 1261, 1156, 1123, 801, 771, 589, 503.	2.25(s, 3 H); 2.31(m, 2 H); 2.46(m, 2 H); 2.90(m, 2 H); 5.34(s, 1 H); 6.78(dd, J=8.6, 2.0 Hz, 1 H); 7.09(d, J=1.5 Hz, 1 H); 7.14(d, J=8.6 Hz, 1 H); 7.25(d, J=2.0 Hz, 1 H); 7.49(t, J=7.8 Hz, 1 H); 7.66(m, 1 H); 7.75(m, 1 H); 8.04(m, 2 H); 8.14(d, J=8.2 Hz, 1 H); 8.83(d, J=8.6 Hz, 1 H); 10.14(bb, 1 H); 11.03(s, 1 H). (DMSO-d6).
19c	H		1	H		-	230 (desc)	2796, 1452, 1316, 1149, 1114, 1080, 1001, 810, 646, 559.	1.80-2.26(m, 8 H); 2.04(s, 3 H); 2.30(s, 3 H); 3.41(s, 2 H); 6.89(dd, J=8.6, 1.56 Hz, 1 H); 7.16(s, 1 H); 7.22(d, J=8.6 Hz, 1 H); 7.29(s, 1 H); 7.49(dd, J=8.7, 1.7 Hz, 1 H); 7.90(d, J=1.7 Hz, 1 H); 7.98(d, J=8.7 Hz, 1 H); 10.13(bb, 1 H); 10.93(s, 1 H). (DMSO-d6).
20c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	209-211	3377, 2951, 2798, 1469, 1429, 1321, 1158, 777, 594.	2.05(s, 6 H); 2.32(m, 2 H); 2.65(m, 2 H); 6.86(dd, J=8.6, 1.8 Hz, 1 H); 7.10(d, J=1.8 Hz, 1 H); 7.18(d, J=1.8 Hz, 1 H); 7.32(dd, J=7.5, 7.21(d, J=8.6 Hz, 1 H); 7.36(d, J=3.9 Hz, 1 H); 4.6 Hz, 1 H); 7.71(d, J=3.9 Hz, 1 H); 7.83(m, 1 H); 7.93(m, 1 H); 8.49(d, J=4.6 Hz, 1 H); 9.97(bb, 1 H); 10.79(s, 1 H). (DMSO-d6).

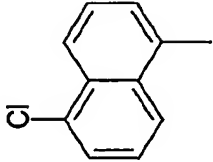
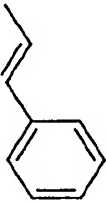
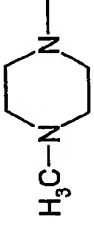
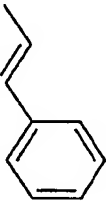
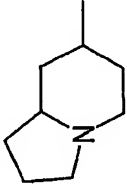
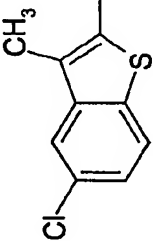
Ex	R <sup>1c</sup>	R <sup>2e</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
21c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	192	3321, 2949, 1474, 1327, 1152, 1138, 1104, 981, 614.	2.10(s, 6 H); 2.21(m, 2 H); 2.56(m, 2 H); 6.72(d, J=8.6 Hz, 1 H); 6.96(s, 1 H); 7.03(s, 1 H); 7.07(d, J=8.6 Hz, 1 H); 7.70(m, 1 H); 8.07(d, J=7.0 Hz, 1 H); 8.29(d, J=8.8 Hz, 1 H); 10.14(bb, 1 H); 10.69(s, 1 H). (DMSO-d6).
22c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	250 (desc)	3252, 2857, 1459, 1426, 1333, 1161, 1144, 789, 680, 589.	2.07(s, 6 H); 2.16(m, 2 H); 2.51(m, 2 H); 6.73(dd, J=8.6, 1.8 Hz, 1 H); 6.94(s, 1 H); 6.99(s, 1 H); 7.02(d, J=8.6 Hz, 1 H); 7.59(t, J=7.8 Hz, 1 H); 7.73(dd, J=8.4, 4.1 Hz, 1 H); 8.18(m, 2 H); 8.50(dd, J=8.4, 1.5 Hz, 1 H); 9.20(dd, J=4.1, 1.5 Hz, 1 H); 9.45(bb, 1 H); 10.64(s, 1 H). (DMSO-d6).
23c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	230-240 (desc)	3404, 2944, 2918, 2855, 1465, 1332, 1157, 1140, 1080, 650, 639, 526.	2.01(s, 6 H); 2.18(m, 2 H); 2.57(m, 2 H); 6.81(dd, J=8.6, 1.7 Hz, 1 H); 7.02(s, 1 H); 7.05(d, J=1.7 Hz, 1 H); 7.15(d, J=8.6 Hz, 1 H); 7.57(m, 1 H); 7.82(d, J=7.5 Hz, 1 H); 7.91(d, J=8.9 Hz, 1 H); 8.06(d, J=8.2 Hz, 1 H); 8.29(d, J=8.9 Hz, 1 H); 8.35(s, 1 H); 9.94(bb, 1 H); 10.74(s, 1 H). (DMSO-d6).

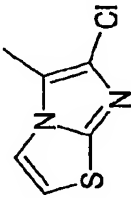
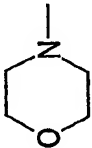
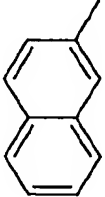
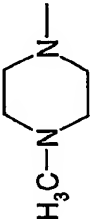
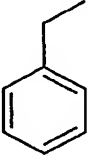
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
24c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	152-154	3232, 2862, 2827, 2785, 1583, 1488, 1333, 1248, 1155, 1091, 755, 693, 571, 541.	2.16(s, 6 H); 2.37(m, 2 H); 2.66(m, 2 H); 6.80(d, J=8.6 Hz, 1 H); 6.96-7.12(m, 6 H); 7.14-7.25(m, 2 H); 7.41(m, 2 H); 7.64(dd, J=8.5, 1.9 Hz, 2 H); 9.69(bb, 1 H); 10.75(s, 1 H). (DMSO-d6).
25c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	184-186	3451, 3388, 2950, 2775, 1466, 1322, 1159, 1095, 763, 670, 591.	2.08(s, 6 H); 2.32(m, 2 H); 2.64(m, 2 H); 6.83(dd, J=8.6, 1.9 Hz, 1 H); 7.08(d, J=2.0 Hz, 1 H); 7.11(d, J=1.9 Hz, 1 H); 7.17(d, J=8.6 Hz, 1 H); 7.34-7.50(m, 3H); 7.66(d, J=7.5 Hz, 2 H); 7.72(AB sys, J=8.6 Hz, 2 H); 7.79(AB sys, J=8.6 Hz, 2 H); 9.79(s, 1 H); 10.75(s, 1 H). (DMSO-d6).
26c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	Et		-	49-50	3386, 2970, 2931, 1474, 1337, 1167, 1151, 1130, 1073, 661, 550	0.82(t, J=7.0 Hz, 6 H); 0.98(t, J=7.0 Hz, 3 H); 2.37(q, J=7.0 Hz, 4 H); 2.49(m, 2 H); 2.54(m, 2 H); 3.66(q, J=7.1 Hz, 2 H); 6.73(dd, J=8.61, 1.6 Hz, 1 H); 6.98(s, 1 H); 7.17(d, J=1.6 Hz, 1 H); 7.26(d, J=8.61 Hz, 1 H); 7.56-7.72(m, 3 H); 7.99-8.11(m, 3H); 8.26(s, 1 H); 10.97(s, 1 H). (DMSO-d6).
Ex	R <sub>1</sub>	R <sub>2</sub>	n	R <sub>3</sub>	A	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)

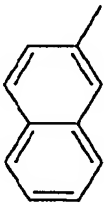
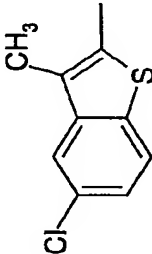
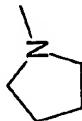
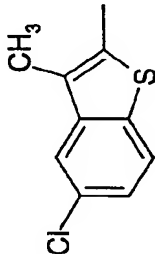
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
27c	H		2	H		—	200-201	3366, 2951, 2816, 1460, 1421, 1319, 1283, 1157, 1114, 1078, 865, 651, 561	2.25(m, 6H); 2.27(s, 3H); 2.62(t, J=7.9 Hz, 2H); 3.52(m, 4H); 6.84(d, J=8.2 Hz, 1H); 7.06(s, 1H); 7.10(s, 1H); 7.20(d, J=8.6 Hz, 1H); 7.50(d, J=8.6 Hz, 1H); 7.92(s, 1H); 8.00 (d, J=8.6 Hz, 1H); 10.13(s, 1H); 10.80(s, 1H). (DMSO-d6)
28c	H		2	H		—	218-220	3389, 3152, 2916, 2819, 1466, 1313, 1157, 1129, 1108, 771, 587	2.30(m, 6H); 2.56(m, 2H); 3.56(m, 4H); 6.69(d, J=8.4 Hz, 1H); 6.93(s, 1H); 7.06(m, 2H); 7.48(t, J=7.3 Hz, 1H); 7.67(m, 2H); 8.02(m, 2H); 8.13 (d, J=8.1 Hz, 1H); 8.78 (d, J=8.1 Hz, 1H); 10.10(s, 1H); 10.68(s, 1H). (DMSO-d6)


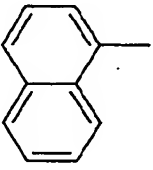

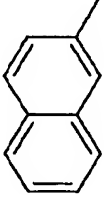
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
29c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	CH <sub>3</sub>		-	134-136	2968, 2930, 1488, 1329, 1159, 1131, 1074, 660, 550	0.98(t, J=7.1 Hz, 6H); 2.55(m, 6H); 2.70(m, 2H); 3.67(s, 3H); 6.84 (s, 1H); 6.93(dd, J=8.6, 2 Hz, 1H); 7.10(d, J=8.7 Hz, 1H); 7.18(d, J=1.7 Hz, 1H); 7.26(s, 1H); 7.57 (m, 2H); 7.67(dd, J=8.7, 1.8 Hz, 1H); 7.84(m, 3H); 8.27(d, J=1.7 Hz, 1H). (DMSO-d6)
30c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	1	H		-	148-152	3398, 2930, 1467, 1158, 1113, 1079, 861, 803, 651, 561	1.89(m, 6H); 2.29(s, 3H); 2.48(s, 2H); 6.83(m, 1H); 7.18(m, 3H); 7.50(m, 1H); 7.91(m, 1H); 8.00 (m, 1H); 10.13(b, 1H); 10.92(s, 1H). (DMSO-d6)
31c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	76-80	3399, 2959, 2931, 1466, 1159, 1132, 802, 770, 588	0.82(t, J=6.7 Hz, 6H); 1.34(q, J=6.71 Hz, 4H); 2.31(m, 4H); 2.40(m, 2H); 2.52(m, 2H); 6.69(d, J=8.6 Hz, 1H); 7.04(m, 3H); 7.47(m, 1H); 7.66(m, 2H); 8.02(m, 2H); 8.11(d, J=8.1 Hz, 1H); 8.78(d, J=8.4 Hz, 1H); 10.12(s, 1H); 10.67(s, 1H). (DMSO-d6)

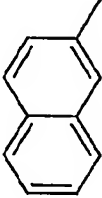
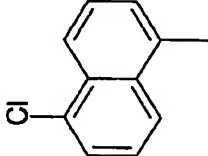
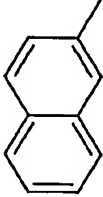
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
32c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	90-95	3406, 2959, 2932, 2872, 1466, 1157, 1079, 861, 652, 561 (DMSO-d6)	0.80(t, J=7.3 Hz, 6H); 1.31(q, J=7.3 Hz, 4H); 2.26(m, 7H); 2.38(m, 2H); 2.56(m, 2H); 6.83(dd, J=8.4, 1.8 Hz, 1H); 7.08(s, 2H); 7.20(d, J=8.6 Hz, 1H); 7.50(dd, J=8.6, 2.0 Hz, 1H); 7.90(d, J=2.0 Hz, 1H); 7.99(d, J=8.6 Hz, 1H); 10.12(b, 1H); 10.79(s, 1H).
33c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	79-80	3398, 2956, 2930, 2870, 1466, 1158, 1080, 862, 801, 653, 562	0.84(t, J=6.8 Hz, 6H); 1.24(m, 8H); 2.26(s, 3H); 2.28(m, 4H); 2.39(m, 2H); 2.57(m, 2H); 6.82(dd, J=8.6, 1.9 Hz, 1H); 7.09(d, J=1.8 Hz, 2H); 7.18(d, J=8.6 Hz, 1H); 7.50(dd, J=8.6, 1.9 Hz, 1H); 7.89(d, J=1.8 Hz, 1H); 7.98(d, J=8.6 Hz, 1H); 10.14(b, 1H); 10.78(s, 1H). (DMSO-d6)
34c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	111-113	3291, 2955, 2926, 2870, 1327, 1158, 1136, 772, 676, 611, 585	0.86(t, J=7.0 Hz, 6H); 1.29(m, 8H); 2.35(m, 4H); 2.41(m, 2H); 2.53(m, 2H); 6.67(dd, J=8.5, 1.9 Hz, 1H); 7.09(m, 3H); 7.48(t, J=7.9 Hz, 1H); 7.68(m, 2H); 8.01(s, 1H); 8.04(s, 1H); 8.12(d, J=8.2 Hz, 1H); 8.78(d, J=8.2 Hz, 1H); 10.13(s, 1H); 10.67(s, 1H). (DMSO-d6)

Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
35c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	154-156	3402, 2978, 1471, 1285, 1162, 1135, 1018, 780, 629, 606	0.88(t, J=6.7 Hz, 6H); 2.41(m, 6H); 2.49(m, 2H); 6.71(d, J=8.1 Hz, 1H); 6.88(s, 1H); 7.07(m, 2H); 7.66(m, 2H); 7.84(d, J=7.0 Hz, 1H); 8.09(d, J=7.0 Hz, 1H); 8.41(d, J=8.2 Hz, 1H); 8.79(d, J=8.6 Hz, 1H); 10.17(b, 1H); 10.71(s, 1H). (DMSO-d6)
36c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	125-130	3404, 2972, 1473, 1319, 1142, 967, 745, 541	0.94(t, J=7.1 Hz, 6H); 2.50(q, J=7.1 Hz, 4H); 2.59(m, 2H); 2.68(m, 2H); 6.94(dd, J=8.6, 1.8 Hz, 1H); 7.26(m, 8H); 7.59(m, 2H); 9.54(b, 1H); 10.77(s, 1H). (DMSO-d6)
37c	H		1	H		-	203 (desc)	2809, 1340, 1150, 746, 542	2.06(s, 3H); 2.22(m, 6H); 3.36(m 2H); 3.49(s, 2H); 6.95(dd, J=8.6, 1.8 Hz, 1H); 7.18(s, 2H); 7.24(m, 2H); 7.37(m, 3H); 7.45(d, J=1.8 Hz, 1H); 7.61(m, 2H); 9.53(s 1H); 10.90(s, 1H). (DMSO-d6)
38c	H		0	H		-	142-144	3413, 2929, 1157, 1113, 1080, 862, 651, 564	1.12(m, 3H); 1.81(m, 9H); 2.22(s, 3H); 2.93(m, 2H); 6.84(dd, J=8.5, 1.7 Hz, 1H); 6.99(s, 1H); 7.03(s, 1H); 7.20(d, J=8.6 Hz, 1H); 7.52(dd, J=8.6, 2.0 Hz, 1H); 7.90(d, J=1.7 Hz, 1H); 8.00(d, J=8.6 Hz, 1H); 10.01(b, 1H); 10.61(s, 1H). (DMSO-d6)

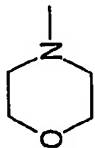
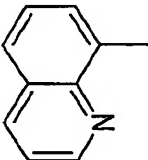
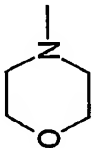
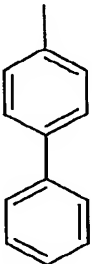
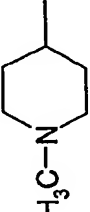
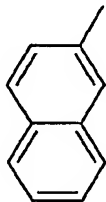
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3a</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
39c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	197-198	3338, 1466, 1270, 1237, 117, 986, 626 (DMSO-d6)	0.96(t, J=7.1 Hz, 6H); 2.53(m, 6H); 2.63(m, 2H); 6.78(dd, J=8.5, 1.6 Hz, 1H); 7.10(s, 2H); 7.18(d, J=8.6 Hz, 1H); 7.51(d, J=4.6 Hz, 1H); 7.80(d, J=4.6 Hz, 1H); 10.78(s, 1H). (DMSO-d6)
40c	H		2	H		-	85-90	3399, 3257, 2920, 2855, 2814, 1460, 1330, 1157, 1131, 1113, 1074, 659, 551, 477	2.27(m, 6H); 2.61(t, J=7.9 Hz, 2H); 3.52(t, J=4.6 Hz, 4H); 6.82(dd, J=8.6, 2.0 Hz, 1H); 7.06(s, 1H); 7.07(s, 1H); 7.15(d, J=8.6 Hz, 1H); 7.61(m, 2H); 7.74(dd, J=8.8, 1.8 Hz, 1H); 7.96(d, J=8.1 Hz, 1H); 8.03(m, 2H); 8.27(s, 1H); 9.87(s, 1H); 10.74(s, 1H). (DMSO-d6)
41c	H		1	H		-	99-102	3398, 2934, 2806, 1458, 1331, 1284, 1153, 1127, 700, 542	2.11(s, 3H); 2.32(m, 6H); 3.35(m, 2H); 3.56(s, 2H); 4.29(s, 2H); 6.98(d, J=8.2 Hz, 1H); 7.29(m, 7H); 7.53(s, 1H); 9.40(s, 1H); 10.94(s, 1H). (DMSO-d6)

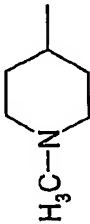
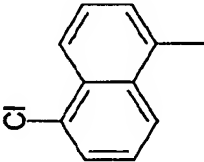
Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
42c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	3	H		-	128-130	3259, 2973, 2939, 2827, 1468, 1332, 1159, 1131, 1075, 670, 555	0.86(t, J=7.0 Hz, 6H); 1.51(t, J=6.9 Hz, 2H); 2.27(t, J=6.9 Hz, 2H); 2.35(q, J=7.0 Hz, 4H); 2.46(m, 2H); 6.77(d, J=8.6 Hz, 1H); 7.00(s, 1H); 7.10(m, 2H); 7.60(m, 2H); 7.72(d, J=8.8 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.02(m, 2H); 8.26(s, 1H); 9.86 (b, 1H); 10.67(s, 1H). (DMSO-d6)
43c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	3	H		-	156-158	3247, 2969, 2938, 1467, 1340, 1159, 1113, 1080, 862, 666, 558	0.88(t, J=7.0 Hz, 6H); 1.52(m, 2H); 2.29(m, 5H); 2.37(q, J=7.0 Hz, 4H); 2.47(m, 2H); 6.81(dd, J=8.6, 1.5 Hz, 1H); 7.06(d, J=1.6 Hz, 1H); 7.12(d, J=1.5 Hz, 1H); 7.18(d, J=8.6 Hz, 1H); 7.51(dd, J=8.6, 2.0 Hz, 1H); 7.91(d, J=2.0 Hz, 1H); 7.99(d, J=8.6 Hz, 1H); 10.06(b, 1H); 10.76(s, 1H). (DMSO-d6)
44c	H		2	H		-	201-203	3386, 2929, 1466, 1157, 1106, 1080, 992, 861, 650, 564	1.62(m, 4H); 2.29(s, 3H); 2.30(m, 4H); 2.36(m, 2H); 2.63(m, 2H); 6.86(d, J=8.6 Hz, 1H); 7.05(s, 1H); 7.09(s, 1H); 7.21(dd, J=8.6, 2.2 Hz, 1H); 7.50(dd, J=8.7, 2.0 Hz, 1H); 7.92(s, 1H); 7.99(dd, J=8.7, 2.2 Hz, 1H); 10.10(b, 1H); 10.81(s, 1H). (DMSO-d6)

Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
45c	H		2	H		-	212-214	3354, 2964, 2812, 1466, 1201, 1157, 1124, 808, 773, 593	1.66(m, 4H); 2.36(m, 6H); 2.58(m, 2H); 6.71(d, J=8.6 Hz, 1H); 6.93(s, 1H); 7.02(s, 1H); 7.07(d, J=8.6 Hz, 1H); 7.48 (m, 1H); 7.68(m, 2H); 8.02(dd, J=7.2, 1.2 Hz, 2H); 8.12(d, J=8.2 Hz, 1H); 8.79(d, J=8.6 Hz, 1H); 10.10(b, 1H); 10.68(s, 1H). (DMSO- d6)
46c	H		2	H		-	180-182	3375, 2968, 2821, 1467, 1323, 1313, 1146, 1139, 1131, 1079, 972, 654, 549	1.60(m, 4H); 2.26(m, 4H); 2.35(m, 2H); 2.61(m, 2H); 6.82(dd, J=8.6, 2.0 Hz, 1H); 7.05(m, 2H); 7.14(d, J=8.6 Hz, 1H); 7.61(m, 2H); 7.74(dd, J=8.6, 1.8 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.02(m, 2H); 8.27(s, 1H); 9.86(b, 1H); 10.72(s, 1H). (DMSO-d6)

Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz, δ, solvent)
47c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	58-64 (desc)	3398, 3255, 2958, 2931, 2872, 1466, 1330, 1156, 1130, 1074, 659, 551	0.79(t, J=7.3 Hz, 6H); 1.31(q, J=7.3 Hz, 4H); 2.28(t, J=7.3 Hz, 4H); 2.42(m, 2H); 2.57(m, 2H); 6.80(dd, J=8.6, 1.7 Hz, 1H); 7.04(d, J=1.7 Hz, 1H); 7.12(m 2H); 7.60(m, 2H); 7.72(dd, J=8.6, 1.7 Hz, 1H); 7.98(m, 3H); 8.25(s, 1H); 9.87(b, 1H); 10.70(s, 1H). (DMSO-d6)
48c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	201-203	3369, 1473, 1161, 1125, 1017, 789, 619	2.06(s, 6H); 2.15(t, J=8.2 Hz, 2H); 2.52(t, J=8.2 Hz, 2H); 6.69(d, J=8.7 Hz, 1H); 6.85(s, 1H); 7.02(s, 1H); 7.08(d, J=8.7 Hz, 1H); 7.67(m, 2H); 7.84(d, J=7.3 Hz, 1H); 8.10(d, J=7.3 Hz, 1H); 8.41(d, J=8.4 Hz, 1H); 8.79(d, J=8.7 Hz, 1H); 10.15(b, 1H); 10.70(s, 1H). (DMSO-d6)
49c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	180-190	3399, 3255, 2943, 1466, 1330, 1156, 1131, 1075, 659, 550	2.03(s, 6H); 2.22(t, J=8.2 Hz, 2H); 2.58(t, J=8.2 Hz, 2H); 6.80(d, J=8.4 Hz, 1H); 7.04(s, 1H); 7.07(s, 1H); 7.13(d, J=8.6 Hz, 1H); 7.60(m, 2H); 7.74(d, J=8.6 Hz, 1H); 7.95(d, J=7.7 Hz, 1H); 8.02(m, 2H); 8.26(s, 1H); 9.86(b, 1H); 10.71(s, 1H). (DMSO-d6)

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Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
50c	H		2	H		-	234-235	3400, 3279, 2913, 2852, 1464, 1420, 1315, 1163, 1118, 951, 592	2.29(m, 6H); 2.54(m, 2H); 3.57(m, 4H); 6.72(d, J=8.1 Hz, 1H); 7.01(m, 3H); 7.60(t, J=7.7 Hz, 1H); 7.74(d, J=8.4 Hz, 1H); 8.19(m, 2H); 8.52(d, J=8.4 Hz, 1H); 9.21(s, 1H); 9.44(s, 1H); 10.65(s, 1H). (DMSO-d6)
51c	H		2	H		-	225-228	3340, 2857, 1479, 1324, 1153, 1116, 1094, 768, 670, 588	2.29(m, 6H); 2.66(m, 2H); 3.47(m, 4H); 6.84(d, J=8.6 Hz, 1H); 7.07(s, 1H); 7.09(s, 1H); 7.18(d, J=8.4 Hz, 1H); 7.45(m, 3H); 7.70(m, 4H); 7.79(m, 2H); 9.79(s, 1H); 10.77(s, 1H). (DMSO-d6)
52c	H		2	H		-	129-131	3367, 2924, 2852, 2799, 1465, 1311, 1154, 1130, 1077, 666, 557	1.40-1.60(m, 4H); 1.83(m, 2H); 2.14(s, 3H); 2.36(m, 1H); 2.67(d, J=11.2 Hz, 2H); 6 .78(d, J=8.4 Hz, 1H); 6.97(s, 1H); 7.00(s, 1H); 7.12(d, J=8.6 Hz, 1H); 7.50-7.68(m, 2H); 7.73(d, J=9.0 Hz, 1H); 8.00(m, 3H); 8.23(s, 1H); 9.78(b, 1H); 10.71(s, 1H). (DMSO-d6)

Ex	R <sup>1c</sup>	R <sup>2c</sup>	nc	R <sup>3c</sup>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
53c	H		2	H		-	246-249	3329, 2940, 2916, 1470, 1158, 1125, 1110, 1015, 791, 598	1.35-1.47(m, 4H); 1.86(m, 2H); 2.17(s, 3H); 2.28(m, 1H); 2.76(d, J=10.6 Hz, 2H); 6.68(d, J=8.8 Hz, 1H); 6.75(s, 1H); 6.94(s, 1H); 7.08(d, J=9.0 Hz, 1H); 7.60-7.73(m, 2H); 7.85(d, J=7.1 Hz, 1H); 8.06(d, J=7.1 Hz, 1H); 8.40(d, J=7.9 Hz, 1H); 8.79(d, J=9.0 Hz, 1H); 10.20(b, 1H); 10.68(s, 1H). (DMSO-d6)

**Preparation of the compounds of general formula (Id):**

Example 1d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide.

185.5 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b] thiophene-2-sulfonyl chloride were added to a solution of 122 mg (0.6 mMol) of 4-amino-3-(2-dimethylaminoethyl)-1H-indole in 2 ml of dimethylformamide and 116 mg of N-ethyl-diisopropylamine. The reaction mixture was stirred at the room temperature for 20 hours. Then it was evaporated to dryness, slightly alkalized with sodium bicarbonate solution and extracted with chloroform. The organic phase was repeatedly washed with water and saturated solution of sodium bicarbonate, it was separated and dried with anhydrous sodium sulfate. The organic solution was evaporated to dryness and the resulting solid was purified by chromatography, obtaining 111 mg (42%) of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide as a creamy solid.

Example 2d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-2-sulfonamide

121 mg (51%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 149.5 mg (0.66 mMol) of naphthalene-2-sulfonyl chloride, by means of the process described in the Example 1d, as a creamy solid.

Example 3d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-1-sulfonamide

130 mg (55%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 149.5 mg (0.66

mMol) of naphthalene-1-sulfonyl chloride, by means of the process described in the Example 1d, as a creamy solid.

5 Example 4d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenylbenzenesulfonamide

107 mg (42%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 169 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride, by means of the process described in the  
10 Example 1d, as a creamy solid.

Example 5d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-2-(naphthalene-1-yl)-ethanesulfonamide

15 52 mg (21%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 168 mg (0.66 mMol) of 2-(naphthalene-1-yl)-ethanesulfonyl chloride, by means of the process described in the Example 1d, as a yellowish solid.

20 Example 6d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenoxybenzenesulfonamide

220 mg (84%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 177 mg (0.66 mMol) of 4-phenoxybenzenesulfonyl chloride, by means of the process described in  
25 the Example 1d, as a oil.

Example 7d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-3,5-dichlorobenzenesulfonamide

30 93 mg (38%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 162 mg (0.66 mMol) of 3,5-

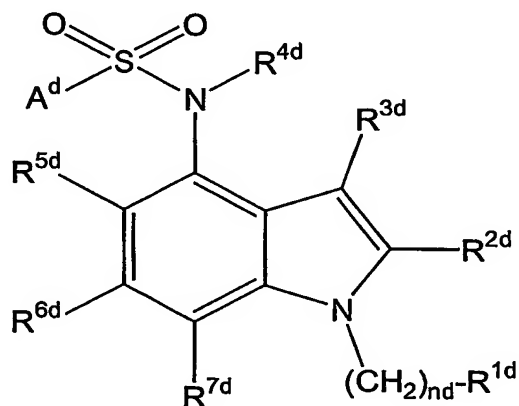
dichlorobenzenesulfonyl chloride, by means of the process described in Example 1d, as a creamy solid.

5 Example 8d.- Preparation of 6-chloro-N-[1-(2-dimethylaminoethyl)-1H-indol-4-yl]-imidazo[2,1-b]thiazole-5-sulfonamide

100 mg (39%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 170 mg (0.66 mMol) of 6-chloro-imidazo[2,1-b]-thiazole-5-sulfonyl chloride via the process described in Example 1 as a creamy solid.

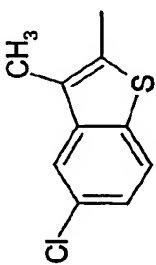
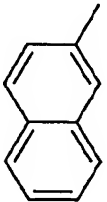
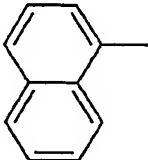
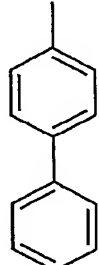
The yields are indicative and no added effort was made to improve them. The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.

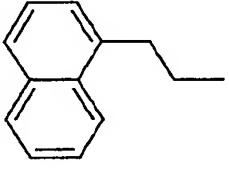
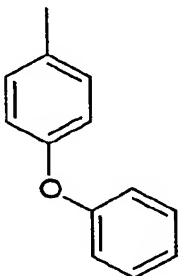
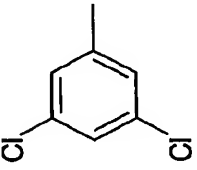
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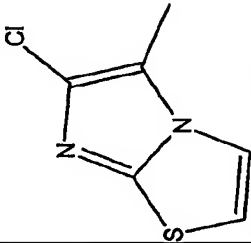


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(Id)

Ex	R <sup>1d</sup>	R <sup>2d</sup>	R <sup>3d</sup>	R <sup>4d</sup>	R <sup>5d</sup>	R <sup>6d</sup>	R <sup>7d</sup>	nd	A <sup>d</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		78-80	3430, 2951, 1492, 1328, 1156, 1115, 1079, 859, 750, 649, 569.	2,10(s, 6H); 2,28(s, 3H); 2,50(m, 2H); 4,14(t, 2H, J=6,3 Hz); 6,43(d, 1H, J=2,0 Hz); 6,92(d, 1H, J=7,5 Hz); 7,00(t, 1H, J=7,7 Hz); 7,17(d, 1H, J=2,2 Hz); 7,25(d, 1H, J=7,5 Hz); 7,49(d, 1H, J=8,4 Hz); 7,85(s, 1H); 7,99(d, 1H, J=8,5 Hz). (DMSO-d6)
2d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		156-158	3448, 2821, 1492, 1314, 1238, 1158, 1127, 1075, 1009, 752, 656, 645, 554, 543, 484.	2,08(s, 6H); 2,48(m, 2H); 4,10(t, 2H, J=6,6 Hz); 6,58(d, 1H, J=3,1 Hz); 6,85-6,96(m, 2H); 7,15(d, 1H, J=7,8 Hz); 7,19(d, 1H, J=3,1 Hz); 7,54-7,68(m, 2H); 7,83(dd, 1H, J=8,6 Hz, J=1,8 Hz); 7,94(d, 1H, J=8,1 Hz). (DMSO-d6)
3d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		169-172	3279, 2943, 1403, 1318, 1162, 1132, 1003, 767, 745.	2,08(s, 6H); 2,46(m, 2H); 4,07(t, 2H, J=6,7 Hz); 6,45(d, 1H, J=3,2 Hz); 6,81(d, 1H, J=6,8 Hz); 6,88(t, 1H, J=7,7 Hz); 7,09(d, 1H, J=8,2 Hz); 7,12(d, 1H, J=3,2 Hz); 7,52(m, 1H); 7,62(m, 1H); 7,70(m, 1H); 8,01(d, 1H, J=8,2 Hz); 8,11(m, 2H), 8,87(d, 1H, J=8,4 Hz). (DMSO-d6)
4d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		137-140	3262, 2943, 1492, 1330, 1160, 1096, 750, 670, 590, 531.	2,10(s, 6H); 2,51(m, 2H); 4,14(t, 2H, J=6,6 Hz); 6,61(d, 1H, J=3,0 Hz); 6,90(d, 1H, J=7,0 Hz); 6,97(t, 1H, J=7,8 Hz); 7,19(d, 1H, J=7,8 Hz); 7,23(d, 1H, J=3,2 Hz); 7,36-7,69(m, 3H); 7,65(d, 2H, J=6,8 Hz); 7,76(AB sys, 2H, J=8,6 Hz); 7,82(AB sys, 2H, J=8,5 Hz). (DMSO-d6)

Ex	R <sup>1d</sup>	R <sup>2d</sup>	R <sup>3d</sup>	R <sup>4d</sup>	R <sup>5d</sup>	R <sup>6d</sup>	R <sup>7d</sup>	nd	A <sup>d</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
5d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		47-54	3430, 3255, 2941, 2760, 1492, 1322, 1150, 748.	2,16(s, 6H); 2,59(m, 2H); 3,35(m, 4H); 4,24(t, 2H, J=6,3 Hz); 6,89(m, 1H, J=3,1 Hz); 7,05-7,11(m, 2H); 7,22(m, 1H); 7,28-7,38(m, 4H); 7,41(m, 2H); 7,74(d, 1H, J=7,18 Hz); 7,86(d, 1H, J=8,2 Hz). (DMSO-d6)
6d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		oil	2944, 2776, 1488, 1343, 1244, 1156, 1094, 751, 695	2,12(s, 6H); 2,52(m, 2H); 4,15(t, 2H, J=6,5 Hz); 6,51(d, 1H, J=3,0 Hz); 6,85(d, 1H, J=7,6 Hz); 6,97(m, 3H); 7,03(d, 2H, J=7,6 Hz); 7,20(d, 2H, J=8,1 Hz); 7,24(d, 1H, J=3,2 Hz); 7,42(t, 2H, J=7,9 Hz); 7,70(d, 2H, J=8,9 Hz). (DMSO-d6)
7d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		113-118	3255, 3072, 2935, 1570, 1492, 1340, 1109, 1138, 803, 747, 670, 594.	2,12(s, 6H); 2,54(t, 2H, J=6,6); 4,17(t, 2H, J=6,5 Hz); 6,42(d, 1H, J=3,1 Hz); 6,82(d, 1H, J=7,6 Hz); 7,02(t, 1H, J=8,0 Hz); 7,26-7,30(m, 2H); 7,63(d, 2H, J=1,9 Hz); 7,86(t, 1H, J=1,8 Hz). (DMSO-d6)

Ex	R <sup>1d</sup>	R <sup>2d</sup>	R <sup>3d</sup>	R <sup>4d</sup>	R <sup>5d</sup>	R <sup>6d</sup>	R <sup>7d</sup>	nd	A <sup>d</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
8d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		95-100		2,15(s, 6H); 2,56(t, 2H, J=6,2 Hz); 4,17(t, 2H, J=6,6 Hz); 6,31(d, 1H, J=2,8 Hz); 6,89(d, 1H, J=7,3 Hz); 7,01(m, 1H); 7,21(d, 1H, J=3,0 Hz); 7,27(d, 1H, 8,0 Hz); 7,49(d, 1H, J=4,4 Hz); 7,72(d, 1H, J=4,4 Hz). (DMSO-d6)

**Preparation of the compounds of general formula (Ie):**

Example 2e.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide.

5

150 mg (0.66 mMol) of Naphthalene-2-sulfonyl chloride were added to a solution of 122 mg (0.6 mMol) of 5-amino-1-(2-dimethylaminoethyl)-1H-indole in 3 ml of dimethylformamide and 116 mg of N-ethyldiisopropylamine. The reaction mixture is stirred at the room temperature for 12 hours. Then it is  
10 evaporated to dryness, slightly alkalized with sodium bicarbonate solution and extracted with chloroform. The organic phase is repeatedly washed with water and saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulfate. The organic solution is evaporated to dryness and the resulting solid is purified by chromatography, obtaining 187 mg (80%) of N-  
15 [1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide.

Example 10e.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo-[1,2,5]thiadiazole-4-sulfonamide 4-sulfonamide

20 116 mg (0.66 mMol) of benzo-[1,2,5]thiadiazole-4-sulfonyl chloride were added to a solution of 168 mg (0.6 mMol) of 5-amino-1-(2-dimethylaminoethyl)-1H-indole in 5 ml of pyridine and 311 mg of N-ethyldiisopropylamine. The reaction mixture is stirred at the room temperature for 2 hours. Then it is evaporated to dryness, slightly alkalized with sodium bicarbonate solution and extracted with  
25 chloroform. The organic phase is repeatedly washed with water and saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulfate. The organic solution is evaporated to dryness and the resulting solid is treated with diethyl ether obtaining 183 mg (76%) of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo-[1,2,5]thiadiazole-4-sulfonamide 4-sulfonamide.  
30

Example 17e.- Preparation of N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,

199 mg (0.88 mMol) of naphthalene-1-sulfonyl chloride were added to a solution  
5 of 335 mg (0.8 mMol) of 5-amino-1-(2- pyrrolidine-1-yl-ethyl)-1H-indole in 10 ml  
of methylene chloride and 0,44 mg of triethylamine. The reaction mixture is  
stirred at the room temperature for 12 hours. Then it is slightly alkalinized with  
sodium bicarbonate solution and extracted with methylene chloride. The organic  
10 phase is repeatedly washed with water and saturated solution of sodium  
bicarbonate, it is separated and dried with anhydrous sodium sulfate. The  
organic solution is evaporated to dryness and the resulting solid is treated with  
diethyl ether obtaining 264 mg (79%) of N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-  
indole-5-yl]-naphthalene-1-sulfonamide as a solid.

15 Example 29e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-  
naphthalene-2-sulfonamide

The reaction was carried out according to the procedure given in Example 1.  
139 mg (0.6 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 150 mg  
20 (0.66 mMol) of 2-naphthyl-sulfonyl chloride were reacted to give 115 mg (45 %)  
of the desired compound as a solid.

Example 30e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-  
naphthalene-1-sulfonamide

25 The reaction was carried out according to the procedure given in Example 1.  
139 mg (0.6 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 150 mg  
(0.66 mMol) of 2-naphthyl-sulfonyl chloride were reacted to give 160 mg (63 %)  
of the desired compound as a solid.

Example 31e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-4-phenylbenzenesulfonamide

- 5     The reaction was carried out according to the procedure given in Example 1. 139 mg (0.6 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride were reacted to give 181 mg (68 %) of the desired compound as an oil.

- 10    Example 32e. Preparation of 5-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-3-methylbenzo[b]thiophene-2-sulfonamide

- 15    The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 186 mg (0.66 mMol) of 5-chloro-2-methylbenzo[b]thiophene-2-sulfonyl chloride were reacted to give 127 mg (46 %) of the desired compound as a solid.

- 20    Example 33e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-2-sulfonamide

- 25    The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 150 mg (0.66 mMol) of naphthyl-2-sulfonyl chloride were reacted to give 142 mg (58 %) of the desired compound as a solid.

Example 34e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-1-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 5 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 150 mg (0.66 mMol) of naphthyl-1-sulfonyl chloride were reacted to give 81 mg (33 %) of the desired compound as a solid.

10 Example 35e. Preparation of 6-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 170 mg (0.66 mMol) of 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride  
15 were reacted to give 96 mg (37 %) of the desired compound as a solid.

Example 36e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenylbenzenesulfonamide

- 20 The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride were reacted to give 160 mg (62 %) of the desired compound as a solid.

25 Example 37e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-2-(naphth-1-yl)-ethanesulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole  
30 and 168 mg (0.66 mMol) of 2-(naphth-1-yl)-ethanesulfonyl chloride were reacted to give 108 mg (41 %) of the desired compound as a solid.

Example 38e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenoxy-benzenesulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 5 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 177 mg (0.66 mMol) of 4-phenoxy-benzenesulfonyl chloride were reacted to give 89 mg (33 %) of the desired compound as a solid.

10 Example 39e. Preparation of 3,5-dichloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-benzenesulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 162mg (0.66 mMol) of 3,5-dichloro-benzenesulfonyl chloride were reacted  
15 to give 81 mg (32 %) of the desired compound as a solid.

Example 40e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide

- 20 The reaction was carried out according to the procedure given in Example 1.

108 mg (0.5 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 128 mg (0.55 mMol) of benzo[b]thiophene-3-sulfonyl chloride were reacted to give 82 mg (39 %) of the desired compound as a solid.

25 Example 41e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 115 mg (0.5 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 128 mg  
30 (0.55 mMol) of benzo[b]thiophene-3-sulfonyl chloride were reacted to give 91 mg (43 %) of the desired compound as a solid.

Example 42e. Preparation of N-(1-(2-(dimethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 5 102 mg (0.5 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 128 mg (0.55 mMol) of benzo[b]thiophene-3-sulfonyl chloride were reacted to give 91 mg (43 %) of the desired compound as a solid.

10 Example 43e. Preparation of 5-chloro-3-methyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzo[b]thiophene-2-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 143 mg (0.51 mMol) of 5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl chloride  
15 were reacted to give 89 mg (38 %) of the desired compound as a solid.

Example 44e. Preparation of N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-2-sulfonamide

- 20 The reaction was carried out according to the procedure given in Example 1.  
118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 116 mg (0.51 mMol) of naphthyl-2-sulfonyl chloride were reacted to give 75 mg (37 %) of the desired compound as a solid.

25 Example 45e. Preparation of N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 116  
30 mg (0.51 mMol) of naphthyl-2-sulfonyl chloride were reacted to give 91 mg (44 %) of the desired compound as a solid.

Example 46e. Preparation of 6-chloro-N-(1-(3-piperidin-1-yl)propyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

5 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 131 mg (0.51 mMol) of 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride were reacted to give 91 mg (44 %) of the desired compound as a solid.

Example 47e. Preparation of 4-phenyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide

10

The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 129 mg (0.51 mMol) of 4-phenylbenzenesulfonyl chloride were reacted to give 106  
15 mg (49 %) of the desired compound as a solid.

Example 48e. Preparation of 2-(naphth-1-yl)-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)ethanesulfonamide

20 The reaction was carried out according to the procedure given in Example 1.  
118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 130 mg (0.51 mMol) of 2-(naphth-1-yl)ethanesulfonyl chloride were reacted to give 68 mg (31 %) of the desired compound as a solid.

25 Example 49e. Preparation of 4-phenoxy-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide

The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 137  
30 mg (0.51 mMol) of 4-phenoxybenzenesulfonyl chloride were reacted to give 86 mg (38 %) of the desired compound as a solid.

Example 50e. Preparation of 3,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonylamide

The reaction was carried out according to the procedure given in Example 1.

- 5 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 125 mg (0.51 mMol) of 3,5-dichlorobenzenesulfonyl chloride were reacted to give 79 mg (37 %) of the desired compound as a solid.

Example 51e. Preparation of 4,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)thiophene-2-sulfonamide

10

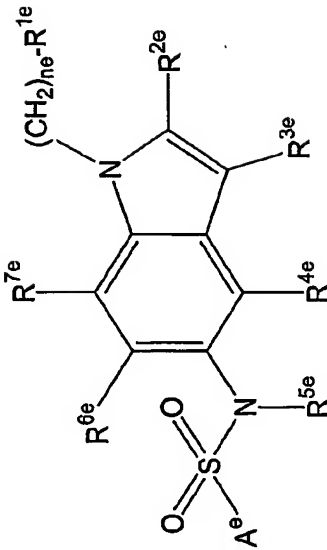
The reaction was carried out according to the procedure given in Example 1.

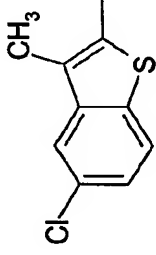
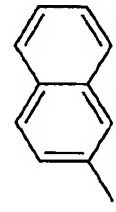
- 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 128 mg (0.51 mMol) of 4,5-dichlorothiophene-2-sulfonyl chloride were reacted to  
15 give 68 mg (31 %) of the desired compound as a solid.

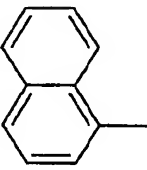
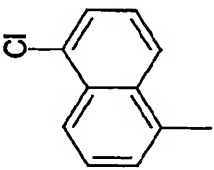
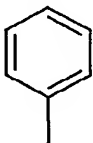
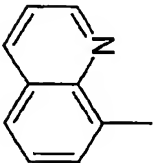
Example 52e. Preparation of 5-chloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide

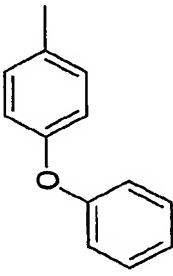
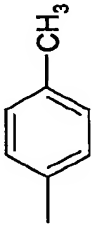
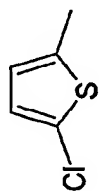
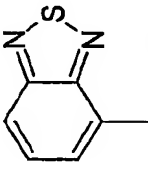
- 20 The reaction was carried out according to the procedure given in Example 1.  
118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 133 mg (0.51 mMol) of 5-chloro-naphthyl-1-sulfonyl chloride were reacted to give 81 mg (37 %) of the desired compound as a solid.

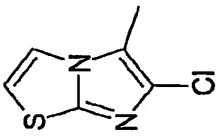
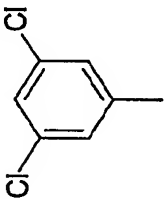
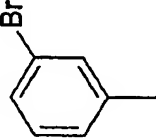
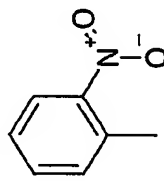
- 25 The yields are indicative and no added effort was made to improve them  
The melting point and spectroscopic data for identifying some of the compounds  
object of the present invention are indicated in the following table

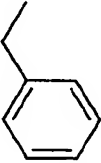
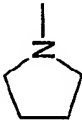
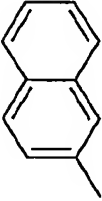
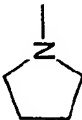
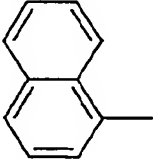


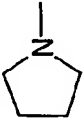
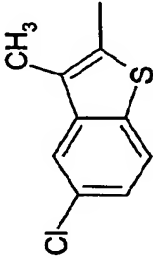
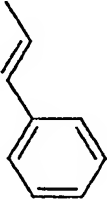
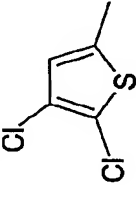
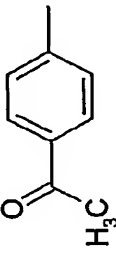
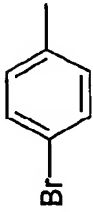
Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		71-73	2950, 1334, 1160, 1080, 862, 652, 560.	2.11(s, 6H); 2.36(s, 3H); 2.51(m, 2H); 4.14(t, 2H, J=6.6 Hz); 6.30(d, 1H, J=3 Hz); 7.32(m, 2H); 7.50(dd, 1H, J=8.7 Hz, J'=2.0 Hz); 7.93(d, 1H, J=2.0 Hz); 7.99(d, 1H, J=6.7 Hz). (DMSO-d6)
2e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		54-57	3254, 3049, 2945, 1463, 1330, 1160, 1074, 658, 550.	2.26(s, 6H); 2.63(t, 2H, J=7.1 Hz); 4.14(t, 2H, J=7.1 Hz); 6.35(d, 1H, J=3.1 Hz); 6.88(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.10(d, 1H, J=3.1 Hz); 7.15(d, 1H, J=8.6 Hz); 7.31(d, 1H, J=2.0 Hz); 7.50-7.63(m, 2H); 7.69(dd, 1H, J=8.7 Hz, J'=1.8 Hz); 7.84(m, 3H); 8.29(s, 1H). (CDCl <sub>3</sub> )

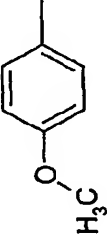
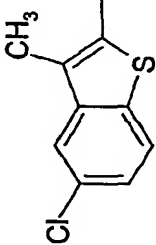
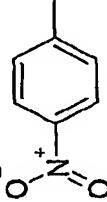
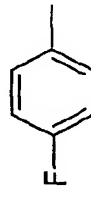
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3e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		179-181	3106, 2783, 1491, 1318, 1159, 1130, 763, 586, 503.	2.25(s, 6H); 2.63(t, 2H, J=7.0 Hz); 4.11(t, 2H, J=7.0 Hz); 6.28(d, 1H, J=3.1 Hz); 6.68(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.03-7.11(m, 3H); 7.37(m, 1H); 7.58-7.70(m, 2H); 7.94(d, 1H, J=8.7 Hz); 8.00(d, 1H, J=7.9 Hz); 8.06(d, 1H, J=7.3 Hz); 8.73(d, 1H, J=8.7 Hz). (CDCl <sub>3</sub> )
4e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		172-174	3257, 2935, 2768, 1488, 1334, 1167, 1138, 1013, 790, 806.	2.26(s, 6H); 2.63(t, 2H, J=7.1 Hz); 4.13(t, 2H, J=7.1 Hz); 6.30(d, 1H, J=3.1 Hz); 6.68(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.05(d, 1H, J=8.7 Hz); 7.08(d, 1H, J=3.1 Hz); 7.11(d, 1H, J=2.0 Hz); 7.46-7.58(m, 2H); 7.69(d, 1H, J=7.5 Hz); 8.13(d, 1H, J=7.5 Hz); 8.50(d, 1H, J=8.6 Hz); 8.69(d, 1H, J=8.8 Hz). (CDCl <sub>3</sub> )
5e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		139-141	1463, 1334, 1306, 1164, 1090, 725, 589.	2.28(s, 6H); 2.66(t, 2H, J=7.1 Hz); 4.17(t, 2H, J=7.1 Hz); 6.38(d, 1H, J=3.1 Hz); 6.88(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.13(d, 1H, J=3.1 Hz); 7.18(d, 1H, J=8.6 Hz); 7.27(m, 1H); 7.39(m, 2H); 7.48(m, 1H); 7.69(m, 2H). (CDCl <sub>3</sub> )
6e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		161-164	3095, 02821, 2776, 1492, 1459, 1322, 1158, 1141, 782, 736, 596, 507.	2.23(s, 6H); 2.58(t, 2H, J=7.1 Hz); 4.08(t, 2H, J=7.1 Hz); 6.24(d, 1H, J=3.1 Hz); 6.88(dd, 1H, J=8.8, J'=2.0 Hz); 7.03(d, 1H, J=3.1 Hz); 7.07(d, 1H, J=8.8 Hz); 7.10(d, 1H, J=2.0 Hz); 7.51(t, 1H, J=7.8 Hz); 7.64(dd, 1H, J=8.5, J'=4.3 Hz); 8.00(d, 1H, J=8.2 Hz); 8.26(m, 1H); 8.30(dd, 1H, J=8.2, J'=1.5 Hz); 8.40(s, 1H); 9.20(dd, 1H, J=4.1, J'=1.4 Hz). (CDCl <sub>3</sub> )

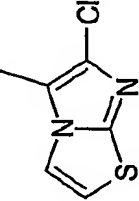
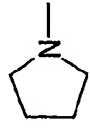
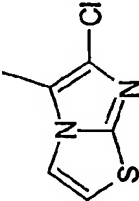
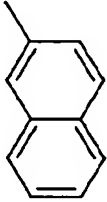
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7e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		138-140	3255, 2951, 1583, 1488, 1332, 1245, 1156, 1092, 866, 695, 589.	2,28(s, 6H); 2,67(t, 2H, J=7.1 Hz); 4,18(t, 2H, J=7.1 Hz); 6,40(d, 1H, J=3.1 Hz); 6,92(m, 3H); 7,02(d, 2H, J=7.7 Hz); 7,14(d, 1H, J=3.1 Hz); 7,20(d, 2H, J=8.5 Hz); 7,28(d, 1H, J=1.9 Hz); 7,37(m, 2H); 7,64(d, 2H, J=8.6 Hz). (CDCl <sub>3</sub> )
8e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		126-128	1474, 1287, 1156, 1088, 973, 730, 654, 554, 538.	2,31(s, 6H); 2,36(s, 3H); 2,72(t, 2H, J=7.1 Hz); 4,20(t, 2H, J=7.1 Hz); 6,39(d, 1H, J=3.1 Hz); 6,90(dd, 1H, J=8.6 Hz, J'=1.6 Hz); 7,13(d, 1H, J=3.1 Hz); 7,16-7,20(m, 3H); 7,26(m, 1H); 7,57(d, 2H, J=8.3 Hz). (CDCl <sub>3</sub> )
9e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		145-147	3095, 2951, 1416, 1319, 1148, 989, 730, 605, 537.	2,33(s, 6H); 2,74(m, 2H); 4,24(t, 2H, J=7.1 Hz); 6,44(d, 1H, J=3.1 Hz); 6,79(d, 1H, J=4.0 Hz); 6,95(dd, 1H, J=8.7 Hz, J'=2.0 Hz); 7,15(d, 1H, J=4.0 Hz); 7,17(d, 1H, J=3.1 Hz); 7,24(d, 1H, J=8.7 Hz); 7,35(d, 1H, J=2.0 Hz). (DMSO-d <sub>6</sub> )
10e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		166-168	3103, 2783, 1526, 1488, 1331, 1154, 1140, 973, 734, 607.	2,26(s, 6H); 2,63(t, 2H, J=7.1 Hz); 4,12(t, 2H, J=7.1 Hz); 6,29(d, 1H, J=3.1 Hz); 6,80(dd, 1H, J=8.7 Hz, J'=2.0 Hz); 7,07(m, 2H); 7,15(d, 1H, J=1.5 Hz); 7,57(dd, 1H, J=8.8 Hz, J'=7.1 Hz); 8,10(d, 1H, J=7.1 Hz); 8,16(d, 1H, J=8.8 Hz). (CDCl <sub>3</sub> )

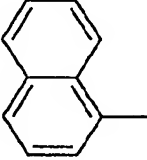
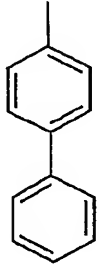
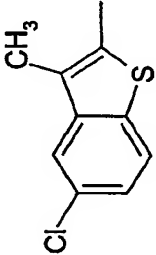
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11e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		50-52	3103, 2943, 1457, 1336, 1326, 1244, 1177, 1142, 727, 628, 528.	2,26(s, 6H); 2,64(t, 2H, J=6,4 Hz); 4,16(t, 2H, J=6,4 Hz); 6,39(m, 1H); 6,78(d, 1H, J=4,0 Hz); 6,94(d, 1H, J=8,4 Hz); 7,15(m, 2H); 7,39(s, 1H); 7,55(d, 1H, J=4,0 Hz). (CDCl <sub>3</sub> )
12e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		124-126	3064, 2935, 1333, 1166, 1136, 596, 587.	2,28(s, 6H); 2,67(t, 2H, J=7,0 Hz); 4,19(t, 2H, J=7,0 Hz); 6,43(d, 1H, J=3,1 Hz); 6,85(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,17(d, 1H, J=3,1 Hz); 7,22(d, 1H, J=8,6 Hz); 7,31(d, 1H, J=2,0 Hz); 7,48(t, 1H, J=1,8 Hz); 7,56(d, 2H, J=1,8 Hz). (CDCl <sub>3</sub> )
13e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		114-116	1464, 1335, 1286, 1161, 722, 584.	2,28(s, 6H); 2,67(t, 2H, J=7,0 Hz); 4,19(t, 2H, J=7,0 Hz); 6,41(d, 1H, J=2,9 Hz); 6,87(d, 1H, J=8,8 Hz); 7,15(d, 1H, J=2,9 Hz); 7,19-7,29(m, 3H); 7,56(d, 1H, J=7,8 Hz); 7,63(d, 1H, J=7,9 Hz); 7,88(s, 1H). (CDCl <sub>3</sub> )
14e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		138-140	1541, 1481, 1365, 1330, 1235, 1150, 1124, 736, 580.	2,28(s, 6H); 2,66(t, 2H, J=7,1 Hz); 4,17(t, 2H, J=7,1 Hz); 6,40(d, 1H, J=2,9 Hz); 7,03(dd, 1H, J=8,7 Hz, J'=1,8 Hz); 7,15(d, 1H, J=2,9 Hz); 7,21(d, 1H, J=8,7 Hz); 7,39(d, 1H, J=1,8 Hz); 7,48(m, 1H); 7,65(m, 1H); 7,71(d, 1H, J=8,8 Hz); 7,86(d, 1H, J=7,8 Hz). (CDCl <sub>3</sub> )

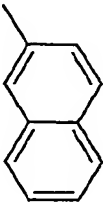
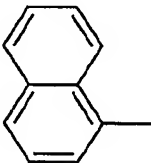
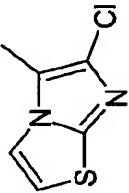
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15e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		163-166	1329, 1288, 1153, 1126, 694, 545, 509.	2,30(s, 6H); 2,70(t, 2H, J=7,1 Hz); 4,22(t, 2H, J=7,1 Hz); 4,29(s, 2H); 6,48(d, 1H, J=3,1 Hz); 7,04(dd, 1H, J=8,8 Hz, J=2,2 Hz); 7,19(d, 1H, J=3,1 Hz); 7,31(d, 1H, J=8,8 Hz); 7,33-7,40(m, 5H); 7,49(d, 1H, J=2,2 Hz). (CDCl <sub>3</sub> )
16e		H	H	H	H	H	H	2		138-140	2960, 1481, 1323, 1161, 1151, 1074, 659, 549, 480.	1,57(m, 4H); 2,37(m, 4H); 2,66(t, 2H, J=6,8 Hz); 4,12(t, 2H, J=6,8 Hz); 6,25(d, 1H, J=3,1 Hz); 6,82(od, 1H, J=8,8 Hz, J'=2,0 Hz); 7,22(d, 1H, 2,0 Hz); 7,25(d, 1H, J=8,6 Hz); 7,29(d, 1H, J=3,1 Hz); 7,54-7,66(m, 2H); 7,74(dd, 1H, J=8,7 Hz, J'=1,8 Hz); 7,94(m, 1H); 8,03(m, 2H); 8,28(s, 1H). (CDCl <sub>3</sub> )
17e		H	H	H	H	H	H	2		186-189	2814, 1491, 1291, 1158, 1128, 763, 585.	1,59(m, 4H); 2,39(m, 4H); 2,67(t, 2H, J=6,8 Hz); 4,11(t, 2H, J=6,8 Hz); 6,21(d, 1H, J=3,1 Hz); 6,70(od, 1H, J=8,8 Hz, J'=1,8 Hz); 7,10(d, 1H, 1,8 Hz); 7,20(d, 1H, J=8,8 Hz); 7,27(d, 1H, J=3,1 Hz); 7,50(m, 1H); 7,60-7,74(m, 2H); 8,03(m, 2H); 8,11(d, 1H, J=8,1 Hz); 8,76(d, 1H, J=8,6 Hz). (CDCl <sub>3</sub> )

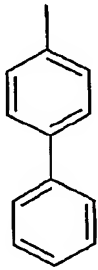
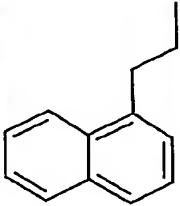
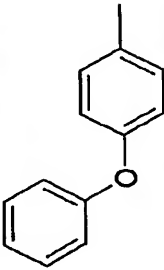
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18e		H	H	H	H	H	H	2		158-158	2950, 2803, 1491, 1325, 1156, 1078, 650, 564.	1.59(m, 4H); 2.36(m, 4H); 2.69(t, 2H, J=6.6 Hz); 4.11(t, 2H, J=6.6 Hz); 6.30(d, 1H, J=3.1 Hz); 6.83(dd, 1H, J=8.7 Hz, J'=1.9 Hz); 7.25(d, 1H, 1.9 Hz); 7.32(m, 2H); 7.50(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.92(d, 1H, J=2.0 Hz); 7.98(d, 1H, J=8.8 Hz). (CDCl <sub>3</sub> )
19e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		108-111	2943, 2821, 1516, 1139, 752, 728, 542, 531.	2.28(s, 6H); 2.68(t, 2H, J=7.1 Hz); 4.19(t, 2H, J=7.1 Hz); 6.43(d, 1H, J=3.1 Hz); 6.82(d, 1H, J=16.6 Hz); 7.09(dd, 1H, J=8.6, J'=2.0 Hz); 7.15(d, 1H, J=3.3 Hz); 7.25(m, 1H); 7.33-7.44(m, 6H); 7.49(d, 1H, J=2.0 Hz). (CDCl <sub>3</sub> )
20e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		120-123	3095, 2943, 1421, 1325, 1148, 1020, 730, 609, 534.	2.30(s, 6H); 2.69(t, 2H, J=7.1 Hz); 4.21(t, 2H, J=7.1 Hz); 6.46(d, 1H, J=3.1 Hz); 6.93(dd, 1H, J=8.6, J'=2.0 Hz); 7.17(s, 1H); 7.18(d, 1H, J=3.3 Hz); 7.25(m, 1H); 7.39(d, 1H, J=2.0 Hz). (CDCl <sub>3</sub> )
21e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		175 (desc)	1686, 1164, 1094, 637, 534, 475.	2.12(s, 6H); 2.53(t, 2H, J=6.6 Hz); 4.15(t, 2H, J=6.6 Hz); 6.30(d, 1H, J=3.1 Hz); 6.80(dd, 1H, J=8.6, J'=2.2 Hz); 7.19(d, 1H, J=2.0 Hz); 7.30-7.34(m, 2H); 7.57(AB sist, 2H, J=8.4 Hz); 7.70(AB sist, 2H, J=8.4 Hz). (DMSO-d <sub>6</sub> )
22e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		114-117	2943, 1573, 1469, 1321, 1161, 1088, 737, 630, 538.	2.13(s, 6H); 2.50-2.55(m, 5H); 4.14(t, 2H, J=6.3 Hz); 6.29(d, 1H, J=3.0 Hz); 6.82(d, 1H, J=8.2 Hz); 7.20(s, 1H); 7.29-7.32(m, 2H); 7.79(AB sist, 2H, J=8.4 Hz); 8.02(AB sist, 2H, J=8.4 Hz). (DMSO-d <sub>6</sub> )

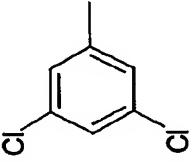
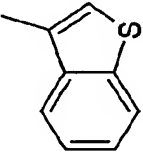
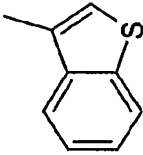
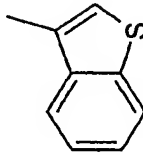
Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
23e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		141-144	2935, 1598, 1497, 1333, 1258, 1159, 1093, 1018, 836, 568, 560.	2.28(s, 6H); 2.67(t, 2H, J=7.1 Hz); 4.18(t, 2H, J=7.1 Hz); 6.38(d, 1H, J=3.1 Hz); 6.84 (AB sist., 2H, J=9.0 Hz); 6.90(dd, 1H, J=8.8, J'=2.0 Hz); 7.13(d, 1H, J=3.3 Hz); 7.19(d, 1H, J=8.8 Hz); 7.27(d, 1H, J=2.0 Hz); 7.62(AB sist., 2H, J=9 Hz). (CDCl <sub>3</sub> )
24e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		53-56	2969, 1486, 1334, 1161, 114, 1080, 862, 729, 652, 560.	0.78(m, 6H); 2.32(s, 3H); 2.42(m, 4H); 2.65(m, 2H); 4.12(m, 2H); 6.30(d, 1H, J=3.0 Hz); 6.82(d, 1H, J=8.6 Hz); 7.25(d, 1H, J=1.7 Hz); 7.32(m, 2H); 7.50(dd, 1H, J=8.7 Hz, J'=1.9 Hz); 7.91(d, 1H, J=1.7 Hz); 7.99(d, 1H, J=8.6 Hz). (CDCl <sub>3</sub> )
25e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		60-64	3095, 2768, 1529, 1349, 1165, 1090, 736.	2.29(s, 6H); 2.67(t, 2H, J=7.0 Hz); 4.18(t, 2H, J=7.0 Hz); 6.40(d, 1H, J=3.1 Hz); 6.85 (dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.16(d, 1H, J=3.1 Hz); 7.18(d, 1H, J=8.6 Hz); 7.29(d, 1H, J=2.0 Hz) 7.85(AB sys, J=8.8 Hz, 2 H); 8.21(AB sys, J=8.8 Hz, 2 H). (CDCl <sub>3</sub> )
26e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		138-140	3103, 2951, 1587, 1491, 1335, 1166, 1089, 557, 542.	2.35(s, 6H); 2.83(m, 2H); 4.28(t, 2H, J=6.7 Hz); 6.40(d, 1H, J=3.0 Hz); 6.83 (dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.20(d, 1H, J=1.9 Hz); 7.30-7.38(m, 4H); 7.70-7.75(m, 2H). (DMSO-d6).

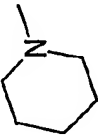
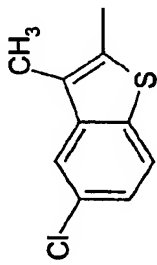
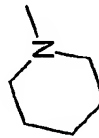
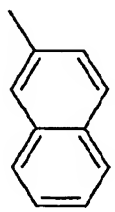
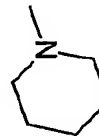
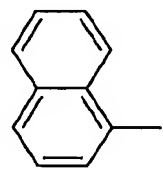
Ex	R <sup>1a</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	n <sup>a</sup>	A <sup>a</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
27e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		68-70	3110, 2969, 1458, 1271, 1249, 1179, 1140, 727, 651.	1,00(t, 6H, J=7,0 Hz); 2,60(q, 4H, J=7,0 Hz); 2,81(t, 2H, J=6,7 Hz); 4,21(t, 2H, J=6,7 Hz); 6,38(d, 1H J=3,0 Hz); 6,79(d, 1H, J=4,5 Hz); 6,96(dd, 1H, J=8,6, J'=1,7 Hz); 7,14(d, 1H, 3,0 Hz); 7,19(d, 1H, J=8,8 Hz); 7,40(d, 1H, J=1,5 Hz); 7,59(d, 1H, J=4,4 Hz). (CDCl <sub>3</sub> )
28e		H	H	H	H	H	H	2		81-84	3119, 2951, 2798, 1458, 1271, 1248, 1178, 1140, 727, 623.	1,85(m, 6H); 2,68(m, 4H); 3,00(m, 2H); 4,38(m, 2H); 6,40(d, 1H J=3,1 Hz); 6,82(d, 1H, J=4,5 Hz); 6,96(d, 1H, J=8,6 Hz); 7,19(d, 1H, 2,7 Hz); 7,22(m, 1H); 7,41(m, 1H); 7,64(d, 1H, J=4,5 Hz). (CDCl <sub>3</sub> )
29e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		97-104		0,95(t, 6H, J=7,1 Hz); 2,54(q, 4H, J=7,0 Hz); 2,76(t, 2H, J=6,7 Hz); 4,07(t, 2H, J=6,7 Hz); 6,66(dd, 1H, J=8,5 J'=1,7 Hz); 6,91(s, 1H); 6,97(s, 1H); 7,01(d, 1H, J=8,8 Hz); 7,22(dd, 1H, J=8,6, J'=1,6 Hz); 7,26(s, 1H); 7,42-7,55(m, 3H); 7,63(d, 1H, J=8,1 Hz); 7,70(d, 1H, J=8,2 Hz); 8,03(s, 1H); 9,95(s, 1H). (CDCl <sub>3</sub> )


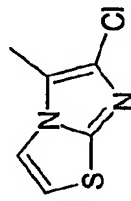
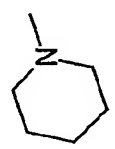
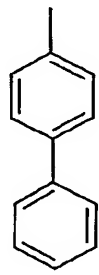
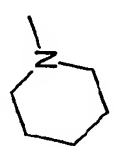
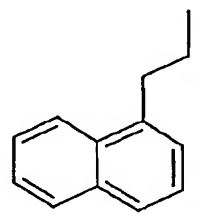
Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	n <sup>e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
30e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N—	H	H	H	H	H	H	2		133-135		0,87(m, 6H); 2,58(m, 4H); 2,76(m, 2H); 4,14(m, 2H); 6,24(s, 1H); 6,73(d, 1H, J=8,8 Hz); 7,11(s, 1H); 7,21(d, 1H, J=8,0 Hz); 7,29(s, 1H); 7,50(t, 1H, J=7,8 Hz); 7,63-7,71(m, 2H); 8,04(d, 2H, J=7,5 Hz); 8,13(d, 1H, J=8,2 Hz); 8,76(d, 1H, J=8,2 Hz); 10,21(s, 1H). (DMSO-d <sub>6</sub> )
31e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N—	H	H	H	H	H	H	2		Oil		0,83(m, 6H); 2,50(m, 4H); 2,70(m, 2H); 4,13(m, 2H); 6,30(d, 1H, J=2,6 Hz); 6,87(d, 1H, J=8,6 Hz); 7,24(s, 1H); 7,30(m, 2H); 7,44(m, 3H); 7,66(d, 2H, J=7,2 Hz); 7,72(AB sys, 2H, J=8,5 Hz); 7,78(AB sys, 2H, J=8,5 Hz); 9,91(s, 1H). (DMSO-d <sub>6</sub> )
32e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		203-205		2,13(s, 6H); 2,33(s, 6H); 2,39(t, 2H, J=7,0 Hz); 4,07(t, 2H, J=6,8 Hz); 6,08(s, 1H); 6,76(dd, 1H, J=8,6, J'=2,0 Hz); 7,13(d, 1H, J=2,0 Hz); 7,20(d, 1H, J=8,6 Hz); 7,51(dd, 1H, J=8,7, J'=2,0 Hz); 7,93(d, 1H, J=2,0 Hz); 8,00(d, 1H, J=8,8 Hz); 10,20(s, 1H). (DMSO-d <sub>6</sub> )

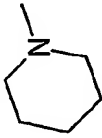
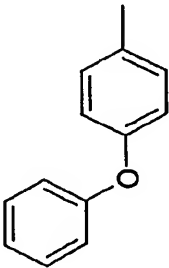
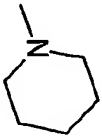
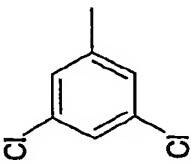
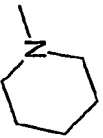
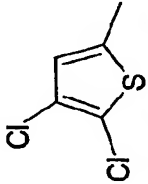
Ex	R <sup>1a</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A°	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
33e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		199-200		2,11(s, 6H); 2,30(s, 3H); 2,35(t, 2H, J=7,0 Hz); 4,03(t, 2H, J=7,0 Hz); 6,03(s, 1H); 6,75(dd, 1H, J=8,6, J'=2,0 Hz); 7,10(d, 1H, J=2,0 Hz); 7,13(d, 1H, J=8,6 Hz); 7,54-7,67(m, 2H); 7,73(dd, 1H, J=8,6, J'=1,8 Hz); 7,95(d, 1H, J=7,9 Hz); 8,02(d, 2H, J=8,6 Hz); 8,27(d, 1H, J=1,5 Hz); 9,89(s, 1H). (DMSO-d6)
34e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		183-184		2,12(s, 6H); 2,29(s, 3H); 2,35(t, 2H, J=7,0 Hz); 4,01(t, 2H, J=7,0 Hz); 5,98(s, 1H); 6,62(dd, 1H, J=8,7, J'=1,9 Hz); 6,98(d, 1H, J=2,0 Hz); 7,07(d, 1H, J=8,6 Hz); 7,49(m, 1H); 7,63(m, 1H); 7,70(m, 1H); 8,02(d, 2H, J=7,5 Hz); 8,12(d, 1H, J=8,0 Hz); 8,75(d, 1H, J=8,4 Hz); 10,15(s, 1H). (DMSO-d6)
35e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		182-183		2,14(s, 6H); 2,34(s, 3H); 2,39(m, 2H); 4,01(m, 2H); 6,09(s, 1H); 6,70(dd, 1H, J=8,5, J'=1,8 Hz); 7,08(d, 1H, J=1,8 Hz); 7,21(d, 1H, J=8,5 Hz); 7,51(d, 1H, J=4,5 Hz); 7,80(d, 1H, J=4,5 Hz). (DMSO-d6)

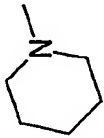
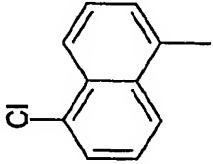
Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
36e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		176-177		2,14(s, 6H); 2,33(s, 3H); 2,39(t, 2H, J=7,1 Hz); 4,06(t, 2H, J=7,1 Hz); 6,07(s, 1H); 6,79(dd, 1H, J=8,8, J'=2,0 Hz); 7,11(d, 1H, J=1,8 Hz); 7,19(d, 1H, J=8,8 Hz); 7,36-7,48(m, 3H); 7,66(m, 2H); 7,72(AB sys, 2H, J=8,8 Hz); 7,79(AB sys, 2H, J=8,8 Hz); 9,85(s, 1H). (DMSO-d6)
37e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		135-137		2,17(s, 6H); 2,38(s, 3H); 2,45(m, 2H); 3,30-3,45(m, 4H); 4,14(t, 2H, J=6,7 Hz); 6,15(s, 1H); 7,04(d, 1H, J=8,5 Hz); 7,26(m, 1H); 7,30-7,38(m, 4H); 7,44(m, 1H); 7,65(d, 1H, J=8,2 Hz); 7,62(m, 1H); 7,87(d, 1H, J=8,2 Hz); 9,56(s, 1H). (DMSO-d6)
38e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		147-149		2,20(s, 6H); 2,34(s, 3H); 2,45(m, 2H); 4,10(t, 2H, J=7,1 Hz); 6,08(s, 1H); 6,76(dd, 1H, J=8,6, J'=2,0 Hz); 6,99(d, 2H, J=8,8 Hz); 7,03-7,08(m, 3H); 7,17-7,24(m, 2H); 7,41(t, 2H, J=7,8 Hz); 7,63(d, 2H, J=8,8 Hz); 9,73(s, 1H). (DMSO-d6)

Ex	R <sup>1a</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A°	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
39e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		147-149		2,15(s, 6H); 2,35(s, 3H); 2,41(t, 2H, J=6,7 Hz); 4,10(t, 2H, J=7,1 Hz); 6,12(s, 1H); 6,71(dd, 1H, J=8,6, J'=2,0 Hz); 7,09(d, 1H, J=1,8 Hz); 7,24(d, 1H, J=9,0 Hz); 7,58(d, 2H, J=1,9 Hz); 7,90(t, 1H, J=1,9 Hz). (DMSO-d6)
40e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		167-169		2,14(s, 6H); 2,31(s, 3H); 2,38(m, 2H); 4,04(t, 2H, J=7,1 Hz); 6,02(s, 1H); 6,66(dd, 1H, J=8,4, J'=1,8 Hz); 7,04(d, 1H, J=1,6 Hz); 7,12(d, 1H, J=8,2 Hz); 7,40-7,51(m, 2H); 8,03(d, 1H, J=7,6 Hz); 8,21(d, 1H, J=7,9 Hz); 8,31(s, 1H); 10,08(s, 1H). (DMSO-d6)
41e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N—	H	H	H	H	H	H	2		62-75		0,98(m, 6H); 2,54(m, 4H); 2,70(m, 2H); 4,18(m, 2H); 6,29(s, 1H); 6,77(d, 1H, J=8,5 Hz); 7,18(s, 1H); 7,34(m, 2H); 7,39-7,52(m, 2H); 8,03(d, 1H, J=7,9 Hz); 8,22(d, 1H, J=7,5 Hz); 8,34(s, 1H); 10,18(s, 1H). (CDCl3)
42e	(CH <sub>3</sub> ) <sub>2</sub> N—	H	H	H	H	H	H	2		61-72		2,12(s, 6H); 2,50(m, 2H); 4,12(t, 2H, J=6,7 Hz); 6,25(d, 1H, J=3,1 Hz); 6,75(dd, 1H, J=8,7, J'=2,1 Hz); 7,17(d, 1H, J=1,9 Hz); 7,25(d, 1H, J=8,9 Hz); 7,30(d, 1H, J=3,2 Hz); 7,48(m, 2H); 8,04(d, 1H, J=7,0 Hz); 8,24(d, 1H, J=7,4 Hz); 8,34(s, 1H); 10,14(s, 1H). (CDCl3)

Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	n <sup>e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
43e		H	H	H	H	H	H	3		82-92		1,20-1,55(m, 6H); 1,88(m, 2H); 2,33(s, 3H); 2,16-2,60(m, 6H); 4,10(t, 2H, J=6,6 Hz); 6,34(d, 1H, J=3,2 Hz); 6,82(d, 1H, J=9,9 Hz); 7,27-7,35(m, 3H); 7,50(dd, 1H, J=8,7, J=2,0 Hz); 7,91(d, 1H, J=2,2 Hz); 7,99(d, 1H, J=8,6 Hz); 10,20(bs, 1H). (DMSO-d6)
44e		H	H	H	H	H	H	3		92-108		1,20-1,55(m, 6H); 1,87(m, 2H); 2,22-2,62(m, 6H); 4,06(t, 2H, J=6,6 Hz); 6,28(d, 1H, J=2,9 Hz); 6,83(dd, 1H, J=8,7, J=2,0 Hz); 7,24(d, 1H, J=2,0 Hz); 7,27(m, 2H); 7,59(m, 1H); 7,64(m, 1H); 7,75(dd, 1H, J=8,8, J=1,9 Hz); 7,95(d, 1H, J=7,5 Hz); 8,03(d, 2H, J=8,5 Hz); 8,28(s, 1H); 9,97(s, 1H). (DMSO-d6)
45e		H	H	H	H	H	H	3		105-107		1,25-1,55(m, 6H); 1,81(m, 2H); 2,03-2,60(m, 6H); 4,03(t, 2H, J=6,4 Hz); 6,23(d, 1H, J=3,1 Hz); 6,70(d, 1H, J=8,9 Hz); 7,11(d, 1H, J=1,8 Hz); 7,20(d, 1H, J=8,9 Hz); 7,24(d, 1H, J=3,1 Hz); 7,49(dd, 1H, J=8,1, J=7,4 Hz); 7,59-7,66(m, 1H); 7,66-7,73(m, 1H); 8-8,05(m, 2H); 8,12(d, 1H, J=8,2 Hz); 8,75(d, 1H, J=7,8 Hz); 10,20(bs, 1H). (DMSO-d6)

Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
46e		H	H	H	H	H	H	3		85-86		1,36 (m, 2H); 1,49 (m, 4H); 1,86 (m, 2H); 2,15-2,44 (m, 6H); 4,10 (t, 2H, J=6,7 Hz); 6,33 (d, 1H, J=3,1 Hz); 6,79 (dd, 1H, J=8,7, J=2,0 Hz); 7,21 (d, 1H, J=2,0 Hz); 7,30-7,36 (m, 2H); 7,52 (d, 1H, J=4,4 Hz); 7,83 (d, 1H, J=4,4 Hz); 10,25 (bs, 1H). (DMSO-d6)
47e		H	H	H	H	H	H	3		148-150		1,34 (m, 2H); 1,47 (m, 4H); 1,86 (m, 2H); 2,03-2,55 (m, 6H); 4,09 (t, 2H, J=6,6 Hz); 6,32 (d, 1H, J=2,8 Hz); 6,87 (dd, 1H, J=8,9, J=1,8 Hz); 7,26 (d, 1H, J=1,9 Hz); 7,28-7,34 (m, 2H); 7,36-7,49 (m, 3H); 7,66 (m, 2H); 7,73 (AB sys, 2H, J=8,8 Hz); 7,79 (AB sys, 2H, J=8,8 Hz); 9,91 (s, 1H). (DMSO-d6)
48e		H	H	H	H	H	H	3		59-61		1,20-1,56 (m, 6H); 1,89 (m, 2H); 2,12-2,50 (m, 6H); 3,26-3,47 (m, 4H); 4,16 (t, 2H, J=6,2 Hz); 6,40 (d, 1H, J=2,3 Hz); 7,13 (d, 1H, J=8,6 Hz); 7,24 (t, 1H, J=7,5 Hz); 7,34-7,50 (m, 6H); 7,64 (d, 1H, J=8,4 Hz); 7,76 (m, 1H); 7,87 (d, 1H, J=8,2 Hz); 9,65 (s, 1H). (DMSO-d6)

Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A <sup>a</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
49e		H	H	H	H	H	H	3		64-66		1,20-1,58(m, 6H); 1,90(m, 2H); 2,20-2,55(m, 6H); 4,09(t, 2H, J=6,4 Hz); 6,33(s, 1H); 6,84(dd, 1H, J=8,4 Hz); 6,96-7,02(m, 2H); 7,04(d, 2H, J=7,9 Hz); 7,17-7,24(m, 2H); 7,32(m, 2H); 7,41(m, 2H); 7,64(d, 2H, J=8,6 Hz); 9,79(s, 1H). (DMSO-d6)
50e		H	H	H	H	H	H	3		56-58		1,35(m, 2H); 1,46(m, 4H); 1,83(m, 2H); 2,10(m, 2H); 2,24(m, 4H); 4,11(t, 2H, J=6,4 Hz); 6,36(d, 1H, J=2,6 Hz); 6,78(dd, 1H, J=8,8, J'=1,8 Hz); 7,22(d, 1H, J=1,90 Hz); 7,33(d, 1H, J=2,9 Hz); 7,37(d, 1H, J=8,8 Hz); 7,58(d, 2H, J=8,6 Hz); 7,89(t, 1H, J=1,9 Hz); 9,99(s, 1H). (DMSO-d6)
51e		H	H	H	H	H	H	3		70-72		1,38(m, 2H); 1,52(m, 4H); 1,91(m, 2H); 2,24(m, 2H); 2,37(m, 4H); 4,16(t, 2H, J=6,6 Hz); 6,42(d, 1H, J=2,5 Hz); 6,89(d, 1H, J=8,6 Hz); 7,32(s, 1H); 7,37(d, 1H, J=2,8 Hz); 7,43(d, 1H, J=8,6 Hz); 7,48(s, 1H). (DMSO-d6)

Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A°	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
52e		H	H	H	H	H	H	3		92-98		1,13-1,70(m, 6H); 2,00(m, 2H); 2,68(m, 2H); 2,84(m, 2H); 3,26(m, 2H); 4,05(m, 2H); 6,22(d, 1H, J=3,1 Hz); 6,71(m, 1H); 7,10-7,18(m, 3H); 7,52-7,53(m, 2H); 7,72(m, 1H); 8,15(d, 1H, J=7,3 Hz); 8,37(d, 1H, J=8,5 Hz); 8,77(d, 1H, J=8,5 Hz); 8,97(bs, 1H); 10,23(bs, 1H). (DMSO-d6 + TFA)

**Preparation of the compounds of general formula (If):**

Example 1f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide.

185.6 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b] thiophene-2-sulfonyl  
5 chloride were added to a solution of 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole in 2 ml of dimethylformamide and 116 mg of N-ethyl-diisopropylamine. The reaction mixture is stirred at the room temperature for 20 hours. Then it is evaporated to dryness, slightly alkalized with sodium bicarbonate solution and extracted with chloroform. The organic phase is  
10 repeatedly washed with water and saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulfate. The organic solution is evaporated to dryness and the resulting solid is purified by chromatography, obtaining 180 mg (67%) of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide as an amorphous solid.

15

Example 2f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-naphthalene-2-sulfonamide

187 mg (80%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 150 mg (0.66 mMol)  
20 of 2-naphthalenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 3f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-naphthalene-1-sulfonamide

25 157 mg (67%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 150 mg (0.66 mMol) of 1-naphthalenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

30 Example 4f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide

170 mg (67%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 170 mg (0.66 mMol) of 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride, by means of the process described in the Example 1f, as a solid.

5

Example 5f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-4-phenylbenzenesulfonamide

184 mg (73%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 6f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-2-(naphthalene-1-yl)-ethanesulfonamide

100 mg (40%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 168 mg (0.66 mMol) of 2-(naphthalene-1-yl)-ethanesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 7f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-4-phenoxybenzenesulfonamide

190 mg (73%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 177 mg (0.66 mMol) of 4-phenoxybenzenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

30

Example 8f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-3,5-dichlorobenzenesulfonamide

100 mg (41%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 162 mg (0.66 mMol) of 3,5-dichlorobenzenesulfonyl chloride, by means of the process described in Example 1, as a solid.

Example 9f.- Preparation of 5-Chloro-3-methyl-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-benzo[b]thiophene-2-sulfonamide

165 mg (58 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 186 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b]-thiophene-2-sulfonyl chloride by means of the process described in Example 1 as a solid.

Example 10f.- Preparation of N-(1-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-6-yl)-naphthyl-2-sulfonamide

142 mg (57 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 150 mg (0.66 mMol) naphthalenesulfonyl chloride by means of the process described in Example 1 as a solid.

Example 11f.- Preparation of N-[1-[2-Pyrrolidin-1-yl]ethyl]-1H-indol-6-yl]-naphthalene-1-sulfonamide

166 (66 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 150 mg (0.66 mMol) naphthalenesulfonyl chloride by means of the process described in Example 1 as a solid.

Example 12f.- Preparation of 6-Chloro-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-imidazo[2,1-b]thiazole-5-sulfonamide

170 mg (59%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 170 mg 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride by means of the process described in Example 1 as a solid.

Example 13f.- Preparation of 4-Phenyl-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)benzenesulfonamide

205 mg (77%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 169 mg (0.66 mmol) of 4-phenylbenzenesulfonyl chloride by means of the process described in Example 1 as an oil.

Example 14f.- Preparation of 2-(Naphthyl-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethansulfonamid

182 mg (68%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 182 mg (0.66 mmol) of 2-naphthalene-ethansulfonyl chloride by means of the process described in Example 1 as a solid.

Example 15f.- Preparation of 4-Phenoxy-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-benzenesulfonamide

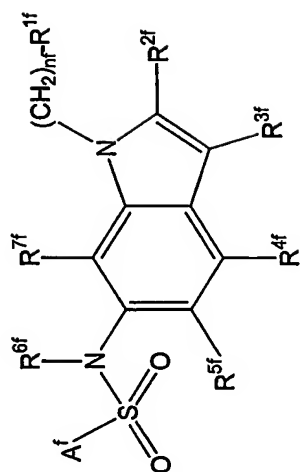
185 mg (67%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 177 mg (0.66 mmol) of 4-phenoxybenzenesulfonyl chloride by means of the process described in Example 1 as a solid.

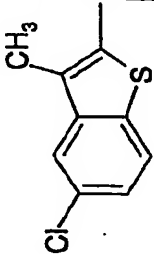
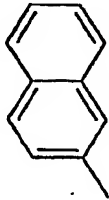
Example 16f.-Preparation of 3,5-Dichloro-N-(1-(2-(Pyrrolidin-1-yl)-1H-indol-6-yl)-benzenesulfonamide

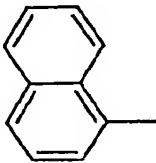
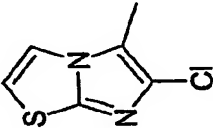

122 mg (46%) of the mentioned compound were obtained from 137 mg (0.6  
5 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 162 mg (0.66 mmol) of 3,5-dichlorobenzenesulfonyl chloride by means of the process described in Example 1 as a solid.

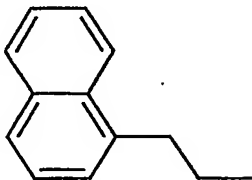
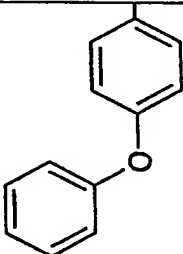
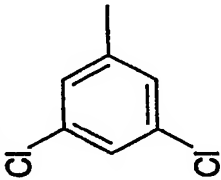
The yields are indicative and no added effort was made to improve them.

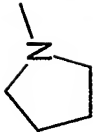
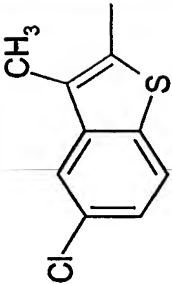

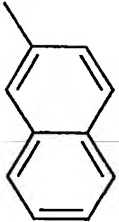
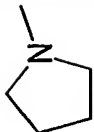
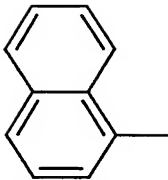
10 The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.

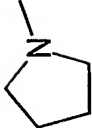
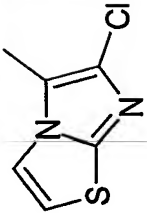

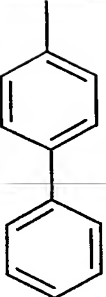
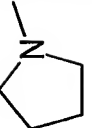
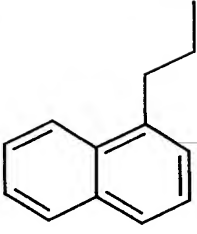



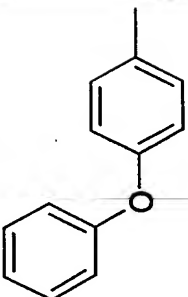
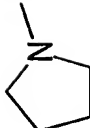
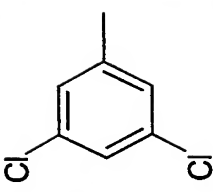
Ex	R1 <sup>f</sup>	R2 <sup>f</sup>	R3 <sup>f</sup>	R4 <sup>f</sup>	R5 <sup>f</sup>	R6 <sup>f</sup>	R7 <sup>f</sup>	nf	A <sup>f</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
1f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		amorphous	3422, 3247, 2943, 1467, 1340, 1158, 1114, 1080, 862, 651,557.	2.19 (s, 9H); 2.55 (t, 2H, J=6.7 Hz); 4.13 (t, 2H, J=6.7 Hz); 6.42 (d, 1H, 3.1Hz); 6.69 (dd, 1H, J=8.3 Hz, J'=1.9 Hz); 7.13 (d, 1H, 3.1Hz); 7.23 (m, 1H); 7.45-7.37 (m, 2H); 7.63 (d, 1H, J=2.0 Hz); 7.69 (d, 1H, J=8.6 Hz). (DMSO-d6)
2f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		140-143	3422, 3246, 2935, 2760, 1468, 1336, 1159, 1132, 1074, 753, 711, 678, 553.	2.19 (s, 6H); 2.55 (t, 2H, J=7.0 Hz); 4.11 (t, 2H, J=7.0 Hz); 6.39 (d, 1H, J=3.1Hz); 6.67 (dd, 1H, J=8.3 Hz, J'=1.4 Hz); 7.10 (d, 1H, J=3.1Hz); 7.19 (s, 1H); 7.39 (d, 1H, J=8.4 Hz); 7.49-7.65 (m, 2H); 7.69 (dd, 1H, J=8.9 Hz, J'=1.6 Hz); 7.81-7.88 (m, 3H); 8.29 (s, 1H). (DMSO-d6)

Ex	R1 <sup>f</sup>	R2 <sup>f</sup>	R3 <sup>f</sup>	R4 <sup>f</sup>	R5 <sup>f</sup>	R6 <sup>f</sup>	R7 <sup>f</sup>	A <sup>f</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
3f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		139-142	3437, 2943, 1507, 1461, 1330, 1192, 1162, 1135, 961, 813, 763, 580, 472.	2.21 (s, 6H); 2.50 (t, 2H, J=7.0 Hz); 4.03 (t, 2H, J=7.0 Hz); 6.35 (d, 1H, J=3.1Hz); 6.48 (dd, 1H, J=8.4 Hz, J'=1.7 Hz); 7.00 (s, 1H); 7.05 (d, 1H, J=3.1Hz); 7.29 (m, 1H); 7.37 (t, 1H, J=7.8 Hz); 7.60 (m, 1H); 7.67 (m, 1H); 7.92 (d, 1H, J=8.1 Hz); 7.98 (d, 1H, J=8.1Hz); 8.10 (d, 1H, J=7.3 Hz); 8.73 (d, 1H, J=8.8 Hz). (DMSO-d6)
4f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		amorphous	3448, 3110, 2814, 1459, 1325, 1250, 1178, 1141, 621.	2.28 (s, 6H); 2.61 (t, 2H, J=7.0 Hz); 4.14 (t, 2H, J=7.0 Hz); 6.41 (d, 1H, J=3.1Hz); 6.81 (m, 2H); 7.12 (d, 1H, 3.1Hz); 7.19 (m, 1H); 7.42 (d, 1H, J=8.2 Hz); 7.56 (d, 1H, J=4.6 Hz) (DMSO-d6)
5f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		amorphous	3256, 2951, 2776, 1468, 1333, 1159, 1095, 763, 670, 591.	2.24 (s, 6H); 2.62 (t, 2H, J=7.0 Hz); 4.15 (t, 2H, J=7.0 Hz); 6.42 (d, 1H, J=3.1Hz); 6.70 (d, 1H, J=8.4 Hz); 7.12 (d, 1H, J=3.1Hz); 7.25 (d, 1H, J=3.3 Hz); 7.34-7.48 (m, 4H); 7.53 (m, 2H); 7.59 (AB sys, 2H, J=8.3 Hz); 7.78 (AB sys, 2H, J=8.3 Hz). (DMSO-d6)

6f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	H	2		amorphous	3254, 2944, 1509, 1468, 1326, 1147, 970, 782, 716, 540.	2.15 (s, 6H); 2.62 (t, 2H, J=7.1 Hz); 3.38 (m, 2H); 3.49 (m, 2H); 4.22 (t, 2H, J=7.1 Hz); 6.47 (d, 1H, J=2.8 Hz); 7.04 (m, 2H); 7.23 (d, 1H, J=3.1 Hz); 7.26-7.45 (m, 5H); 7.56 (d, 1H, J=8.4 Hz); 7.68 (dd, 1H, J=7.5 Hz, J'=7.5 Hz); 7.77 (d, 1H, J=8.3 Hz). (DMSO-d6)
7f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	H	2		amorphous	3255, 2935, 2768, 1583, 1488, 1334, 1245, 1154, 1093, 694, 570, 539.	2.28 (s, 6H); 2.65 (t, 2H, J=7.0 Hz); 4.16 (t, 2H, J=7.0 Hz); 6.42 (d, 1H, J=3.0 Hz); 6.65 (dd, 1H, J=8.4 Hz, J'=1.7 Hz); 6.90 (AB sys, 2H, J=8.8 Hz); 7.00 (AB sys, 2H, J=7.9 Hz); 7.13 (d, 1H, J=3.1 Hz); 7.19 (m, 1H); 7.24 (m, 1H); 7.37 (m, 2H); 7.43 (d, 1H, J=8.3 Hz); 7.65 (AB sys, 2H, J=8.9 Hz). (DMSO-d6)
8f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	H	2		150-159	3437, 3072, 2920, 1568, 1471, 1346, 1303, 1171, 1140, 799, 670, 598.	2.29 (s, 6H); 2.66 (t, 2H, J=6.8 Hz); 4.18 (t, 2H, J=6.8 Hz); 6.45 (d, 1H); 6.67 (d, 1H, J=8.4 Hz); 7.15 (m, 1H); 7.19 (m, 1H); 7.46 (m, 2H); 7.59 (m, 2H). (DMSO-d6)

9f		H	H	H	H	H	H	2		69-71	1,58(m, 4H); 2,31(m, 4H); 2,36(s, 3H); 2,59(m, 2H); 4,11(m, 2H); 6,31(s, 1H); 6,79(d, 1H, J=8,4 Hz); 7,09(s, 1H); 7,29(d, 1H, J=2,3 Hz); 7,38(d, 1H, J=8,5 Hz); 7,51(d, 1H, J=8,6 Hz); 7,94(d, 1H, J=1,0 Hz); 8,00(d, 1H, J=8,35 Hz); 10,39(b, 1H). (DMSO-d6)
10f		H	H	H	H	H	H	2		54-60	1,54(m, 4H); 2,24(m, 4H); 2,50(m, 2H); 4,06(m, 2H); 6,25(s, 1H); 6,77(d, 1H, J=8,4 Hz); 7,07(s, 1H); 7,23(m, 1H); 7,32(d, 1H, J=8,1 Hz); 7,61(m, 2H); 7,75(d, 1H, J=8,8 Hz); 7,95(d, 1H, J=7,6 Hz); 8,03(m, 2H); 8,34(s, 1H); 10,11(b, 1H). (DMSO-d6)
11f		H	H	H	H	H	H	2		160-165	1,74(m, 4H); 2,71(m, 4H); 2,94(m, 2H); 4,24(m, 2H); 6,27(d, 1H, J=2,8 Hz); 6,61(d, 1H, J=8,6 Hz); 7,09(s, 1H); 7,24(d, 1H, J=8,5 Hz); 7,28(d, 1H, J=2,8 Hz); 7,54(t, 1H, J=7,9 Hz); 7,63(m, 1H); 7,71(m, 1H); 8,03(d, 1H, J=7,6 Hz); 8,11-8,23(m, 2H); 8,77(d, 1H, J=8,2 Hz); 10,46(b, 1H). (DMSO-d6)

12f		H	H	H	H	H	H	H	2		53-57	1,64(m, 4H); 2,50(m, 4H); 2,70(m, 2H); 4,14(m, 2H); 6,31(d, 1H, J=2,8 Hz); 6,71(d, 1H, J=8,8 Hz); 7,11(s, 1H); 7,31(d, 1H, J=2,9 Hz); 7,37(d, 1H, J=8,6 Hz); 7,56(d, 1H, J=4,4 Hz); 7,91(d, 1H, J=4,5 Hz); 10,63(b, 1H). (DMSO-d6)
13f		H	H	H	H	H	H	H	2		178-181	1,55(m, 4H); 2,33(m, 4H); 2,61(m, 2H); 4,11(m, 2H); 6,30(d, 1H, J=2,8 Hz); 6,79(dd, 1H, J=8,2, J'=1,6 Hz); 7,09(s, 1H); 7,28(d, 1H, J=2,8 Hz); 7,34-7,49(m, 4H); 7,67(d, 2H, J=7,0 Hz); 7,76(AB sys, 2H, J=8,7 Hz); 7,80(AB sys, 2H, J=8,7 Hz); 10,05(bs, 1H). (DMSO-d6)
14f		H	H	H	H	H	H	H	2		oil	1,49(m, 4H); 2,31(m, 4H); 2,66(t, 2H, J=6,5 Hz); 3,3 (m, 4H); 4,16(t, 2H, J=6,5 Hz); 6,40(dd, 1H, J=3,1 J'=0,7 Hz); 7,04(dd, 1H, J=8,4, J'=1,8 Hz); 7,13(m, 1H); 7,33-7,44(m, 5H); 7,48(d, 1H, J=8,6 Hz); 7,52(d, 1H, J=8,4 Hz); 7,75(t, 1H, J=4,8 Hz); 7,85(d, 1H, J=8,1 Hz); 9,84(s, 1H). (DMSO-d6)

15f		H	H	H	H	H	H		59-62	1,61(m, 4H); 2,41(m, 4H); 2,66(t, 2H, J=6,5 Hz); 4,12(t, 2H, J=6,5 Hz); 6,30(d, 1H, J=2,8 Hz); 6,75(dd, 1H, J=8,4, J'=1,4 Hz); 6,99(d, 2H, J=8,8 Hz); 7,04(d, 2H, J=7,9 Hz); 7,10(s, 1H); 7,21(t, 1H, J=7,4 Hz); 7,29(d, 1H, J=3,1 Hz); 7,36(d, 1H, J=8,5 Hz); 7,41(t, 2H, J=7,9 Hz); 7,69(d, 2H, J=8,8 Hz); 9,98(bs, 1H). (DMSO-d6)
16f		H	H	H	H	H	H		145-157	1,62(m, 4H); 2,39(m, 4H); 2,64(t, 2H, J=6,7 Hz); 4,15(t, 2H, J=6,7 Hz); 6,32(d, 1H, J=3,1 Hz); 6,73(dd, 1H, J=8,4, J'=1,8 Hz); 7,10(s, 1H); 7,33(d, 1H, J=3,2 Hz); 7,40(d, 1H, J=8,5 Hz); 7,63(d, 2H, J=1,9 Hz); 7,90(t, 1H, J=1,9 Hz); 10,20(bs, 1H). (DMSO-d6)

**Examples:**

Example 1g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphthalene-1-sulfonamide.

149.5 mg (0.66 mMol) of naphthalene-1-sulfonyl chloride were added to a  
5 solution of 122 mg (0.6 mMol) of 7-amino-3-(2-dimethylaminoethyl)-1H-indole in  
2 ml of dimethylformamide and 116 mg of N-ethyldiisopropylamine. The  
reaction mixture was stirred at the room temperature for 20 hours. Then it was  
evaporated to dryness, slightly alkalized with sodium bicarbonate solution and  
extracted with chloroform. The organic phase was repeatedly washed with  
10 water and saturated solution of sodium bicarbonate, it was separated and dried  
with anhydrous sodium sulfate. The organic solution was evaporated to dryness  
and the resulting solid was purified by chromatography, obtaining 120 mg (51%)  
of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphthalene-1-sulfonamide as a  
solid cream.

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Example 2g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide

80 mg (30%) of the mentioned compound are obtained from 122 mg (0.6 mMol)  
of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 166 mg (0.66 mMol) of 5-  
20 chloro-3-methyl-benzo[b]thiophene-2-sulfonyl chloride, by means of the process  
described in the Example 1g, as a yellowish solid.

Example 3g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-4-phenylbenzenesulfonamide

25 27 mg (11%) of the mentioned compound are obtained from 122 mg (0.6 mMol)  
of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 167 mg (0.66 mMol) of 4-  
phenylbenzenesulfonyl chloride, by means of the process described in the  
Example 1g, as a solid cream.

30

Example 4g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide

69 mg (27%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 170 mg (0.66 mMol) of 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride, by means of the process described in the Example 1g, as a solid cream.

Example 5g.- Preparation of 5-chloro-3-methyl-N-(1-(2-(pyrrolidinyl)ethyl)-1H-indol-7-yl)-benzo[b]thiophen-2-sulfonamide

146 mg (51%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 186 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b]thiophen-2-sulfonyl chloride via the process described in Example 1, as a solid.

Example 6g.-Preparation of N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)naphthalene-1-sulfonamide

120 mg (48 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 150 mg (0.66 mMol) of naphthalene-1-sulfonyl chloride via the process described in Example 1, as a solid.

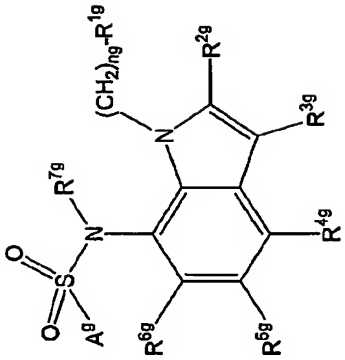
Example 7g. Preparation of 6-chloro-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)imidazo[2,1-b]thiazole-5-sulfonamide

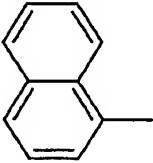
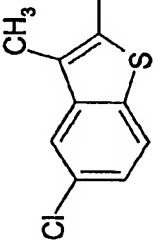
100 mg (37 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 170 mg (0.66 mMol) 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride via the process described in Example 1, as a solid.

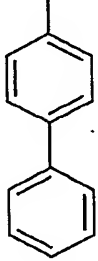
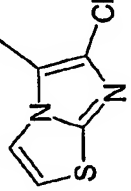

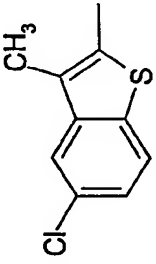
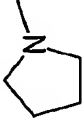
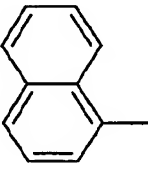
Example 8g. Preparation of 2-(naphth-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)ethansulfonamide


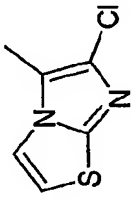

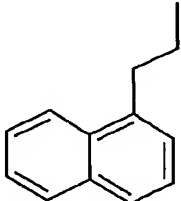
130 mg (49 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl-1H-indole and 168 mg (0.66 mMol) of 2-(naphth-1-yl)ethansulfonyl chloride via the process described in Example 1, as a solid. The yields are indicative and no added effort was made to improve them.

The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.



Ex	R <sup>1g</sup>	R <sup>2g</sup>	R <sup>3g</sup>	R <sup>4g</sup>	R <sup>5g</sup>	R <sup>6g</sup>	R <sup>7g</sup>	ng	A <sup>g</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		54-58	3422, 3057, 2943, 1489, 1315, 1158, 1132, 772, 581	2,42(s, 6H); 2,89(t, 2H, J=6,4 Hz); 4,88(t, 2H, J=6,4 Hz); 6,17(d, 1H, J=7,6 Hz); 6,44(d, 1H, J=3,1 Hz); 6,60(t, 1H, J=7,8 Hz); 7,16(d, 1H, J=3,3 Hz); 7,32(dd, 1H, J=7,9 Hz, J'=0,9 Hz); 7,53(m, 1H); 7,63-7,67(m, 2H); 8,04-8,09(m, 2H); 8,17(d, 1H, J=8,4 Hz); 8,75(m, 1H). (CD <sub>3</sub> OD)
2g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		57-65	3448, 2951, 1488, 1315, 1278, 1150, 1113, 1079, 861, 728, 648, 559	2,40(s, 6H); 2,52(s, 3H); 3,08(t, 2H, J=5,7 Hz); 4,66(t, 2H, J=5,7 Hz); 6,36(d, 1H, 3,1 Hz); 6,70(m, 2H); 7,15(dd, 1H, J=7,0 Hz, J'=1,7 Hz); 7,24(d, 1H, J=3,1 Hz); 7,49(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,91(d, 1H, J=2,0 Hz); 8,00(d, 1H, J=8,8 Hz). (DMSO-d <sub>6</sub> )

Ex	R <sup>1a</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ng	A <sup>g</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
3g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		137-140	2943, 1481, 1332, 1316, 1158, 1096, 764, 729, 668, 581.	2.33(s, 6 H); 2.78(m, 2 H); 4.24(m, 2 H); 6.46(d, 1H, J=3.1 Hz); 6.88(d, 1H, J=3.1 Hz); 7.00(t, 1H, J=7.8 Hz); 7.17(d, 1H, J=7.5 Hz); 7.40-7.49(m, 4H); 7.58 (m, 2H); 7.64(AB sys, 2H, J=8.4 Hz); 7.86(AB sys, 2H, J=8.4 Hz). (CDCl <sub>3</sub> ).
4g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		73-76	3448, 3110, 2928, 1485, 1459, 1316, 1270, 1238, 1182, 1124, 1091, 723, 622.	2.66(s, 6 H); 3.28(t, 2 H, J=5.4 Hz); 4.74(t, 2 H); 6.30(d, 1H, J=3.1 Hz); 6.64-6.70(m, 2H); 7.01(dd, 1H, J=6.5 Hz, J'=2.4 Hz); 7.19(d, 1H, J=3.1 Hz); 7.45(d, 1H, J=4.5 Hz); 7.89(d, 1H, J=4.5 Hz). (DMSO-d6)
5g		H	H	H	H	H	H	2		82-85		1.87(m, 4H); 2.41(s, 3H); 3.02(m, 4H); 3.34(m, 2H); 4.70(m, 2H); 6.34(d, 1H, J=0.9 Hz); 6.62-6.80(m, 2H); 7.09(d, 1H, J=7.47 Hz); 7.21(s, 1H); 7.46(d, 1H, J=8.2 Hz); 7.87(s, 1H); 7.97(d, 1H, J=8.6 Hz). (DMSO-d6)
6g		H	H	H	H	H	H	2		196-199		1.79(m, 4H); 2.79(m, 4H); 3.18(m, 2H); 4.66(m, 2H); 6.30(d, 1H, J=8.3 Hz); 6.35(d, 1H, J=1.6 Hz); 6.60(m, 1H); 7.14(d, 1H, J=8.1 Hz); 7.25(m, 1H); 7.56(m, 1H); 7.60-7.74(m, 2H); 8.05(m, 2H); 8.16(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.64 Hz). (DMSO-d6)

Ex	R <sup>1g</sup>	R <sup>2g</sup>	R <sup>3g</sup>	R <sup>4g</sup>	R <sup>5g</sup>	R <sup>6g</sup>	R <sup>7g</sup>	A <sup>g</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
7g		H	H	H	H	H	H		92-95		1,84(m, 2H); 1,98(m, 2H); 3,04(m, 2H); 3,58(m, 4H); 4,87(t, 2H, J=6,7 Hz); 6,15(d, 1H, J=7,8 Hz); 6,49(d, 1H, J=2,6 Hz); 6,73(t, 1H, J=7,6 Hz); 7,33-7,43(m, 3H, J=5,3 Hz); 7,46(d, 1H, J=7,9 Hz); 9,83(bs, 1H); 10,32(s, 1H). (DMSO-d6 + TFA )
8g		H	H	H	H	H	H		46-49		1,69(m, 4H); 2,59(m, 4H); 2,90(m, 2H); 3,53(m, 4H); 4,65(t, 2H, J=6,2 Hz); 6,45(d, 1H, J=3,1 Hz); 6,94(t, 1H, J=7,6 Hz); 7,05(m, 1H); 7,35(d, 1H, J=3,1 Hz); 7,39-7,56(m, 5H); 7,83(d, 1H, J=7,6 Hz); 7,94(m, 2H). (DMSO-d6)

**Examples:**

Example 1h.- Preparation of 1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole

468 mg (9.8 mMol) of 50% sodium hydride in oil were added at 0°C to a solution of 1.0 g (3.9 mMol) of 3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole in 50 ml of anhydrous dimethylformamide, and the mixture was left to stir for 30 minutes. Then 2.14 g of cyclohexanesulfonyl chloride were added, and the stirring continued for 3 hours at room temperature. Water was added and evaporated to dryness. The resulting crude was treated with sodium bicarbonate and was extracted with chloroform. The organic phase was dried with anhydrous sodium sulfate and evaporated to dryness; the resulting solid was purified by chromatography, obtaining 900 mg (57%) of 1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole as a yellow solid.

Example 2h.- 5-chloro-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole

900 mg (74%) of the mentioned compound were obtained from 770 mg (3.12 mMol) of 5-chloro-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole, and 1.7 g (9.36 mMol) of cyclohexanesulfonyl chloride by means of the process described in Example 1h, as a yellow solid.

Example 3h.- 5-amino-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole

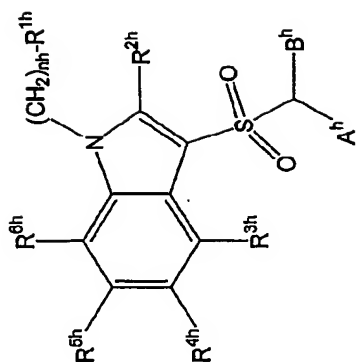
200 mg of 50% Pd/C with a humidity of 5% were added to a solution of 403 mg (1 mMol) of 1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole in 200 ml of ethanol. The resulting suspension was hydrogenized at 25 psi of overpressure for 20 hours. Then the catalyst was filtered and evaporated to drying. The resulting crude was purified by chromatography and 150 mg (40%) of the mentioned compound were obtained as a solid cream.

Example 4h.- Preparation of 1-cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole

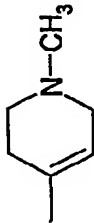
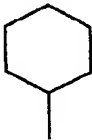
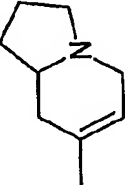
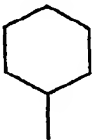
1.95 g (78%) of 1-cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole were obtained as an oil from 1.6 g (6.25 mMol) of 5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole and 3.42 g (18.76 mMol) of cyclohexanesulfonyl chloride by means of the process described in Example 1. Then 2 ml of a 6N ethanol/HCl solution were added to a solution of 1.95 g (4.85 mMol) of 1-cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole in 20 ml of ethanol, precipitating a solid which was recrystallized from ethanol, obtaining 1.5 g (71%) of the mentioned compound as a white solid.

The yields are indicative and no added effort was made to improve them.

The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.



Ex	R <sup>1h</sup>	R <sup>2h</sup>	R <sup>3h</sup>	R <sup>4h</sup>	R <sup>5h</sup>	R <sup>6h</sup>	nh		Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
1h		H	H	H	NO <sub>2</sub>	H	0		-	155-160	3433, 2938, 2859, 1522, 1371, 1340, 1158, 1126, 988, 612.	1.00-1.90 (m, 10H); 2.56 (s, 3H); 2.68 (m, 2H); 2.98 (m, 2H); 3.47 (m, 2H); 3.78 (m, 1H); 6.35 (s, 1H); 7.87 (s, 1H); 8.08 (d, 1H, J=9.2 Hz); 8.26 (dd, 1H, J=9.2 Hz, J'=1.9 Hz); 8.69 (d, 1H, J=1.8 Hz). (DMSO-d <sub>6</sub> )
2h		H	H	H	Cl	H	0		-	88-90	3433, 2941, 2858, 2787, 1447, 1364, 1158, 1128, 1116, 614, 557.	1.00-1.90 (m, 10H); 2.41 (s, 3H); 2.55 (m, 2H); 2.67 (m, 2H); 3.15 (m, 3H); 6.18 (m, 1H); 7.27 (dd, 1H, J=8.9 Hz, J'=2.0 Hz); 7.32 (s, 1H); 7.79 (d, 1H, J=2.0 Hz); 7.82 (d, 1H, J=1.8 Hz). (CDCl <sub>3</sub> )

3h		H	H	H	NH <sub>2</sub>	H		-	75 (dec)	3376, 2937, 2857, 2784, 1455, 1363, 1342, 1158, 1127, 987, 617, 565.	1.00-1.90 (m, 10H); 2.40 (s, 3H); 2.54 (m, 2H); 2.66 (m, 2H); 3.13 (m, 3H); 6.16 (m, 1H); 6.71 (dd, 1H, J=8.8 Hz, J'=2.4 Hz); 7.09 (d, 1H, J=2.2 Hz); 7.23 (s, 1H); 7.67 (d, 1H, J=8.8 Hz). (CDCl <sub>3</sub> )
4h		H	H	H	F	H		HCl	263 (dec)	3424, 2941, 2499, 2451, 1466, 1445, 1371, 1348, 1188, 1157, 1127, 649, 619.	1.18 (m, 3H); 1.38 (m, 2H); 1.54 (m, 1H); 1.73 (m, 5H); 2.01 (m, 2H); 2.31 (m, 1H); 2.80 (m, 1H); 3.09 (m, 2H); 3.44 (m, 1H); 3.68 (m, 2H); 3.76 (m, 1H); 4.10 (m, 1H); 6.39 (s, 1H); 7.28 (m, 1H); 7.78 (m, 2H); 7.90 (dd, 1H, J=9.0 Hz, J'=4.6 Hz); (DMSO-d <sub>6</sub> )

**Pharmacological Data:****(Compounds according to the general formula (Ia))****(a)**

According to methods I and III Neuropeptide Y<sub>5</sub> and Y<sub>2</sub> Binding of the benzoxazine-derived compounds of general formula (Ia) has been determined. Some of the obtained values are given in the following table 1.

**Table 1:**

Compound according to Example	Neuropeptide Y <sub>5</sub> Binding	Neuropeptide Y <sub>2</sub> Binding
	[ <sup>125</sup> I]-PYY <sub>(3-36)</sub> BIBP 3226 sat. Rat cortex	[ <sup>125</sup> I]-PYY <sub>(3-36)</sub> Rat hypoccampus
	K <sub>i</sub> (nM)	K <sub>i</sub> (nM)
3a	6.4	> 1000
4a	7.3	> 1000
5a	8.3	> 1000
6a	18.4	> 1000
18a	3.4	> 1000
20a	0.87	> 1000

## (b)

According to method II Neuropeptide Y<sub>5</sub> Binding of the benzoxazine-derived compounds of general formula (Ia) has been determined. Some of the values are given in the following table 2.

**Table 2:**

Compound according to Example	Neuropeptide Y <sub>5</sub> Binding
	[ <sup>125</sup> I]-PYY Y <sub>5</sub> Rat Recombinant Receptor Cell C6
	IC <sub>50</sub> (nM)
107a	23.5
111a	7.7
112a	41.8
114a	40.7
116a	106.0

**(Compounds of general formula Ib)**

The binding of the benzoxazinone derived sulphonamide compounds of general formula (Ib) was determined as described above.

The binding results of some these compounds are given in the following table 2b:

Table 2b:		
Compound according to example:	% Inhibition $10^{-6}$ M	K <sub>i</sub> (nM)
1b	98.1 ± 4.0	51.7
3b		107.4
4b		246
5b		152
6b		165.9
7b	88	
8b	68	

**(Compounds of general formula 1c)**

The binding of the inventively used sulphonamide derivatives of general formula (1c) used inventively was determined as described above.

The binding results of some sulphonamide derivatives are given in the following table 1c:

**Table 1c:**

<b>Compound according to example:</b>	<b>% Inhibition 10<sup>-6</sup> M</b>	<b>K<sub>i</sub> (nM)</b>
1c	98.1 ± 4.0	0.28
3c	96.6 ± 5.2	3.5
4c	96.2 ± 0.6	9.3
5c	101.2 ± 0.1	1.0
6c	97.6 ± 1.8	8.7
7c	103.0 ± 7.9	0.13
8c	94.5 ± 7.0	0.76
9c	96.8 ± 3.7	2.2
11c	101.3	0.98
13c	98.3	4.7
14c	95.7 ± 3.4	24.3
15c	97.4 ± 0.8	6.8
16c	94.4 ± 8.6	21.2
17c	102.0	5.3

**(Compounds of general formula 1d)**

Binding of the new compounds of general Formula (1d) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1d:

<b>Table 1d</b>	
<b>Example</b>	<b>% Inhibition 10<sup>-6</sup> M</b>
<b>1d</b>	<b>83.9</b>
<b>2d</b>	<b>104.3</b>
<b>3d</b>	<b>94.8</b>
<b>4d</b>	<b>46.6</b>
<b>5d</b>	<b>98.1</b>
<b>6d</b>	<b>55.8</b>
<b>7</b>	<b>72.3</b>

**(Compounds of general formula 1e)**

Binding of the new compounds of general formula (1e) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1e:

**Table 1e**

Example	K <sub>i</sub> (nM)
<b>3e</b>	<b>94,2</b>
<b>4e</b>	<b>112,4</b>
<b>11e</b>	<b>1,89</b>
<b>12e</b>	<b>104,6</b>
<b>13e</b>	<b>82,5</b>
<b>20e</b>	<b>84,8</b>

**(Compounds of general formula If)**

Pharmacological data:

Binding of the new compounds of general Formula (If) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1f:

<b>Table 1f</b>		
<b>Example</b>	<b>% Inhibition 10<sup>-6</sup> M</b>	<b>K<sub>i</sub> (nM)</b>
<b>1f</b>	<b>98.6</b>	<b>90.2</b>
<b>2f</b>	<b>97.7</b>	<b>41.2</b>
<b>3f</b>	<b>95.3</b>	<b>19.8</b>
<b>4f</b>	<b>90.8</b>	<b>55.2</b>
<b>5f</b>	<b>93.4</b>	<b>129.4</b>
<b>6f</b>	<b>94.5</b>	<b>74.5</b>
<b>7f</b>	<b>95.1</b>	<b>118.6</b>
<b>8f</b>	<b>86.9</b>	<b>159.1</b>

**(Compounds of general formula 1h)**

Pharmacological data:

Binding of the new compounds of general Formula (1h) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1h:

<b>Table 1h</b>		
<b>Example</b>	<b>% Inhibition 10<sup>-6</sup> M</b>	<b>K<sub>i</sub> (nM)</b>
<b>1h</b>	<b>59.8 ± 3.0</b>	
<b>2h</b>		<b>98.2</b>
<b>3h</b>		<b>55.1</b>
<b>4h</b>		<b>191</b>

Two active substance combinations,

I)

[23] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloronaphthalene-2-sulphonamide, 2-[4-(2-oxo-4*H*-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9*H*-fluoren-2-yl)-acetamide,

and

II)

[23] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloronaphthalene-2-sulphonamide, 2-[4-(8-Methyl-2-oxo-4*H*-benzo[d][1,3]oxazin-1-yl)-piperidin-1-yl]-N-(9-oxo-9*H*-fluoren-3-yl)-acetamide hydrochloride

have been investigated according to the method of measurement of food ingestion described above.

Both combinations show a synergic effect in their pharmacological activities compared with the individual pharmacological activity for each compound.